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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 20	EVENTLINE will be removed from STN
NEWS 28	Mar 24	PATDPAFULL now available on STN
NEWS 29	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 30	Apr 11	Display formats in DGENE enhanced
NEWS 31	Apr 14	MEDLINE Reload
NEWS 32	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 33	Apr 21	Indexing from 1947 to 1956 being added to records in CA/CAPLUS
NEWS 34	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 35	Apr 28	RDISCLOSURE now available on STN
NEWS 36	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 37	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 38	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39	May 16	CHEMREACT will be removed from STN
NEWS 40	May 19	Simultaneous left and right truncation added to WSCA
NEWS 41	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation

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 NEWS EXPRESS  April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
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FILE 'HOME' ENTERED AT 16:40:09 ON 23 MAY 2003

=> fil reg
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                              ENTRY    SESSION
FULL ESTIMATED COST                            0.42       0.42

FILE 'REGISTRY' ENTERED AT 16:41:16 ON 23 MAY 2003
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STRUCTURE FILE UPDATES:   22 MAY 2003  HIGHEST RN 519137-84-9
DICTIONARY FILE UPDATES:  22 MAY 2003  HIGHEST RN 519137-84-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

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Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10069824.str

L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR
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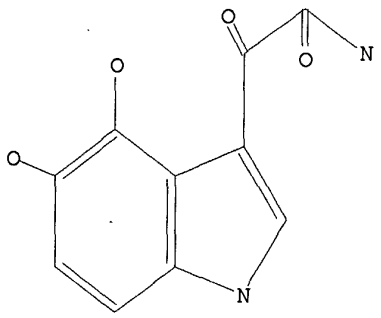

Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 16:41:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     67 TO ITERATE

100.0% PROCESSED      67 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          849 TO     1831
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

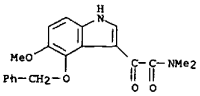
=> s l1 full
FULL SEARCH INITIATED 16:41:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   1467 TO ITERATE

100.0% PROCESSED    1467 ITERATIONS                               2 ANSWERS
SEARCH TIME: 00.00.01

L3            2 SEA SSS FUL L1

=> d scan
```

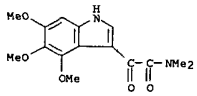
L3 2 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Indole-3-glyoxylamide, 4-(benzyloxy)-5-methoxy-N,N-dimethyl- (7CI, 8CI)
MF C20 H20 N2 O4



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 2 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Indole-3-glyoxylamide, 4,5,6-trimethoxy-N,N-dimethyl- (7CI)
MF C15 H18 N2 O5



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

```
=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              148.15     148.57

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FILE COVERS 1907 - 23 May 2003  VOL 138 ISS 22
FILE LAST UPDATED: 22 May 2003  (20030522/ED)

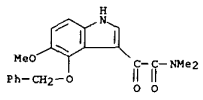
  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3
L4            2 L3

=> d ibib abs hitstr 1-2
```

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1965:446083 CAPLUS
DOCUMENT NUMBER: 63:46083
ORIGINAL REFERENCE NO.: 63:8296e-h,8297a-h
TITLE: Research in the indole series. XIV. 4-Hydroxy-5-methoxy, 5-methoxy-6-hydroxy, and 6-hydroxy-7-methoxytryptamine
AUTHOR(S): Julia, Marc; Manoury, Philippe; Voillaume, Chantal
SOURCE: Bulletin de la Societe Chimique de France (1965), (5), 1417-23
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB The title compds. were prepd. from vanillin (I) or o-vanillin (II). Thus, a mixt. of 152 g. I, 56 g. K, 1.5 l. abs. EtOH, and 140 g. PhCH2Cl (III) was refluxed 12 hrs. Excess III was steam distd. off, leaving 82.5% O-benzylvanillin (IV). Fuming HNO3 (25 cc.) was added at room temp. to a soln. of 10 g. IV in 100 cc. AcOH. The mixt. was stirred 12 hrs. and cooled to give 53% 6-nitro-O-benzylvanillin (V), m. 133.degree. (EtOH). A mixt. of 2.5 g. V and 40 cc. concd. H2SO4 was heated 2 hrs. at 50-60.degree. and poured on ice to give 1.3 g. 6-nitrovanillin, m. 208.degree.. MeNO2 (100 cc.) was added to a mixt. of 242 g. V, 1 l. AcOH, and 100 g. NH4OAc. The mixt. was refluxed 2 hrs. and poured on ice to give 82.7% 3-methoxy-4-benzyloxy-.beta.-nitrostyrene (VI), m. 122.degree. (EtOH). Fuming HNO3 (140 cc.) was added at temp. <10.degree. to a stirred mixt. of 136.5 g. VI and 1.4 l. AcOH. The mixt. was kept 12 hrs. at 25.degree. and filtered to give 79% 3-methoxy-4-benzyloxy-.beta.,6-dinitrostyrene (VII), m. 167.degree. (AcOEt). A mixt. of 50 g. VII, 250 g. powd. Fe, and 800 cc. 80% aq. AcOH was heated 40 min. at 95.degree., treated with 1 l. satd. aq. NaHSO3, and extd. with C6H6. Al2O3 (200 g.) was added in 30 g. portions to the org. ext. The mixt. was filtered; the ppt. was washed thoroughly with warm C6H6 and C6H6-Et2O. Evapn. of the combined filtrate and washings gave 44.5% 5-methoxy-6-benzoyloxyindole (VIII), m. 146.degree. (C6H6-ligroine). PhSO2Cl (660 g.) was added dropwise to a soln. of 456 g. II and 150 g. NaOH in 1000 cc. H2O. The mixt. was filtered to give 90% o-vanillin benzenesulfonate (IX), m. 119-20.degree. (AcOH). IX (250 g.) was added in one portion to 2.5 l. fuming HNO3 (cooled to 0.degree.). The mixt. was kept 5 min. at 5-10.degree. and poured on ice to give 60% the 6-nitro deriv. (X) of IX. X (170 g.) was refluxed 10 min. with 2 l. MeOH, stirred vigorously, treated with a soln. of 100 g. KOH in 200 cc. H2O and 400 cc. MeOH, and refluxed 30 min. The pptd. product was dissolved in boiling H2O and acidified to give 87% 6-nitro-o-vanillin (XI), m. 104.degree.. A mixt. of 50 g. XI, 300 cc. Me2SO, 80 g. K2CO3, 500 cc. HCONMe2, and 50 g. III was stirred 12 hrs. at 40-50.degree., and poured on ice to give 89% 2,3,6-PhCH2O(MeO)(O2N)C6H2CHO (XII), m. 89.degree.. A soln. of 50 g. XII in 1l. alc. was treated according to Kveder and McIsaac (CA 56, 7939c) with 18 g. MeNO2 and 25 g. KOH in 40 cc. H2O and 400 cc. alc. to give 55 g. nitro-alc., which was heated 5 min. at 150.degree. with 60 g. anhyd. NaOAc and 250 cc. Ac2O to give 91% 2,3,6-PhCH2O(MeO)(O2N)C6H2CH:CHNO2 (XIII), m. 119-20.degree. (MeOH). XIII was converted as described for VII in 64% yield to 4-benzyloxy-5-methoxyindole (XIV), m. 84.degree. (C6H6-petr. ether). For the prepn. of 6-benzyloxy-7-methoxyindole (XV), a soln. of 272 cc. Ac2O in 2.4 l. Et2O was added to a soln. of 400 g. I and 108 g. NaOH in 2 l. H2O. The mixt. was stirred 30 min. and the org. phase sepd. and washed with H2O, dried, and evapd. to give 81.3% acetylvanillin

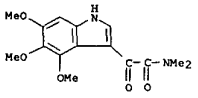
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS (Continued)
dimethyl-
(prepn. of)
RN 2789-23-3 CAPLUS
CN Indole-3-glyoxylamide, 4-(benzyloxy)-5-methoxy-N,N-dimethyl- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS (Continued)
(XVI), m. 76-7.degree.. XVI (250 g., finely powd.) was added during 30 min. to 1.2 l. fuming HNO3 (cooled to -10.degree. to -15.degree.). The mixt. was poured on ice. The ppt. was filtered off, washed with H2O, and dissolved in excess 5% aq. NaOH, acidification of which soln. gave 78% 2-nitrovanillin (XVII), m. 135.degree.. A mixt. of 121.5 g. XVII, 63 g. K2CO3, 110 g. III, 50 cc. H2O, and 500 cc. dioxane was refluxed 4 hrs., steamdistd., and cooled to give 83% 2-nitrobenzylvanillin (XVIII), m. 108.degree. (EtOH). A mixt. of 18 cc. MeNO2, 60 g. XVIII, and 1 l. EtOH was cooled to -15.degree. and treated during 1 hr. with a soln. of 30 g. KOH in 45 cc. H2O and 420 cc. EtOH. The soln. was kept 2 hrs. at -10.degree. and treated with 43 cc. concd. HCl at a temp. <0.degree.. Addn. of 21 cc. H2O gave a ppt. which was dried, heated 5 min. with 140 cc. Ac2O and 70 g. NaOAc, then poured on ice to give 90% 4-PhCH2O-3-MeO-2-O2NC6H2CH:CHNO2 (XIX), m. 125.degree. (EtOH). XIX was converted as described previously in 76% yield to XV, m. 67.degree. (ligroine). VIII was converted as described in the preceding abstr. to give 70% 5-methoxy-6-benzyloxy-3-indolyl N,N-dimethylglyoxylamide (XX, R1 = R4 = H, R2 = OMe, R3 = OCH2Ph, R5 = NMe2) (XXI), m. 192.degree. (EtOH). The tabulated XX were prepd. similarly. XXI was reduced by LiAlH4 in tetrahydrofuran-Et2O as described previously to give 64% 5-methoxy-6-benzyloxydimethyltryptamine (XXII, R1 = R4 = H, R2 = OCH2Ph, R3 = OMe, R5 = R6 = Me) (XXIII), m. 86.degree. (Et2O); oxalate m. 153-4.degree. (EtOH); fumarate m. 192.degree. (MeOH). R1, R2, R3, R4, %yield, m. p., Solvent; H, OMe, OCH2Ph, H, NMe, 65, 240.degree., EtOH-AcOEt; H, OMe, OCH2Ph, H, NEt2, 75, 172.degree., EtOH-H2O; OCH2Ph, OMe, H, H, NMe2, 78, 137.degree., AcOEt-petr. ether; H, H, OCH2Ph, OMe, NMe2, 98, 127.degree., AcOET; H, H, OCH2Ph, OMe, NEt2, 87.5, 120-1.degree., EtOH-H2O. Treatment of XXIII in abs. EtOH with H in presence of Pd-C at 1 atm. gave 89% 5-methoxy-6-hydroxydimethyltryptamine (XXII, R1 = R4 = H, R2 = OH, R3 = OMe, R5 = R6 = Me); fumarate m. 140.degree. (EtOH-Me2CO). The tabulated XXII were prepd. similarly. A soln. of 34 g. XIV in 300 cc. dioxane was added at 0.degree. to a soln. of 320 cc. dioxane, 320 cc. AcOH, 24.8 g. 40% aq. CH2O, and 25 g. 40% aq. Me2NH. R1, R2, R3, R4, R5, R6, %yield, M. p., Solvent; H, OMe, OCH2Ph, H, H, Me, (small), 116.degree., C5H6-ligroin; H, OMe, OCH2Ph, H, Et, Et, 53, 175.degree. (fumarate), EtOH; H, OMe, OH, H, Et, Et, 78, 208.degree. (fumarate), EtOH; OH, OMe, H, H, Me, Me, 41, 146-7.degree., AcOET; OH, OMe, H, H, H, H, 56, 228.degree., abs. EtOH; H, H, OCH2Ph, OMe, Me, Me, 71, 172.degree. (HCl salt), iso-PrOH; H, H, OH, OMe, Me, Me, 80, 174.degree. (HCl salt), iso-PrOH; H, H, OCH2Ph, OMe, Et, Et, 70, 77.degree., iso-PrOH; H, H, OH, OMe, Et, Et, 84, 154.degree. (HCl salt), iso-PrOH. The mixt. was left overnight, poured on ice, and made alk. to give 74% 4-benzyloxy-5-methoxygramine (XXIV), m. 140-2.degree. (AcOEt). A soln. of 9 g. KCN in 20 cc. H2O was added to a soln. of 20 g. XXIV in 400 cc. MeOH, which was then cooled below 10% treated with 25 g. MeI, stirred 24 hrs. at 25.degree., and evapd. at <40.degree.. The residue was extd. with Et2O, which ext. was washed with dil. HCl, NaHCO3, and H2O, dried, and evapd. to give 82% 4-benzyloxy-5-methoxyindole-3-acetonitrile (XXV), m. 128.degree. (EtOH). A mixt. of 14 g. XXV and 300 cc. 33% alc. Me2NH was reduced at 40.degree./100 atm. with H and Raney Ni to give 31% 4-benzyloxy-5-methoxydimethyltryptamine; fumarate m. 176.degree. (EtOH). Redn. of XXV in MeOH-NH3 with H-Raney Ni gave 47% 4-benzyloxy-5-methoxytryptamine, 106.degree. (AcOEt); fumarate m. 240.degree. (EtOH). 4-Benzyloxy-5-methoxydiethyl-tryptamine, hydrochloride m. 152.degree. (Me2CO) was also prepd. in 29% yield. 26 references.
IT **2789-23-3**, Indole-3-glyoxylamide, 4-(benzyloxy)-5-methoxy-N,N-

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1963:421622 CAPLUS
DOCUMENT NUMBER: 59:21622
ORIGINAL REFERENCE NO.: 59:3864d-h,3865a
TITLE: Basic derivatives of 4,5,6-trimethoxyindole and 3,4,5-trimethoxyphenol
AUTHOR(S): Corrodi, A. Carlsson, H.; Magnusson, T.
CORPORATE SOURCE: Univ. Goteborg, Swed.
SOURCE: Helvetica Chimica Acta (1963), 46, 1231-5
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB 4,5,6 - Trimethoxy - N,N - dimethyltryptamine (Ia, R = CH2CH2NMe2) (I), .beta.-(3,4,5-trimethoxy (II), and its N,N-di-Me derivative (III) were prepd. to be tested for their psychotropic activity. 3,4,5-(MeO)3C6H2OH (IV) (36.8 g.) and 35 g. ClCH2CH2NMe2.HCl were refluxed 5 hrs. in 500 ml. EtOH contg. 10 g. dissolved Na, 1 l. 2N HCl was added, the mixt. washed with Et2O, made alk., and extd. with Et2O, and the residue of the ext. fractionally distd. in vacuo to give 31 g. III, bl 140.degree.; MeI deriv. m. 211.degree.. Adding dropwise 1.45 g. Na in 50 ml. EtOH to 11.5 g. IV and 30 g. (CH2Br)2 in 50 ml. EtOH, refluxing the mixt. 4 hrs., and extg. the residue of the evapd. soln. with Et2O gave 7.5 g. 3,4,5-(MeO)3C6H2OCH2CH2Br, which (9 g.) was heated with 30 ml. liquid NH3 12 hrs. at 70.degree., the residue of the evapd. soln. was extd. with 2N HCl, and the ext. made alk. and extd. with Et2O to give 6.9 g. oily II, isolated as HCl salt, m. 168.degree.. Adding 7 g. LiAlH4 to 500 ml. ice-cooled abs. C5H5N, then adding in small portions 10 g. dried 4,5,6-trimethoxyisatin while keeping the temp. below 25.degree., stirring the mixt. 4 hrs. at 20.degree., adding 100 ml. H2O, pouring the mixt. into 500 g. tartaric acid in 2 l. H2O, extg. the mixt. with Et2O, passing the washed (tartaric acid) and dried Et2O soln. through 100 g. Al2O3 (activity I), and evapg. the eluate gave 4.1 g. 4,5,6-trimethoxyindole(V)(Ia, R = H),m. 101.degree.. V(2g.)in 75 ml.abs. Et2O was mixed with 2.2 g. (COCl)2 in 3 ml. Et2O with ice-cooling and the mixt. kept 12 hrs. at 0.degree. to give 2.4 g. Ia (R = COCOCl), which (0.9 g.) treated 2 hrs. with a 20% aq. NHMe2 soln. gave 0.7 g. 4,5,6-trimethoxyindole-3-glyoxylic acid dimethylamide (Ia, R = COCONMe2) (VI). Adding dropwise 1.5 VI in 50 ml. abs. tetrahydrofuran (VII) to 1.5 g. LiAlH4 in 100 ml. VII, refluxing the mixt. 4 hrs., then adding 100 ml. (CH2Cl)2 followed by 5 ml. H2O and 5 ml. VII to the cold mixt., extg. the filtered soln. 3 times with 10% AcOH, making the residue of the evapd. (in vacuo) soln. alk. with 5 ml. 2N KOH, extg. the mixt. with (CH2Cl)2, filtering a C6H6 soln. of the residue (0.8 g.) of the evapd. ext. through 8 g. Alox, and evapg. the eluate gave 0.6 g. I, m. 97.degree.. Adding 2.07 g. V in 4 ml. HCONMe2 to an ice-cold mixt. of 1 ml. POCl3 in 3.5 ml. HCONMe2, keeping the mixt. 1 hr. at 40.degree., adding 20 ml. H2O, and adjusting the mixt. to pH 7 gave 1.4 g. 4,5,6-trimethoxyindole-3-carboxaldehyde (Ia, R = CHO), m. 170.degree., which (1 g.) refluxed with 0.2 g. AcONH4 and 3 ml. MeNO2 gave 1.1 g. 4,5,6-trimethoxy-3-(-nitrovinyl)indole (Ia, R = CH:CHNO2), m. 200.degree. (decompn.). Redn. of the latter with LiAl2H4 gave Ia (R = 2CH2NH2), m. 146.degree.. In preliminary tests, I, II, and III did not show any psychotropic activity.
IT **92870-83-2**, Indole-3-glyoxylamide, 4,5,6-trimethoxy-N,N-dimethyl-
(prepn. of)
RN 92870-83-2 CAPLUS
CN Indole-3-glyoxylamide, 4,5,6-trimethoxy-N,N-dimethyl- (7CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS (Continued)



=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	9.49	158.06

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.30	-1.30

FILE 'REGISTRY' ENTERED AT 16:42:20 ON 23 MAY 2003

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 MAY 2003 HIGHEST RN 519137-84-9
DICTIONARY FILE UPDATES: 22 MAY 2003 HIGHEST RN 519137-84-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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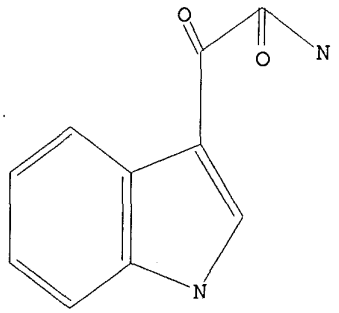
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10069824.str

L5 STRUCTURE UPLOADED

=> d
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l5
SAMPLE SEARCH INITIATED 16:42:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    219 TO ITERATE

100.0% PROCESSED     219 ITERATIONS                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          3493 TO     5267
PROJECTED ANSWERS:             1114 TO     2206

L6           50 SEA SSS SAM L5

=> s l5 full
FULL SEARCH INITIATED 16:42:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   4540 TO ITERATE

100.0% PROCESSED    4540 ITERATIONS                        1927 ANSWERS
SEARCH TIME: 00.00.01

L7         1927 SEA SSS FUL L5

=>
Uploading 10069824.str

L8       STRUCTURE UPLOADED

=> d
L8 HAS NO ANSWERS
L8               STR
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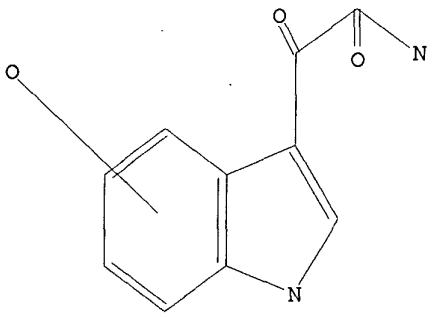


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Structure attributes must be viewed using STN Express query preparation.


=> s l8 subset=l7 full
FULL SUBSET SEARCH INITIATED 16:44:11 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -   1927 TO ITERATE

100.0% PROCESSED    1927 ITERATIONS                        570 ANSWERS
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SEARCH TIME: 00.00.01

L9 570 SEA SUB=L7 SSS FUL L8

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	183.85	341.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.30

FILE 'CAPLUS' ENTERED AT 16:44:16 ON 23 MAY 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 23 May 2003 VOL 138 ISS 22
FILE LAST UPDATED: 22 May 2003 (20030522/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l9
L10 221 L9

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	342.33

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.30

FILE 'REGISTRY' ENTERED AT 16:44:42 ON 23 MAY 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 MAY 2003 HIGHEST RN 519137-84-9

DICTIONARY FILE UPDATES: 22 MAY 2003 HIGHEST RN 519137-84-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

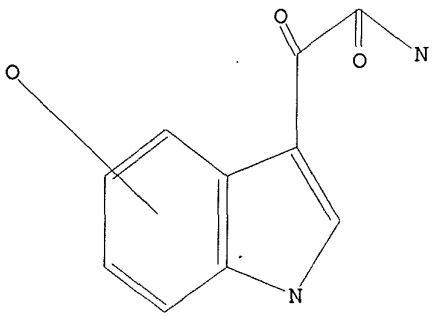
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10069824.str

L11 STRUCTURE UPLOADED

=> d
L11 HAS NO ANSWERS
L11 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL SUBSET SEARCH INITIATED 16:45:00 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 570 TO ITERATE

100.0% PROCESSED 570 ITERATIONS 484 ANSWERS
SEARCH TIME: 00.00.01

L12 484 SEA SUB=L9 SSS FUL L11

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	35.30	377.63

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.30

FILE 'CAPLUS' ENTERED AT 16:45:03 ON 23 MAY 2003

FILE COVERS 1907 - 23 May 2003 VOL 138 ISS 22
FILE LAST UPDATED: 22 May 2003 (20030522/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l12
L13         193 L12

=> s l13 and spla
             36 SPLA
L14           5 L13 AND SPLA

=> s l13 and spla2
            531 SPLA2
L15          37 L13 AND SPLA2

=> d ibib abs hitstr 1-37
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L15 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:736140 CAPLUS
DOCUMENT NUMBER: 137:242179
TITLE: Remedies for arteriosclerosis
INVENTOR(S): Saiga, Akihiko; Ono, Takashi; Yamada, Katsutoshi; Hanasaki, Kohji
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074342	A1	20020926	WO 2002-JP2585	20020319

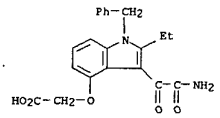
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-78569 A 20010319
JP 2001-401289 A 20011228
OTHER SOURCE(S): MARPAT 137:242179

AB Novel remedies and preventives for arteriosclerosis which are characterized by treating or preventing arteriosclerosis with the use of V type and/or X type **sPLA2** inhibitors.

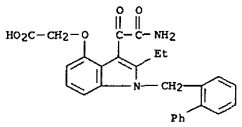
IT **172732-68-2 172732-70-6 258262-50-9 263910-31-2**
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(remedies for arteriosclerosis)

RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

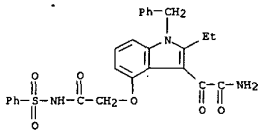


RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

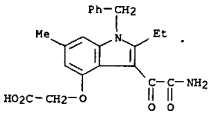
L15 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 258262-50-9 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-.alpha.-oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 263910-31-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-6-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



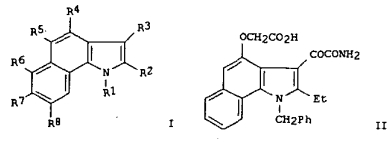
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:555462 CAPLUS
DOCUMENT NUMBER: 137:125079
TITLE: Novel benz[g]indoles as secretory phospholipase A2 (**sPLA2**) inhibitors
INVENTOR(S): Beight, Douglas Wade; Kinnick, Michael Dean; Lin, Ho-Shen; Morin, John Michael, Jr.; Richett, Michael Enrico; Sall, Daniel Jon; Sawyer, Jason Scott; Smith, Edward C. R.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057231	A2	20020725	WO 2001-US43182	20011206
WO 2002057231	A3	20030109		

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-256294P P 20001218
OTHER SOURCE(S): MARPAT 137:125079
GI

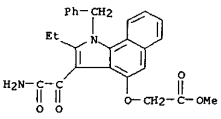


AB Benz[g]indoles I [R1 = R10, L1R10; R2 = H, C1-10 substituent; R3 = L2Z; R4 = (un)substituted CONH2, C1-8 acidic linker, CONR11R12; R5 = H, substituent; R6-R9 = non-interfering substituent; R10 = (un)substituted alkyl, alkenyl, carbocyclic, heterocyclic; R11 = OH, alkoxy, aryloxy; R12 = H, (un)substituted alkyl, aryl, aralkyl, cycloalkyl, alkoxyalkyl; L1 = alkylene, azaalkylene, oxaalkylene, thiaalkylene, O, S, NH; L2 = CH2, O, S, NH, CO; Z = C(:NOR13)CXNH2, CXCONH2, CR13CXNH2; X = O, S; R13 = H, alkyl, aryl, aralkyl, alkoxy, CN] were prepd. as inhibitors of **sPLA2** mediated release of fatty acids for treatment of inflammatory diseases such as septic shock. Thus, the oxamide II was

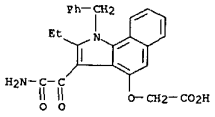
L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
obtained from Me 3-hydroxy-2-naphthoate in 12 steps and had an IC50 for **sPLA2** inhibition of 0.010 .mu.M.?.

IT **443911-27-1P 443911-28-2P 443911-29-3P 443911-30-6P 443911-31-7P 443911-32-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel benz[g]indoles as secretory phospholipase A2 (**sPLA2**) inhibitors)

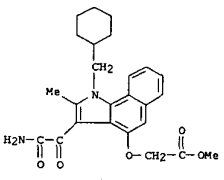
RN 443911-27-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-benz[g]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 443911-28-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-benz[g]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

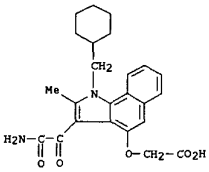


RN 443911-29-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-(cyclohexylmethyl)-2-methyl-1H-benz[g]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

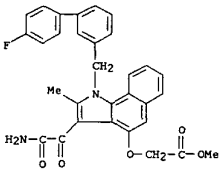


L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 443911-30-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-(cyclohexylmethyl)-2-methyl-1H-benz[g]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

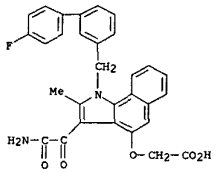


RN 443911-31-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4'-fluoro[1,1'-biphenyl]-3-yl)methyl]-2-methyl-1H-benz[g]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 443911-32-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4'-fluoro[1,1'-biphenyl]-3-yl)methyl]-2-methyl-1H-benz[g]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



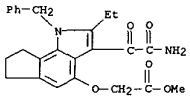
L15 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:487526 CAPLUS
DOCUMENT NUMBER: 137:47112
TITLE: Novel **sPLA2** inhibitors
INVENTOR(S): Beight, Douglas Wade; Kinnick, Michael Dean; Lin, Ho-Shen; Morin, John Michael, Jr.; Richett, Michael Enrico; Sall, Daniel Jon; Sawyer, Jason Scott; Smith, Edward C. R.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 174 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

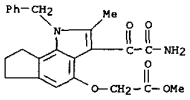
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050030	A2	20020627	WO 2001-US43187	20011206
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002033928	A5	20020701	AU 2002-33928	20011206
PRIORITY APPLN. INFO.:			US 2000-256397P	P 20001218
			WO 2001-US43187	W 20011206

OTHER SOURCE(S): MARPAT 137:47112
AB A novel class of cycloalkyl fused indole compds. is disclosed together using such compds. for inhibiting **sPLA2** mediated release of fatty acids for treatment of Inflammatory Diseases such as septic shock. Approx. 20 cyclopent- and cyclohexindoles were prepd. in several steps by std. methods and were tested as inhibitors of **sPLA2**. E.g., 2-[4-(2-benzenesulfonylamino-2-oxoethoxy)-1-benzyl-2-methyl-1,6,7,8-tetrahydro-1-aza-as-indacen-3-yl]-2-oxoacetamide, 2-[[3-(2-amino-1,2-dioxoethyl)-2-methyl-1-(2-fluorobenzyl)-1,6,7,8-tetrahydrocyclopent[g]indol-4-yl]oxy]acetic acid, and 2-[[3-(2-amino-1,2-dioxoethyl)-1-benzyl-2-methyl-6,7,8,9-tetrahydro-1H-benz[g]indol-4-yl]oxy]acetic acid exhibited IC50 values of 0.007, 0.009 and 0.010 .mu.M, resp.
IT **438623-56-4P 438623-58-6P 438623-59-7P 438623-61-1P 438623-63-3P 438623-65-5P 438623-67-7P 438623-69-9P 438623-71-3P 438623-73-5P 438623-75-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cycloalkyl fused indoles as **sPLA2** inhibitors)
RN 438623-56-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1,6,7,8-tetrahydro-1-(phenylmethyl)cyclopent[g]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

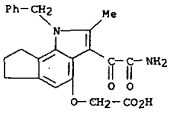
L15 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



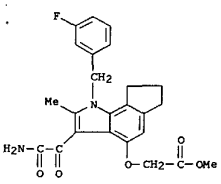
RN 438623-58-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1,6,7,8-tetrahydro-2-methyl-1-(phenylmethyl)cyclopent[g]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 438623-59-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1,6,7,8-tetrahydro-2-methyl-1-(phenylmethyl)cyclopent[g]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

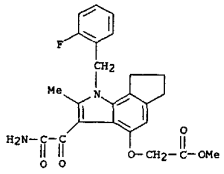


RN 438623-61-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-fluorophenyl)methyl]-1,6,7,8-tetrahydro-2-methylcyclopent[g]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

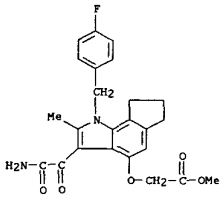


L15 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 438623-63-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2-fluorophenyl)methyl]-1,6,7,8-tetrahydro-2-methylcyclopent[g]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

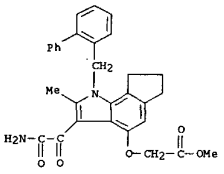


RN 438623-65-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-1,6,7,8-tetrahydro-2-methylcyclopent[g]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

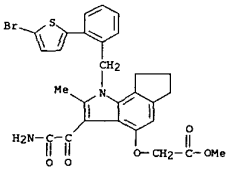


RN 438623-67-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-6,7,8,9-tetrahydro-1-(phenylmethyl)-1H-benz[g]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

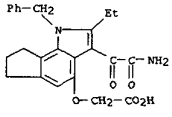


RN 438623-75-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[[2-(5-bromo-2-thienyl)phenyl]methyl]-1,6,7,8-tetrahydro-2-methylcyclopent[g]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



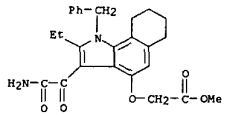
IT **438623-57-5P 438623-60-0P 438623-62-2P**
438623-64-4P 438623-66-6P 438623-68-8P
438623-70-2P 438623-72-4P 438623-74-6P
438623-76-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of cycloalkyl fused indoles as **sPLA2** inhibitors)

RN 438623-57-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1,6,7,8-tetrahydro-1-(phenylmethyl)cyclopent[g]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

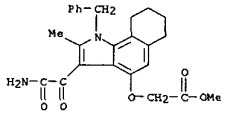


RN 438623-60-0 CAPLUS
CN Cyclopent[g]indole-3-acetamide, 1,6,7,8-tetrahydro-2-methyl-.alpha.-oxo-4-

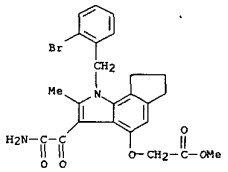
L15 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 438623-69-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-6,7,8,9-tetrahydro-2-methyl-1-(phenylmethyl)-1H-benz[g]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

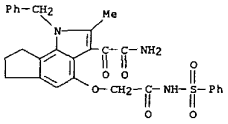


RN 438623-71-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2-bromophenyl)methyl]-1,6,7,8-tetrahydro-2-methylcyclopent[g]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

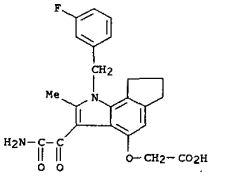


RN 438623-73-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-1,6,7,8-tetrahydro-2-methylcyclopent[g]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

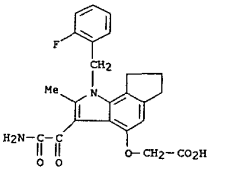
L15 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 438623-62-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-fluorophenyl)methyl]-1,6,7,8-tetrahydro-2-methylcyclopent[g]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

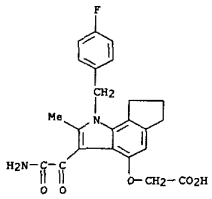


RN 438623-64-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2-fluorophenyl)methyl]-1,6,7,8-tetrahydro-2-methylcyclopent[g]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

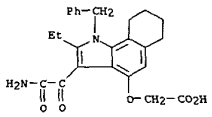


RN 438623-66-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-1,6,7,8-tetrahydro-2-methylcyclopent[g]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

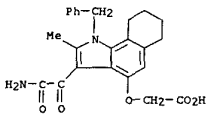
L15 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 438623-68-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-6,7,8,9-tetrahydro-1-(phenylmethyl)-1H-benz[g]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

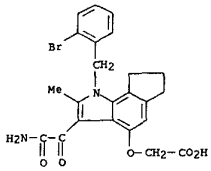


RN 438623-70-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-6,7,8,9-tetrahydro-2-methyl-1-(phenylmethyl)-1H-benz[g]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

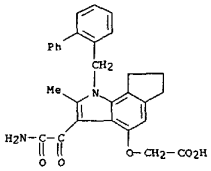


RN 438623-72-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2-bromophenyl)methyl]-1,6,7,8-tetrahydro-2-methylcyclopent[g]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

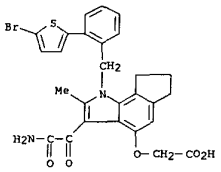
L15 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 438623-74-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-1,6,7,8-tetrahydro-2-methylcyclopent[g]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 438623-76-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[[2-(5-bromo-2-thienyl)phenyl]methyl]-1,6,7,8-tetrahydro-2-methylcyclopent[g]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



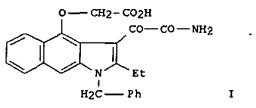
L15 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

L15 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:487524 CAPLUS
DOCUMENT NUMBER: 137:47110
TITLE: Novel **sPLA2** inhibitors
INVENTOR(S): Beight, Douglas Wade; Kinnick, Michael Dean; Lin, Ho-Shen; Morin, John Michael, Jr.; Richett, Michael Enrico; Sall, Daniel Jon; Sawyer, Jason Scott; Smith, Edward C. R.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050028	A2	20020627	WO 2001-US43184	20011206
WO 2002050028	A3	20020919		
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002037655	A5	20020701	AU 2002-37655	20011206
PRIORITY APPLN. INFO.:			US 2000-256281P P	20001218
			WO 2001-US43184 W	20011206

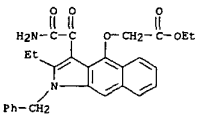
OTHER SOURCE(S): MARPAT 137:47110
GI



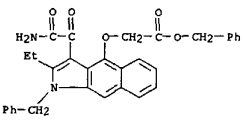
I

AB A novel class of benz[f]indole compds. is disclosed together using such compds. for inhibiting **sPLA2** mediated release of fatty acids for treatment of Inflammatory Diseases such as septic shock. Thus, benzindole I, prepd. in several steps by std. methods, exhibited an inhibition value IC50 of 1.06 .mu.M against **sPLA2**.
IT **438587-47-4P 438587-48-5P 438587-49-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of benzindoles as **sPLA2** inhibitors)
RN 438587-47-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-benz[f]indol-

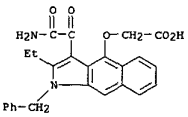
L15 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
4-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 438587-48-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-benz[f]indol-4-yl]oxy]-, phenylmethyl ester (9CI) (CA INDEX NAME)



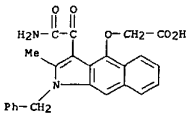
RN 438587-49-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-benz[f]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



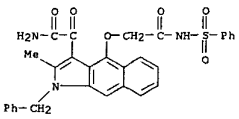
IT **438587-50-9P 438587-51-0P 438587-52-1P**
438587-53-2P 438587-54-3P 438587-55-4P
438587-56-5P 438587-57-6P 438587-58-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of benzindoles as **sPLA2** inhibitors)

RN 438587-50-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-benz[f]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

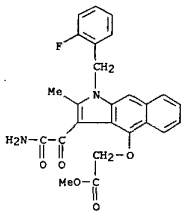
L15 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 438587-51-0 CAPLUS
CN 1H-Benz[f]indole-3-acetamide, 2-methyl-.alpha.-oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

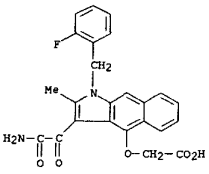


RN 438587-52-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2-fluorophenyl)methyl]-2-methyl-1H-benz[f]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

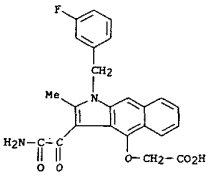


RN 438587-53-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2-fluorophenyl)methyl]-2-methyl-1H-benz[f]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

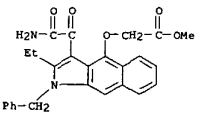
L15 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 438587-54-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-fluorophenyl)methyl]-2-methyl-1H-benz[f]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

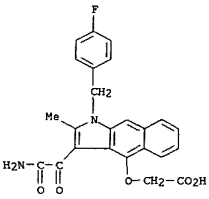


RN 438587-55-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-benz[f]indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

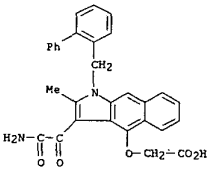


RN 438587-56-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-benz[f]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

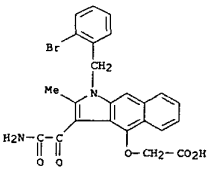
L15 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 438587-57-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-benz[f]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



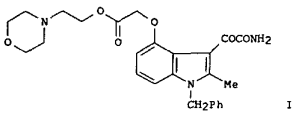
RN 438587-58-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2-bromophenyl)methyl]-2-methyl-1H-benz[f]indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



L15 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:71855 CAPLUS
DOCUMENT NUMBER: 136:134669
TITLE: Indoleoxoacetamides and tetrahydrocarbazoles as **sPLA2** inhibitors in treating sepsis
INVENTOR(S): Loh, Andrew; Macias, William Louis; Skerjanec, Simona
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005796	A2	20020124	WO 2001-US16509	20010629
WO 2002005796	A3	20020906		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1303262	A2	20030423	EP 2001-952123	20010629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

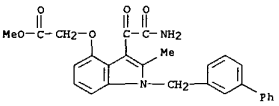
PRIORITY APPLN. INFO.: US 2000-218928P P 20000714
US 2000-256398P P 20001218
WO 2001-US16509 W 20010629
OTHER SOURCE(S): MARPAT 136:134669
GI



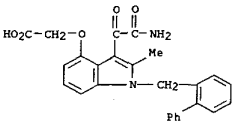
I

AB Indoleoxoacetamides and tetrahydrocarbazoles were prepd. for use as **sPLA2** inhibitors in treating sepsis. Thus, 3-methoxy-2-methylaniline was N-tert.-butoxycarbonylated, lithiated at the Me group with sec-butyllithium and then treated with N-methoxy-N-methylacetamide, and cyclized with CF3CO2H to give 4-methoxy-2-methylindole. The latter compd. was N-benzylated, demethylated, treated with BrCH2CO2Me, followed by ester hydrolysis and esterification with 4-(2-chloroethyl)morpholine

L15 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 172732-91-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

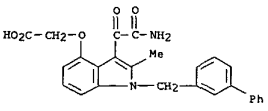


RN 185298-61-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

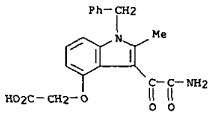
IT **172732-87-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of indoleoxoacetamides and tetrahydrocarbazoles as **sPLA2** inhibitors in treating sepsis)
RN 172732-87-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)



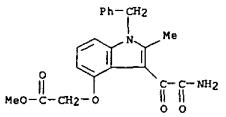
● Na

IT **172732-61-5P 172732-62-6P 172732-63-7P**
172732-64-8P 172732-65-9P 172732-66-0P

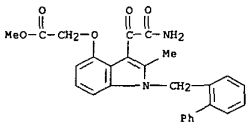
L15 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
hydrochloride to give the indole 1. The results of clin. trials are reported.
IT **172732-60-4P 172732-80-8P 172732-86-4P**
172732-91-1P 185298-61-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indoleoxoacetamides and tetrahydrocarbazoles as **sPLA2** inhibitors in treating sepsis)
RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



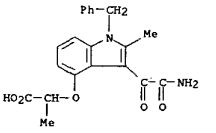
RN 172732-80-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



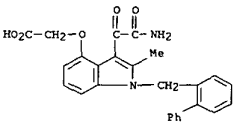
RN 172732-86-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



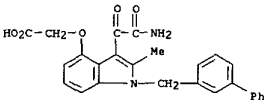
L15 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
172732-67-1P 172732-68-2P 172732-69-3P
172732-70-6P 172732-71-7P 172732-72-8P
172732-73-9P 172732-74-0P 172733-08-3P
172733-42-5P 249730-08-3P 249730-11-8P
391936-25-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indoleoxoacetamides and tetrahydrocarbazoles as **sPLA2** inhibitors in treating sepsis)
RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

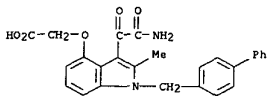


RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

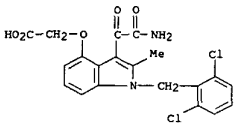


RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

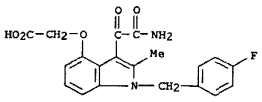
L15 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

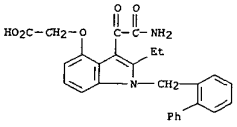


RN 172732-66-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

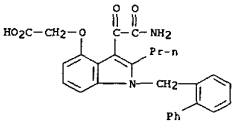


RN 172732-67-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

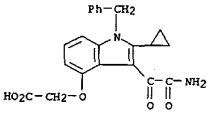
L15 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



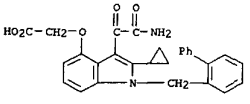
RN 172732-71-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

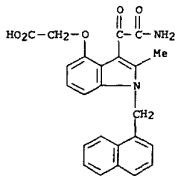


RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

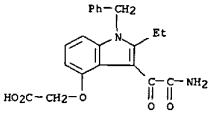


RN 172732-74-0 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-

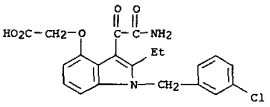
L15 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

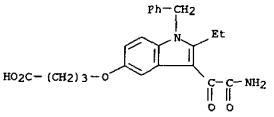


RN 172732-69-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

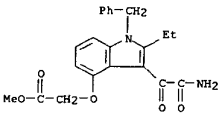


RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

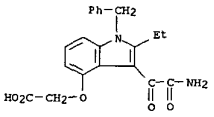
L15 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
yl]oxy]- (9CI) (CA INDEX NAME)



RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



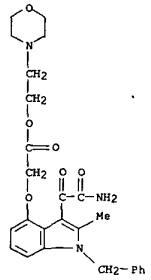
RN 172733-42-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)



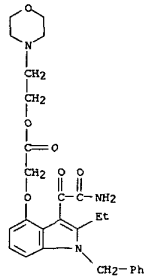
● Na

RN 249730-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

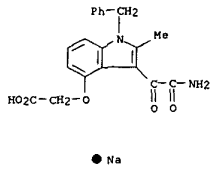


RN 249730-11-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)



RN 391936-25-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-

L15 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)



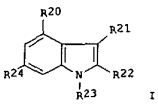
L15 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:10441 CAPLUS
DOCUMENT NUMBER: 136:69735
TITLE: Preparation of heterocyclic compounds as X-type **sPLA2** inhibitors
INVENTOR(S): Ogawa, Tomoyuki; Seno, Kaoru; Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

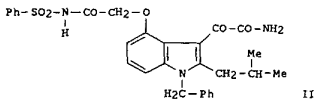
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000621	A1	20020103	WO 2001-JP5479	20010627

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-195430 A 20000629
OTHER SOURCE(S): MARPAT 136:69735
GI



I



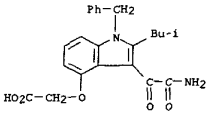
II

AB The title compds., e.g. I [R20 is OCH2COOH or the like; R21 is COCONH2 or the like; R22 is C4-6 alkyl; R23 is CH2R18 (wherein R18 is aryl or the like); and R24 is hydrogen or C1-6 alkyl], are prepd. The title compd. II in vitro showed IC50 of 0.008 .mu.M against X-type **sPLA2**. Formulations are given.

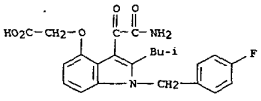
IT **383860-19-3P 383860-20-6P 383860-21-7P**
383860-22-8P 383860-23-9P 383860-24-0P

L15 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
383860-30-8P 383860-31-9P 383860-32-0P
383860-33-1P 383860-34-2P 383860-35-3P
383860-36-4P 383860-37-5P 383860-38-6P
383860-44-4P 383860-47-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as X-type **sPLA2** inhibitors)

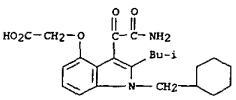
RN 383860-19-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-(2-methylpropyl)-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 383860-20-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-(2-methylpropyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

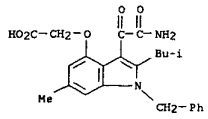


RN 383860-21-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-(cyclohexylmethyl)-2-(2-methylpropyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

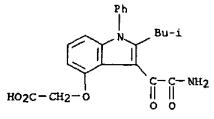


RN 383860-22-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-6-methyl-2-(2-methylpropyl)-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

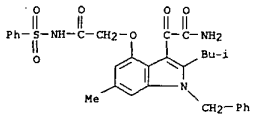
L15 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 383860-23-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-(2-methylpropyl)-1-phenyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

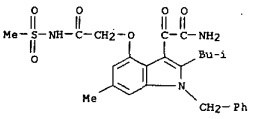


RN 383860-24-0 CAPLUS
CN 1H-Indole-3-acetamide, 6-methyl-2-(2-methylpropyl)-.alpha.-oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

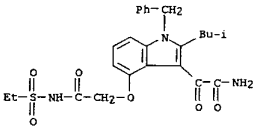


RN 383860-30-8 CAPLUS
CN 1H-Indole-3-acetamide, 2-(2-methylpropyl)-.alpha.-oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

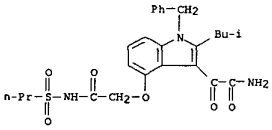
L15 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 383860-34-2 CAPLUS
CN 1H-Indole-3-acetamide, 4-[2-[(ethylsulfonyl)amino]-2-oxoethoxy]-2-(2-methylpropyl)-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

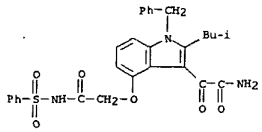


RN 383860-35-3 CAPLUS
CN 1H-Indole-3-acetamide, 2-(2-methylpropyl)-.alpha.-oxo-4-[2-oxo-2-[(propylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

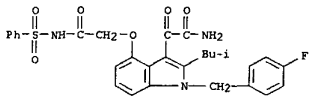


RN 383860-36-4 CAPLUS
CN 1H-Indole-3-acetamide, 4-[2-[[(1-methylethyl)sulfonyl]amino]-2-oxoethoxy]-2-(2-methylpropyl)-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

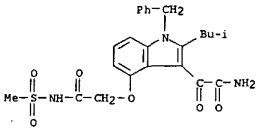
L15 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 383860-31-9 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-fluorophenyl)methyl]-2-(2-methylpropyl)-.alpha.-oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]- (9CI) (CA INDEX NAME)

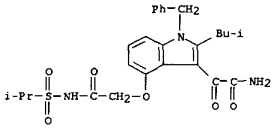


RN 383860-32-0 CAPLUS
CN 1H-Indole-3-acetamide, 2-(2-methylpropyl)-4-[2-[(methylsulfonyl)amino]-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

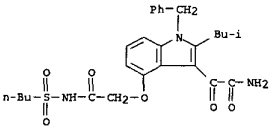


RN 383860-33-1 CAPLUS
CN 1H-Indole-3-acetamide, 6-methyl-2-(2-methylpropyl)-4-[2-[(methylsulfonyl)amino]-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

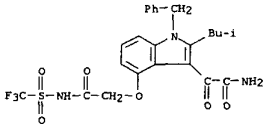
L15 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 383860-37-5 CAPLUS
CN 1H-Indole-3-acetamide, 4-[2-[(butylsulfonyl)amino]-2-oxoethoxy]-2-(2-methylpropyl)-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

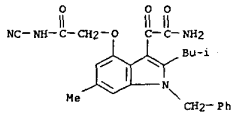


RN 383860-38-6 CAPLUS
CN 1H-Indole-3-acetamide, 2-(2-methylpropyl)-.alpha.-oxo-4-[2-oxo-2-[[(trifluoromethyl)sulfonyl]amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

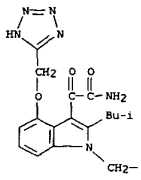


RN 383860-44-4 CAPLUS
CN 1H-Indole-3-acetamide, 4-[2-(cyanoamino)-2-oxoethoxy]-6-methyl-2-(2-methylpropyl)-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

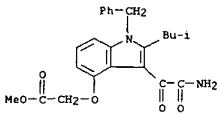
L15 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 383860-47-7 CAPLUS
CN 1H-Indole-3-acetamide, 2-(2-methylpropyl)-.alpha.-oxo-1-(phenylmethyl)-4-(1H-tetrazol-5-ylmethoxy)- (9CI) (CA INDEX NAME)

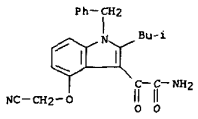


IT **383860-68-2P 383860-74-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic compds. as X-type **sPLA2** inhibitors)
RN 383860-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-(2-methylpropyl)-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 383860-74-0 CAPLUS
CN 1H-Indole-3-acetamide, 4-(cyanomethoxy)-2-(2-methylpropyl)-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L15 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



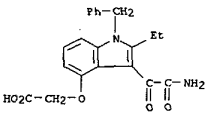
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:10308 CAPLUS
DOCUMENT NUMBER: 136:64151
TITLE: Secretory PLA2 inhibitors as remedies for Alzheimer's disease
INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000257	A1	20020103	WO 2001-JP5482	20010627

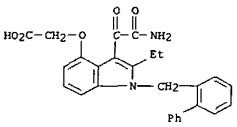
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-195445 A 20000629
OTHER SOURCE(S): MARPAT 136:64151
AB It is found out that type X **sPLA2** inhibitors are useful in preventing or treating Alzheimer's disease.
IT **172732-68-2 172732-70-6 258262-50-9**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(secretory PLA2 inhibitors as remedies for Alzheimer's disease)
RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

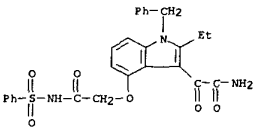


RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 258262-50-9 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-.alpha.-oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



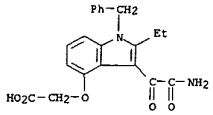
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:10307 CAPLUS
DOCUMENT NUMBER: 136:64164
TITLE: Remedies for cirrhosis
INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000256	A1	20020103	WO 2001-JP5481	20010627

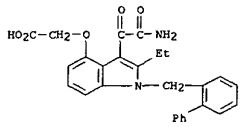
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-195436 A 20000629
OTHER SOURCE(S): MARPAT 136:64164
AB It is found out that type X **sPLA2** inhibitors are useful in preventing or treating cirrhosis.
IT **172732-68-2 172732-70-6 258262-50-9**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(secretory PLA2 inhibitors as remedies for cirrhosis)
RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

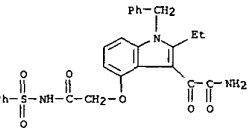


RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 258262-50-9 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-.alpha.-oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



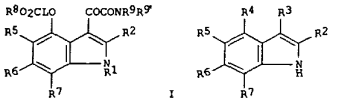
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:798191 CAPLUS
DOCUMENT NUMBER: 135:331344
TITLE: Preparation of 1H-indole-3-glyoxylamide compounds by azide cyclization-based synthesis and their use as **sPLA2** inhibitors
INVENTOR(S): Sawyer, Jason Scott; Smith, Edward C. R.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

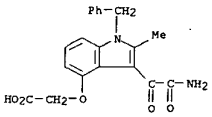
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081306	A2	20011101	WO 2001-US8644	20010405
WO 2001081306	A3	20020502		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

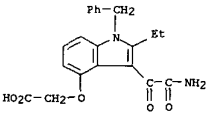
PRIORITY APPLN. INFO.: US 2000-198182P P 20000419
OTHER SOURCE(S): CASREACT 135:331344; MARPAT 135:331344
GI



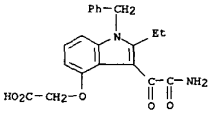
AB **sPLA2** inhibitor compds. I were prepd. via an azide cyclization reaction that forms the intermediate indole compds. II [R1 = H, R10, COR10; R2 is R20, OR20, SR20, NR20R20', CO2R20, C(O)R20; R3, R4, R5, R6 and R7 are each individually H, halo, R, OR, SR, NRR', C(O)R, CO2R, S(O)R, S(O)2R; at least one of R4 and R5 is not H; each R, R10 and R20 is individually alkyl, alkenyl, alkynyl, aryl or heterocyclic radical; each R' and R20' is individually H, alkyl, alkenyl, alkynyl, aryl or heterocyclic radical; R8 = alkali metal, H, alkyl, etc.; R9, R9' = H, alkyl, alkenyl, etc.]. E.g., a soln. of 2-azido-3-(2-methoxyphenyl)-2-propenoic acid Et ester in toluene was refluxed under an inert atm. to give 30% 4-methoxy-2-indolecarboxylic acid Et ester. [3-(2-Amino-1,2-dioxoethyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxylacetic acid was prepd. in several further steps from the indolecarboxylate ester.
IT **172732-60-4P 172732-68-2P 172733-42-5P 249730-11-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1H-indole-3-glyoxylamide compds. as **sPLA2** inhibitors)
RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172733-42-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)



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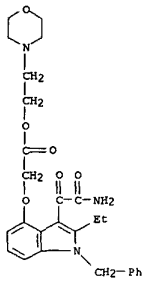
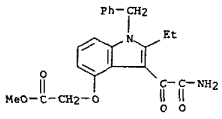
RN 249730-11-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

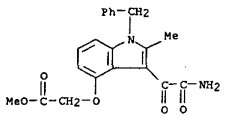


IT **172733-08-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 1H-indole-3-glyoxylamide compds. as **sPLA2** inhibitors)
RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



IT **172732-80-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 1H-indole-3-glyoxylamide compds. as **sPLA2** inhibitors)
RN 172732-80-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

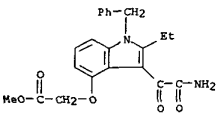


L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:676601 CAPLUS
DOCUMENT NUMBER: 135:236446
TITLE: Compositions containing potential secretory phospholipase A2 (**sPLA2**) inhibitors for the treatment of pain
INVENTOR(S): Macias, William Louis
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066111	A1	20010913	WO 2001-US9	20010116

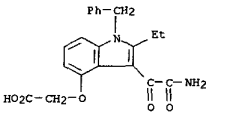
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-188135P P 20000309
OTHER SOURCE(S): MARPAT 135:236446
AB A method is disclosed for the treatment of pain by administering to an animal in need thereof a therapeutically effective amt. of a **sPLA2** inhibitor, e.g. a 1H-indole-3-glyoxylamide or **sPLA2** inhibitor in combination with propoxyphene. Prepn. of [(3-(2-Amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetic acid is described.
IT **172733-08-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(secretory phospholipase A2 inhibitors for treatment of pain)
RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

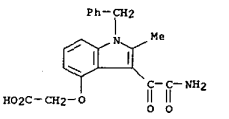


IT **172732-68-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(secretory phospholipase A2 inhibitors for treatment of pain)
RN 172732-68-2 CAPLUS

L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

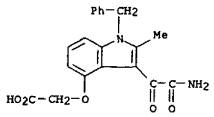


IT **172732-60-4 172732-60-4D**, derivs. **172732-61-5 172732-61-5D**, derivs. **172732-62-6 172732-62-6D**, derivs. **172732-63-7 172732-63-7D**, derivs. **172732-64-8 172732-64-8D**, derivs. **172732-65-9 172732-65-9D**, derivs. **172732-66-0 172732-66-0D**, derivs. **172732-67-1 172732-67-1D**, derivs. **172732-68-2D**, derivs. **172732-69-3 172732-69-3D**, derivs. **172732-70-6 172732-70-6D**, derivs. **172732-71-7 172732-71-7D**, derivs. **172732-72-8 172732-72-8D**, derivs. **172732-73-9 172732-73-9D**, derivs. **172732-74-0 172732-74-0D**, derivs. **172733-42-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(secretory phospholipase A2 inhibitors for treatment of pain)
RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

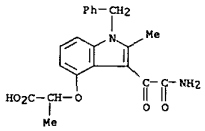


RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

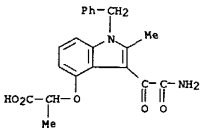
L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

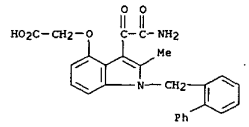


RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

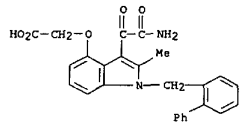


RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

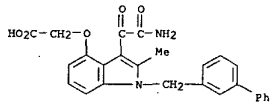
L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

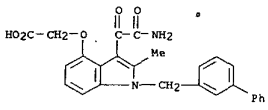


RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

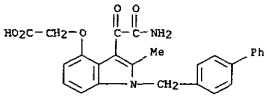


RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

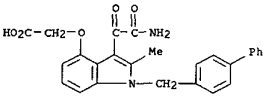
L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



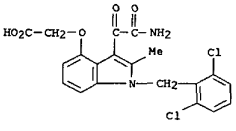
RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

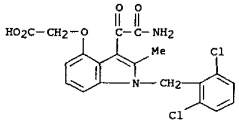


RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

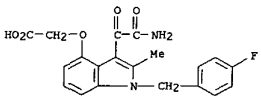


RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-66-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-66-0 CAPLUS
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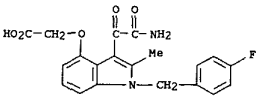

RN 172732-67-1 CAPLUS
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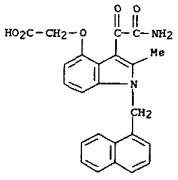
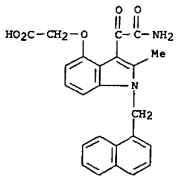


RN 172732-67-1 CAPLUS

L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-68-2 CAPLUS
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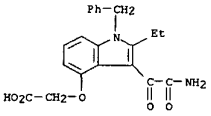

RN 172732-69-3 CAPLUS
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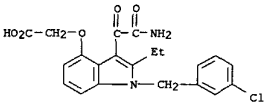
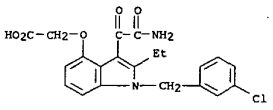
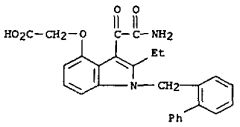


RN 172732-69-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

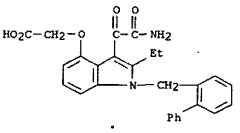
L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

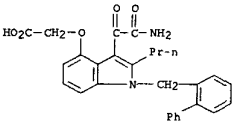


RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

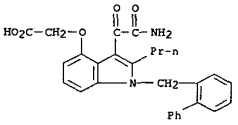


RN 172732-71-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

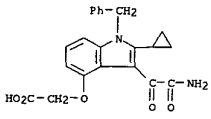
L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



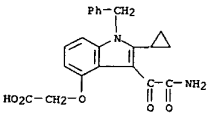
RN 172732-71-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



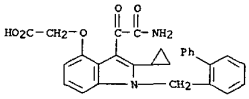
RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



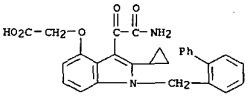
RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



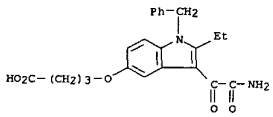
L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



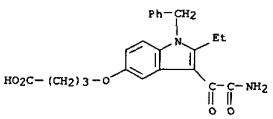
RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



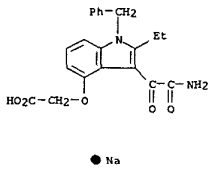
RN 172732-74-0 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-74-0 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-yl]oxy]- (9CI) (CA INDEX NAME)



L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 172733-42-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

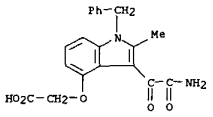
L15 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:676600 CAPLUS
DOCUMENT NUMBER: 135:236432
TITLE: Methods and formulations containing secretory phospholipase A2 (**sPLA2**) inhibitors for the treatment of renal dysfunction
INVENTOR(S): Macias, William Louis; Meador, Vincent Phillip
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066110	A2	20010913	WO 2001-US7	20010116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1265607	A2	20021218	EP 2001-956186	20010116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003087944	A1	20030508	US 2002-203436	20020805

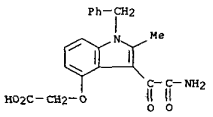
PRIORITY APPLN. INFO.: US 2000-188039P P 20000309
WO 2001-US7 W 20010116

OTHER SOURCE(S): MARPAT 135:236432
AB A method is disclosed for the treatment of symptoms assocd. with renal dysfunction by administering to an animal in need thereof a therapeutically effective amt. of a **sPLA2** inhibitor, e.g. a 1H-indole-3-glyoxylamide. Prepn. of [(3-(2-Amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetic acid is described.
IT **172732-60-4 172732-60-4D**, derivs. **172732-61-5 172732-61-5D**, derivs. **172732-62-6 172732-62-6D**, derivs. **172732-63-7 172732-63-7D**, derivs. **172732-64-8 172732-64-8D**, derivs. **172732-65-9 172732-65-9D**, derivs. **172732-66-0 172732-66-0D**, derivs. **172732-67-1 172732-67-1D**, derivs. **172732-68-2 172732-68-2D**, derivs. **172732-69-3 172732-69-3D**, derivs. **172732-70-6 172732-70-6D**, derivs. **172732-71-7 172732-71-7D**, derivs. **172732-72-8 172732-72-8D**, derivs. **172732-73-9 172732-73-9D**, derivs. **172732-74-0 172732-74-0D**, derivs. **172733-08-3 172733-42-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(secretory phospholipase A2 inhibitors for treatment of renal dysfunction)
RN 172732-60-4 CAPLUS

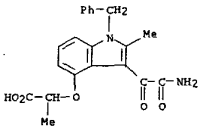
L15 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

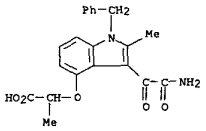


RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

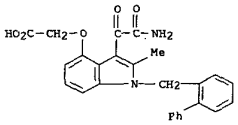


RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

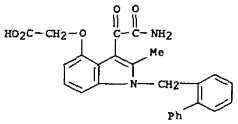
L15 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



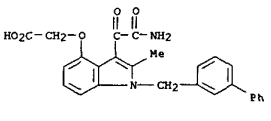
RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



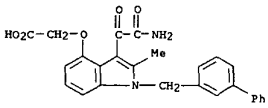
RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



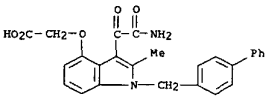
RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



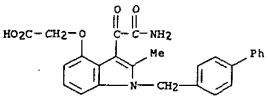
L15 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

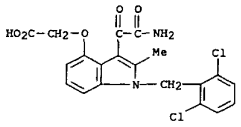


RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

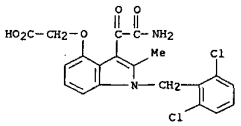


RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

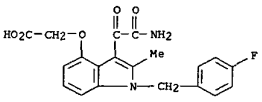
L15 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



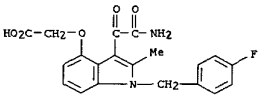
RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



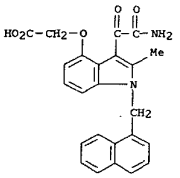
RN 172732-66-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



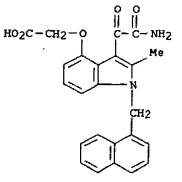
RN 172732-66-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



L15 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 172732-67-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-67-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-68-2 CAPLUS
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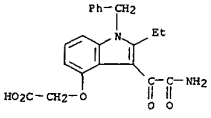
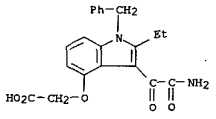


RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-69-3 CAPLUS
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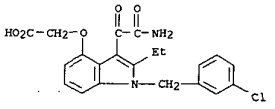
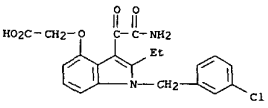
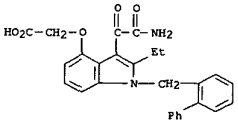


RN 172732-69-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

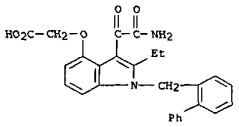


RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

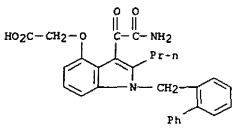


RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-

L15 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-71-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-71-7 CAPLUS
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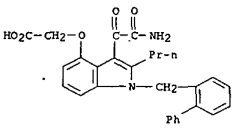
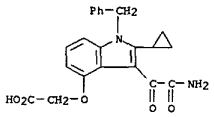
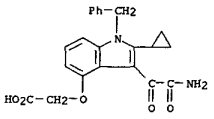


RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

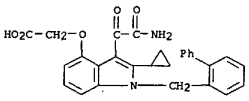
L15 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



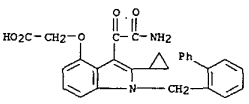
RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



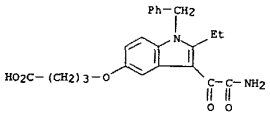
RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



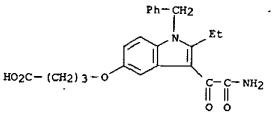
RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



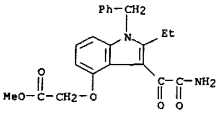
L15 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 172732-74-0 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-74-0 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-yl]oxy]- (9CI) (CA INDEX NAME)

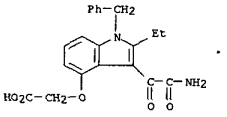


RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 172733-42-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)

L15 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



● Na

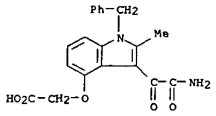
L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:507563 CAPLUS
DOCUMENT NUMBER: 135:87174
TITLE: Combination therapy using a neutrophil elastase inhibitor and an secretory phospholipase A2 inhibitor for the treatment of inflammatory and respiratory diseases
INVENTOR(S): Macias, William Louis
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 263 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001049323	A1	20010712	WO 2000-US34262	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1259260	A1	20021127	EP 2000-990230	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003092767	A1	20030515	US 2002-149365	20020607
PRIORITY APPLN. INFO.:			US 2000-174723P P	20000106
			WO 2000-US34262 W	20001222

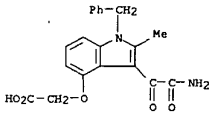
OTHER SOURCE(S): MARPAT 135:87174
AB A pharmaceutical compn. for the treatment of an inflammatory disease or a respiratory disease in mammals comprises, as active ingredients, a neutrophil elastase inhibitor and an **sPLA2** inhibitor. Prepn. of [(3-(2-amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indole-4-yl)oxy]acetic acid is described.
IT **172732-60-4** **172732-60-4D**, prodrug derivs.
172732-61-5 **172732-61-5D**, prodrug derivs.
172732-62-6 **172732-62-6D**, prodrug derivs.
172732-63-7 **172732-63-7D**, prodrug derivs.
172732-64-8 **172732-64-8D**, prodrug derivs.
172732-65-9 **172732-65-9D**, prodrug derivs.
172732-66-0 **172732-66-0D**, prodrug derivs.
172732-67-1 **172732-67-1D**, prodrug derivs.
172732-68-2 **172732-68-2D**, prodrug derivs.
172732-69-3 **172732-69-3D**, prodrug derivs.
172732-70-6 **172732-70-6D**, prodrug derivs.
172732-71-7 **172732-71-7D**, prodrug derivs.
172732-72-8 **172732-72-8D**, prodrug derivs.
172732-73-9 **172732-73-9D**, prodrug derivs.
220862-20-4 **220862-20-4D**, prodrug derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
(Uses)
(neutrophil elastase inhibitor-secretory phospholipase A2 inhibitor combination therapy for inflammatory and respiratory diseases)

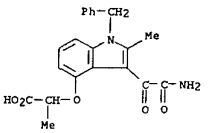
RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

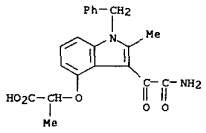


RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

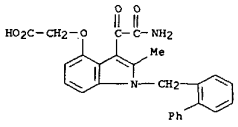


RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

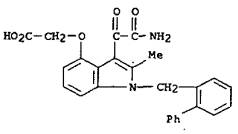
L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



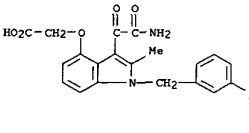
RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

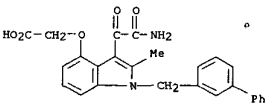


RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

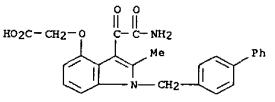


L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

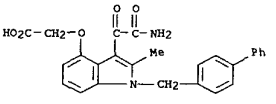
RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



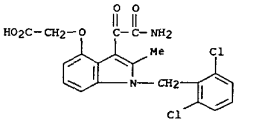
RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

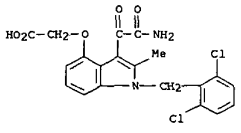


RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

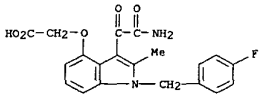


RN 172732-65-9 CAPLUS

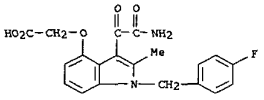
L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-66-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

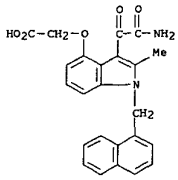


RN 172732-66-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy}- (9CI) (CA INDEX NAME)

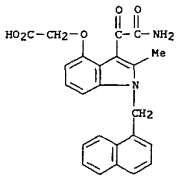


RN 172732-67-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

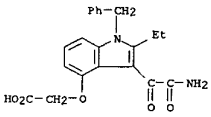
L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-67-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

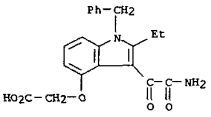


RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

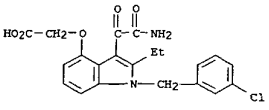


RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

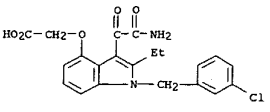
L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



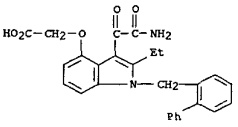
RN 172732-69-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-69-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

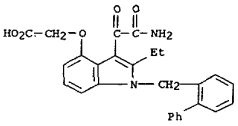


RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

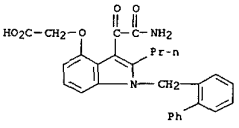


RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-

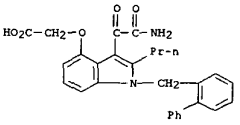
L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-71-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

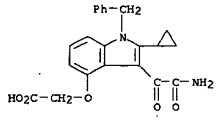


RN 172732-71-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

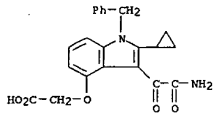


RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

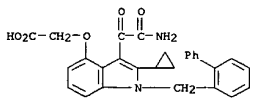
L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



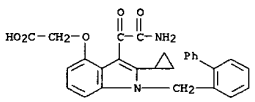
RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



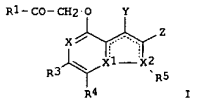
L15 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:283786 CAPLUS
DOCUMENT NUMBER: 134:290409
TITLE: Preparation of V type and/or X type **sPLA2** inhibitors
INVENTOR(S): Ono, Takashi; Ueno, Masahiko; Hanasaki, Kohji
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

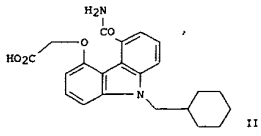
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001026653	A1	20010419	WO 2000-JP7024	20001010

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-293273 A 19991015
OTHER SOURCE(S): MARPAT 134:290409
GI



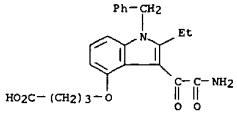
I



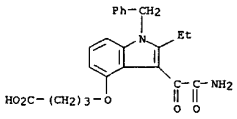
II

AB V type and/or X type **sPLA2** inhibitors which contain as the active ingredient compds. represented by general formulas [I; X = CHR2, N; X1 = C, N; X2 = C, N; Y = R6; Z = R7; YZ = C(CONH2):CHCH:CH; R1 = OH,

L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 220862-20-4 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 220862-20-4 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

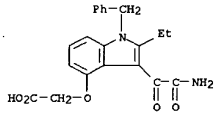


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

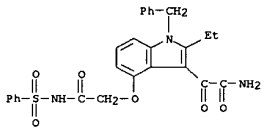
L15 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
NHSO2C6H5; R2, R3, R4 independently = H, CH3, C6H5, F; ; R5 = 4-C6H5C6H4CH2, C6H5CH2, cyclohexylmethyl, 2-cyclopentylphenyl; R6 = H, C1-3 alkyl; R7 = COCONH2, CH2CONH2; dotted bond = single, double], prodrugs thereof, and pharmaceutically acceptable salts of the same or solvates of the same are prepd. as V type and/or X type **sPLA2** inhibitors. Thus, the title compd. II was prepd. and tested for X type **sPLA2** inhibition with an IC50 of 3 nM.

IT **172732-68-2P 258262-50-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of V type and/or X type **sPLA2** inhibitors)

RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 258262-50-9 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-.alpha.-oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

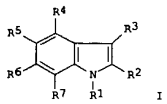


REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:228857 CAPLUS
DOCUMENT NUMBER: 134:252258
TITLE: Preparation of indole derivatives as human non-pancreatic secretory phospholipase A2 (**sPLA2**) inhibitors
INVENTOR(S): Harper, Richard Waltz; Lin, Ho-Shen; Richett, Michael Enrico
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021587	A2	20010329	WO 2000-US20816	20000907
WO 2001021587	A3	20011011		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1220839	A2	20020710	EP 2000-959170	20000907
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509491	T2	20030311	JP 2001-524967	20000907

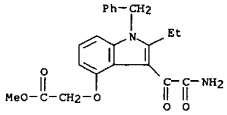
PRIORITY APPLN. INFO.: US 1999-154836P P 19990920
WO 2000-US20816 W 20000907
OTHER SOURCE(S): MARPAT 134:252258
GI



AB A class of novel indole represented by formula [I; R1 is selected from groups (a), (b), and (c) wherein; (a) is C7-20 alkyl, C7-20 haloalkyl, C7-20 alkenyl, C7-20 alkynyl, carbocyclic radical, or heterocyclic radical, or (b) is a member of (a) substituted with one or more independently selected non-interfering substituents; or (c) is (a) or (b) group linked to a divalent linking group of 1 to 8 atoms; R2 is hydrogen, or a group contg. 1 to 4 nonhydrogen atoms plus any required hydrogen atoms; R3 is -(L3)-Z, where (L3) is a divalent linker group selected from a bond or a divalent group selected from: CH2, O, S, NH, CO and Z is selected from a group represented by the formulas, C(:NORa)C(:X)NH2, C(:X)CONH2, C(Ra)2C(:X)NH2 or wherein, X is oxygen or sulfur; and Ra is selected from hydrogen, C1-8 alkyl, aryl, C1-8 alkaryl, C1-8 alkoxy, aralkyl and cyano; R4 is the group,-(Lh)-(hydroxyfunctional amide); wherein (Lh), is an hydroxyfunctional amide linker having an hydroxyfunctional amide linker length of 1 to 8; R5 is selected from hydrogen, a non-interfering substituent, or the group, -(La)-(acidic group); wherein -(La)-, is an acid linker having an acid linker length of 1 to 8; R6 and R7 are selected from hydrogen, non-interfering substituent, carbocyclic radical, carbocyclic radical substituted with non-interfering substituent(s), heterocyclic radicals, and heterocyclic radical substituted with non-interfering substituent(s)] is prepd. These compds. inhibit **sPLA2**-assisted release of fatty acids for treatment of inflammatory diseases such as septic shock. Thus, [[3-(2-amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetic acid Me ester was condensed with O-phenylhydroxylamine hydrochloride in the presence of collidine and benzotriazol-1-yloxytris-(dimethylamino)phosphonium hexafluorophosphate in DMF at ambient temp. for 2 h to give 2-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-N-(phenyloxy)acetamide (II). II in vitro showed IC50 of 9.0.+-.2.0 nM against **sPLA2**.

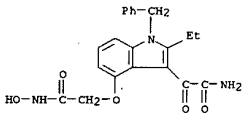
IT **172733-08-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of indole derivs. as human non-pancreatic secretory phospholipase A2 (**sPLA2**) inhibitors and inhibitors of **sPLA2**-mediated release of fatty acids for treatment of inflammatory diseases such as septic shock)

RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

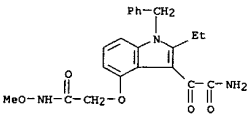


IT **331440-80-3P 331440-82-5P 331440-84-7P 331440-86-9P 331440-88-1P 331440-90-5P 331440-92-7P 331440-95-0P 331440-97-2P 331440-99-4P 331441-01-1P 331441-03-3P 331441-05-5P 331441-07-7P 331441-15-7P 331441-20-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indole derivs. as human non-pancreatic secretory phospholipase A2 (**sPLA2**) inhibitors and inhibitors of **sPLA2**-mediated release of fatty acids for treatment of inflammatory diseases such as septic shock)

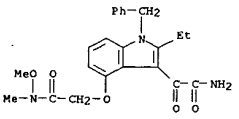
RN 331440-80-3 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-(hydroxyamino)-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



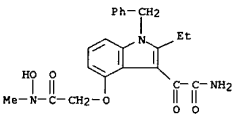
RN 331440-82-5 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-(methoxyamino)-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



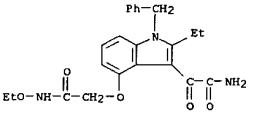
RN 331440-84-7 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-(methoxymethylamino)-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



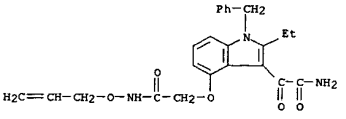
RN 331440-86-9 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-(hydroxymethylamino)-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



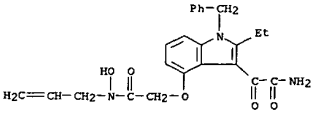
RN 331440-88-1 CAPLUS
CN 1H-Indole-3-acetamide, 4-[2-(ethoxyamino)-2-oxoethoxy]-2-ethyl-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



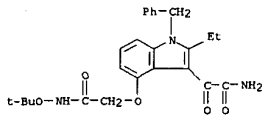
RN 331440-90-5 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-.alpha.-oxo-4-[2-oxo-2-[(2-propenyloxy)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



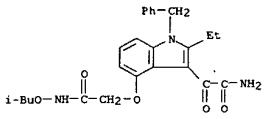
RN 331440-92-7 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-(hydroxy-2-propenylamino)-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



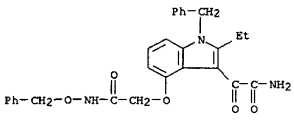
L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 331440-95-0 CAPLUS
CN 1H-Indole-3-acetamide, 4-[2-[(1,1-dimethylethoxy)amino]-2-oxoethoxy]-2-ethyl-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 331440-97-2 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-[(2-methylpropoxy)amino]-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

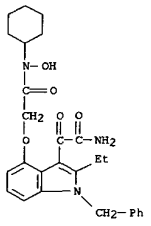


RN 331440-99-4 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-.alpha.-oxo-4-[2-oxo-2-[(phenylmethoxy)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

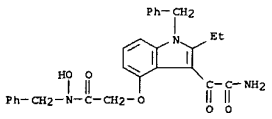


RN 331441-01-1 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-[methyl(phenylmethoxy)amino]-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



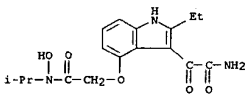
RN 331441-15-7 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-[hydroxy(phenylmethyl)amino]-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 331441-20-4 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-[hydroxy(1-methylethyl)amino]-2-oxoethoxy]-.alpha.-oxo-, compd. with methylbenzene (1:1) (9CI) (CA INDEX NAME)

CM 1

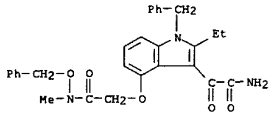
CRN 331441-19-1
CMF C17 H21 N3 O5



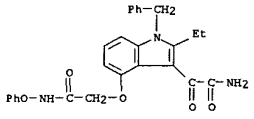
CM 2

CRN 108-88-3

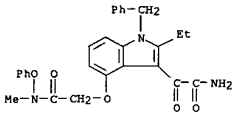
L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 331441-03-3 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-.alpha.-oxo-4-[2-oxo-2-(phenoxyamino)ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 331441-05-5 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-(methylphenoxyamino)-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 331441-07-7 CAPLUS
CN 1H-Indole-3-acetamide, 4-[2-(cyclohexylhydroxyamino)-2-oxoethoxy]-2-ethyl-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
CMF C7 H8

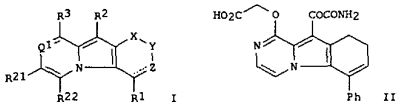
L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:63996 CAPLUS
DOCUMENT NUMBER: 134:115972
TITLE: Preparation of tricyclic heterocycles having **sPLA2**-inhibitory activities
INVENTOR(S): Ohtani, Mitsuaki; Fuji, Masahiro; Adachi, Makoto; Ogawa, Tomoyuki
PATENT ASSIGNEE(S): Shionogi + Co., Ltd., Japan
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005789	A1	20010125	WO 2000-JP4722	20000714

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-204338 A 19990719
JP 2000-47074 A 20000224

OTHER SOURCE(S): MARPAT 134:115972
GI

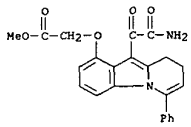


AB Compds. of general formula such as 8,9-dihydro- or 6,7,8,9-tetrahydropyrido[1,2-a]indole, 1,2,3,4-tetrahydropyrido[4,3-b]indolizine, 8,9-dihydropyrazino[1,2-a]indole, and thieno[2,3-b]indolizine derivs. [I; R1 = (a) C1-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, carbocyclyl, or heterocyclyl, (b) group listed in (a) substituted with nonobstructive group(s), or (c) (L1)-R5; wherein L1 = divalent linkage group consisting of 1-18 atoms selected from H, N, C, O, and S and R5 = group listed in (a) and (b); R2 = C(:G1)C(:G2)NH2, CR18R19C(:Q2)G3; R18, R19 = H, C1-3 alkyl, halo; G1, G2 = O, S; G3 = NH2, NHNH2; Q1 = N, CR4; one of R3 and R4 = acidic group linked to a linkage group with 1-5 atoms long and the other = H; R21, R22 = H, C1-6 alkyl, aryl, halo, aralkyl; X = CR23R24, O, S (wherein R23, R24 = H, C1-6 alkyl); Y = single bond, CR25R26 (wherein R25, R26 = H, C1-6 alkyl); Z = CHRA, CRA, NRB; RA = H, alkyloxycarbonyl, CO2H;

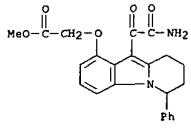
L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RB = H, acyl], prodrugs, pharmaceutically acceptable salts, or solvates thereof, which exhibit secretory phospholipase A2 (**sPLA2**)-inhibitory activity and are useful as antiinflammatory agents, are prepd. Thus, a 8,9-dihydropyrazino[1,2-a]indole deriv. (II), which was prepd. from 2-methoxy-3-methylpyrazine in 13 steps via intermol. Wittig condensation of [(1-methoxy-6-benzoylpyrrolo[1,2-a]pyrazin-7-yl)propyl]triphenylphosphonium bromide to 1-methoxy-6-phenyl-8,9-dihydropyrazino[1,2-a]indole, showed IC50 of 0.034 .mu.M against **sPLA2**.

IT **321382-10-9P 321382-14-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of tricyclic heterocycles having secretory phospholipase A2 (**sPLA2**)-inhibitory activity as anti-inflammatory agents)

RN 321382-10-9 CAPLUS
CN Acetic acid, [[10-(aminooxoacetyl)-8,9-dihydro-6-phenylpyrido[1,2-a]indol-1-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



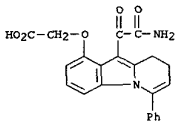
RN 321382-14-3 CAPLUS
CN Acetic acid, [[10-(aminooxoacetyl)-6,7,8,9-tetrahydro-6-phenylpyrido[1,2-a]indol-1-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



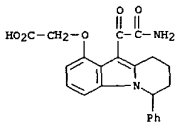
IT **321382-12-1P 321382-16-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic heterocycles having secretory phospholipase A2 (**sPLA2**)-inhibitory activity as anti-inflammatory agents)

RN 321382-12-1 CAPLUS
CN Acetic acid, [[10-(aminooxoacetyl)-8,9-dihydro-6-phenylpyrido[1,2-a]indol-

L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
1-yl]oxy]- (9CI) (CA INDEX NAME)



RN 321382-16-5 CAPLUS
CN Acetic acid, [[10-(aminooxoacetyl)-6,7,8,9-tetrahydro-6-phenylpyrido[1,2-a]indol-1-yl]oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:63970 CAPLUS
DOCUMENT NUMBER: 134:116236
TITLE: Preparation of indole amino acid derivatives as secretory phospholipase A2 (**sPLA2**) inhibitors
INVENTOR(S): Lin, Ho-Shen; Richett, Michael Enrico
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005761	A1	20010125	WO 2000-US16319	20000711

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

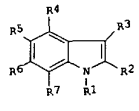
EP 1202963	A1	20020508	EP 2000-944673	20000711

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

JP 2003505372	T2	20030212	JP 2001-511422	20000711

PRIORITY APPLN. INFO.: US 1999-144502P P 19990719
WO 2000-US16319 W 20000711

OTHER SOURCE(S): MARPAT 134:116236
GI

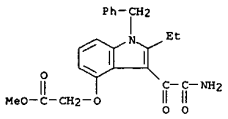


AB Indole derivs. I [R1 = (un)substituted alkyl, haloalkyl, alkenyl, alkynyl, carbocyclyl, or heterocyclyl connected directly or via a divalent linking group to the indole ring; R2 is H or a group contg. 1-4 non-hydrogen atoms plus any required hydrogen atoms; R3 is -L3-Z, where L3 is a bond, CH2, O, S, NH, or CO and Z is -C(:NORa)C(:X)NH2 , -C(:X)CONH2, or CRa2C(:X)NH2 (X = O or S and Ra = alkyl, aryl, alkaryl, alkoxy, aralkyl, CN); R4 is the group -(Lc)-(acylamino acid group), where Lc is an acylamino acid linker; R5 is H, a non-interfering substituent, or the group -(La)-(acidic group), where La is an acid linker; R6, R7 = H, a non-interfering substituent or (un)substituted carbocyclyl] were prepd. for inhibiting **sPLA2** mediated release of fatty acids for treatment of inflammatory diseases

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
such as septic shock. Thus, treatment of N-tert-butoxycarbonyl-3-methoxy-2-methylaniline with N-methoxy-N-methylpropanamide and then trifluoroacetic acid afforded 2-ethyl-4-methoxy-1H-indole. N-benzylation, O-demethylation, alkylation with Me bromoacetate, reaction with oxalyl chloride and ammonia gave [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetic acid Me ester (1). Reaction of 1 with glycine Me ester hydrochloride and sapon. afforded N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]glycine (3a). Compds. 1 and 3a resp. showed IC50 = 49 and 71 nM for inhibition of human secreted PLA2.

IT **172733-08-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of indole amino acid derivs. as secretory phospholipase A2 (**#PLA2**) inhibitors)

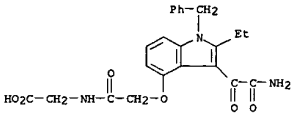
RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



IT **321153-17-7P 321153-19-9P 321153-21-3P**
321153-23-5P 321153-25-7P 321153-27-9P
321153-29-1P 321153-31-5P 321153-33-7P
321153-35-9P 321153-36-0P 321153-38-2P
321153-40-6P 321153-42-8P 321153-44-0P
321153-46-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indole amino acid derivs. as secretory phospholipase A2 (**#PLA2**) inhibitors)

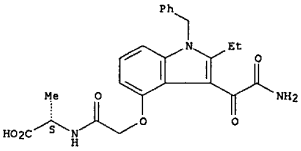
RN 321153-17-7 CAPLUS
CN Glycine, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



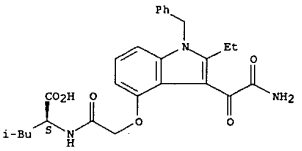
RN 321153-19-9 CAPLUS
CN L-Alanine, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 321153-21-3 CAPLUS
CN L-Leucine, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

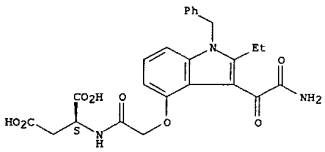
Absolute stereochemistry.



RN 321153-23-5 CAPLUS
CN L-Aspartic acid, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

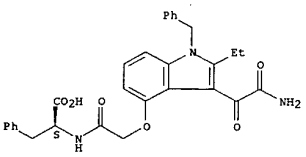
Absolute stereochemistry.

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

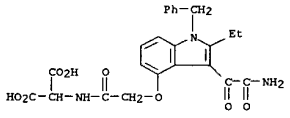


RN 321153-25-7 CAPLUS
CN L-Phenylalanine, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



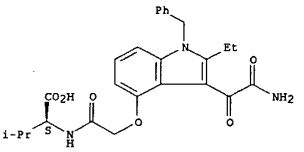
RN 321153-27-9 CAPLUS
CN Propanedioic acid, [[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]amino]- (9CI) (CA INDEX NAME)



RN 321153-29-1 CAPLUS
CN L-Valine, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

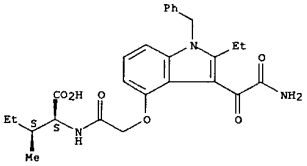
Absolute stereochemistry.

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

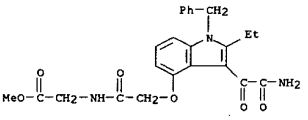


RN 321153-31-5 CAPLUS
CN L-Isoleucine, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



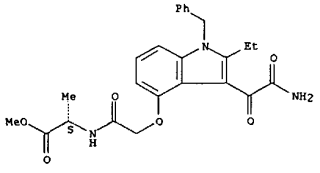
RN 321153-33-7 CAPLUS
CN Glycine, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 321153-35-9 CAPLUS
CN L-Alanine, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

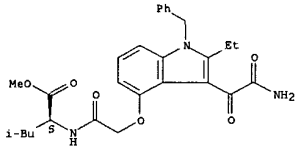
Absolute stereochemistry.

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



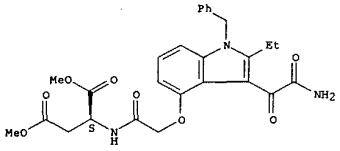
RN 321153-36-0 CAPLUS
CN L-Leucine, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



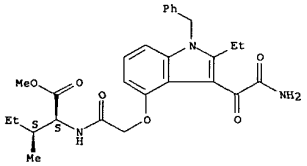
RN 321153-38-2 CAPLUS
CN L-Aspartic acid, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



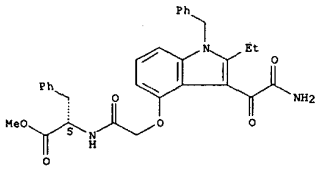
RN 321153-40-6 CAPLUS
CN L-Phenylalanine, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

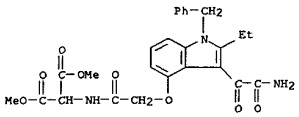


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

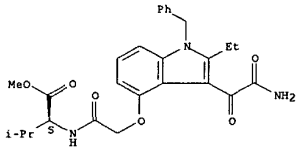


RN 321153-42-8 CAPLUS
CN Propanedioic acid, [[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]amino]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 321153-44-0 CAPLUS
CN L-Valine, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 321153-46-2 CAPLUS
CN L-Isoleucine, N-[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-

L15 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:769082 CAPLUS
DOCUMENT NUMBER: 133:321890
TITLE: Preparation of morpholinoethyl ester derivative of an indole **sPLA2** inhibitor
INVENTOR(S): Sawyer, Jason Scott; Morin, John Michael, Jr.; Beight, Douglas Wade; Sall, Daniel Jon; Buben, John Andrew
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

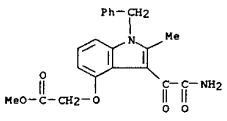
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6140327	A	20001031	US 1999-310563	19990512
WO 2000069818	A1	20001123	WO 2000-US6704	20000508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000010448	A	20020213	BR 2000-10448	20000508
EP 1181276	A1	20020227	EP 2000-930084	20000508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002544256	T2	20021224	JP 2000-618235	20000508

PRIORITY APPLN. INFO.: US 1999-310563 A 19990512
WO 2000-US6704 W 20000508

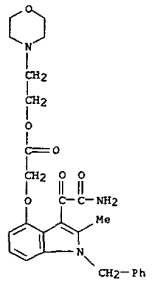
AB ((3-(2-Amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy)acetic acid morpholinoethyl ester was prepd. Its use as a highly bioavailable indole compd. for inhibiting **sPLA2** mediated release of fatty acids for treatment of conditions such as septic shock was reported.

IT **172732-80-8 249730-08-3 249730-10-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of morpholinoethyl ester deriv. of an indole **sPLA2** inhibitor)

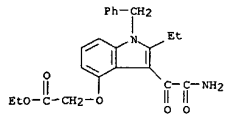
RN 172732-80-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



L15 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 249730-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

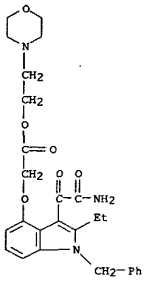


RN 249730-10-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



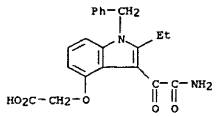
IT **172732-68-2P 172733-08-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of morpholinoethyl ester deriv. of an indole **sPLA2** inhibitor)
RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

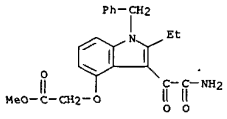


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



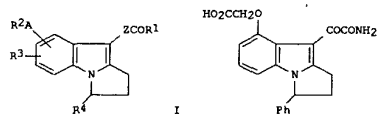
IT **249730-11-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of morpholinoethyl ester deriv. of an indole **sPLA2** inhibitor)
RN 249730-11-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:441798 CAPLUS
DOCUMENT NUMBER: 133:73933
TITLE: Substituted pyrroloindolyloxyacetic acids as **sPLA2** inhibitors
INVENTOR(S): Bach, Nicholas James; Sall, Daniel Jon
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037472	A2	20000629	WO 1999-US28407	19991130
WO 2000037472	A3	20000908		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2356162	AA	20000629	CA 1999-2356162	19991130
EP 1140943	A2	20011010	EP 1999-962953	19991130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002533345	T2	20021008	JP 2000-589542	19991130
US 6448284	B1	20020910	US 2001-868975	20010620

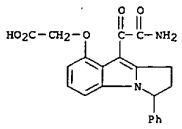
PRIORITY APPLN. INFO.: US 1998-113316P P 19981222
WO 1999-US28407 W 19991130

OTHER SOURCE(S): MARPAT 133:73933
GI



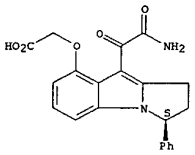
AB Title compds. I [Z = CO, CH2; A = X(CH2)n, (X = O, NH, S, bond, n = 1-3), CH:CH, C.tplbond.C, acid linker with chain length 1-7; R1 = NHNH2, NH2; R2 = (un)esterified CO2H, P(O)(OH)2, tetrazolyl, (un)substituted CONH2, SO2H, CONHSO2H, C6H4CO2H; R3 = carbocyclic, heterocyclic with non-interfering substituents; R4 = C5-C20 alkyl, alkenyl, alkynyl, carbocyclic, heterocyclic, optionally substituted by non-interfering substituents] were prepd. for use as inhibitors of **sPLA2** mediated release of fatty acids for treatment of conditions such as septic shock. Thus, the pyrroloindole II was prepd. from 4-methoxyindoline and 2,3-epoxy-3-phenyl-1-propanol in 12 steps. Pharmaceutical formulations

L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
are also reported.
IT **278794-36-8P 278794-37-9P 278794-38-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted pyrroloindolyloxyacetic acids as **sPLA2** inhibitors)
RN 278794-36-8 CAPLUS
CN Acetic acid, [[9-(aminooxoacetyl)-2,3-dihydro-3-phenyl-1H-pyrrolo[1,2-a]indol-8-yl]oxy]- (9CI) (CA INDEX NAME)



RN 278794-37-9 CAPLUS
CN Acetic acid, [[(3S)-9-(aminooxoacetyl)-2,3-dihydro-3-phenyl-1H-pyrrolo[1,2-a]indol-8-yl]oxy]- (9CI) (CA INDEX NAME)

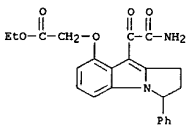
Absolute stereochemistry.



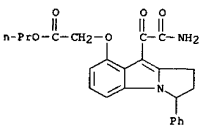
RN 278794-38-0 CAPLUS
CN Acetic acid, [[(3R)-9-(aminooxoacetyl)-2,3-dihydro-3-phenyl-1H-pyrrolo[1,2-a]indol-8-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

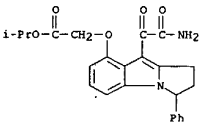
L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



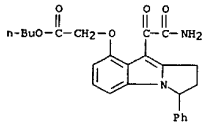
RN 278794-40-4 CAPLUS
CN Acetic acid, [[9-(aminooxoacetyl)-2,3-dihydro-3-phenyl-1H-pyrrolo[1,2-a]indol-8-yl]oxy]-, propyl ester (9CI) (CA INDEX NAME)



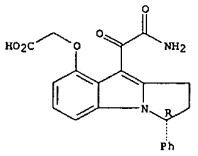
RN 278794-41-5 CAPLUS
CN Acetic acid, [[9-(aminooxoacetyl)-2,3-dihydro-3-phenyl-1H-pyrrolo[1,2-a]indol-8-yl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



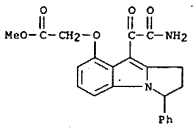
RN 278794-42-6 CAPLUS
CN Acetic acid, [[9-(aminooxoacetyl)-2,3-dihydro-3-phenyl-1H-pyrrolo[1,2-a]indol-8-yl]oxy]-, butyl ester (9CI) (CA INDEX NAME)



L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

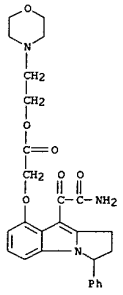


IT **278794-35-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted pyrroloindolyloxyacetic acids as **sPLA2** inhibitors)
RN 278794-35-7 CAPLUS
CN Acetic acid, [[9-(aminooxoacetyl)-2,3-dihydro-3-phenyl-1H-pyrrolo[1,2-a]indol-8-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

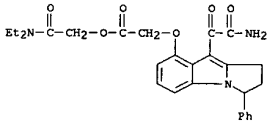


IT **278794-39-1P 278794-40-4P 278794-41-5P**
278794-42-6P 278794-43-7P 278794-44-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted pyrroloindolyloxyacetic acids as **sPLA2** inhibitors)
RN 278794-39-1 CAPLUS
CN Acetic acid, [[9-(aminooxoacetyl)-2,3-dihydro-3-phenyl-1H-pyrrolo[1,2-a]indol-8-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 278794-43-7 CAPLUS
CN Acetic acid, [[9-(aminooxoacetyl)-2,3-dihydro-3-phenyl-1H-pyrrolo[1,2-a]indol-8-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)



RN 278794-44-8 CAPLUS
CN Acetic acid, [[9-(aminooxoacetyl)-2,3-dihydro-3-phenyl-1H-pyrrolo[1,2-a]indol-8-yl]oxy]-, 2-(diethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)

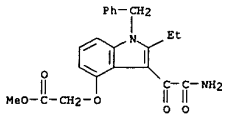


L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:441704 CAPLUS
DOCUMENT NUMBER: 133:79346
TITLE: Preparation of indoles as secretory phospholipase A2 inhibitors as anti-inflammatory agents
INVENTOR(S): Bach, Nicholas James; Harper, Richard Waltz; Kinnick, Michael Dean; Lin, Ho-Shen; Morin, John Michael, Jr.; Richett, Michael Enrico
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

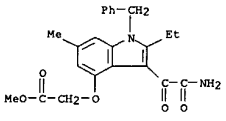
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037358	A1	20000629	WO 1999-US30405	19991220
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2356159	AA	20000629	CA 1999-2356159	19991220
EP 1144305	A1	20011017	EP 1999-967465	19991220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002532571	T2	20021002	JP 2000-589439	19991220
US 6391908	B1	20020521	US 2001-856942	20010530

PRIORITY APPLN. INFO.: US 1998-113303P P 19981222
WO 1999-US30405 W 19991220
OTHER SOURCE(S): MARPAT 133:79346
AB Indole derivs. are disclosed together with the use of such compds. for inhibiting human nonpancreatic secretory phospholipase A2 (**sPLA2**)-mediated release of fatty acids for treatment of inflammatory diseases such as septic shock. Thus, 2-[[3-[[2-(Aminooxo)-1-(N-hydroxyimino)]ethyl]-2-ethyl-(phenylmethyl)-1H-indol-4-yl]oxy]acetic acid (I) was prepd. by the hydrolysis of the corresponding ester with LiOH soln. in THF. Thus, tablets contained I 250, microcryst. cellulose 400, fumed SiO2 10 and stearic acid 5 mg/tablet.
IT **172733-08-3 278601-79-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of indoles as secretory phospholipase A2 inhibitors as anti-inflammatory agents)
RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 278601-79-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-6-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:441578 CAPLUS
DOCUMENT NUMBER: 133:53700
TITLE: Combination therapy for the treatment of sepsis with activated protein C and a secretory phospholipase A2 (**sPLA2**) inhibitor
INVENTOR(S): Maciak, Ronald Steven
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 279 pp.
CODEN: PIXXD2
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LANGUAGE: English
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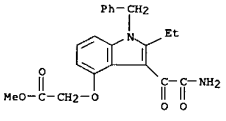
PATENT INFORMATION:

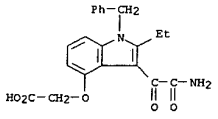
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037022	A2	20000629	WO 1999-US30433	19991220
WO 2000037022	A3	20020613		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2358492	AA	20000629	CA 1999-2358492	19991220
AU 2000019408	A1	20000712	AU 2000-19408	19991220
EP 1214041	A2	20020619	EP 1999-963109	19991220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
JP 2002542148	T2	20021210	JP 2000-589136	19991220

PRIORITY APPLN. INFO.: US 1998-113124P P 19981221
WO 1999-US30433 W 19991220
OTHER SOURCE(S): MARPAT 133:53700
AB The invention provides a method of prevention and treatment for sepsis for mammals. The treatment is a combination therapy of activated protein C and an **sPLA2** inhibitor.
IT **172733-08-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(activated protein C-secretory phospholipase A2 inhibitor combination for sepsis treatment)
RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **172732-68-2DP**, prodrug derivs. **172732-68-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(activated protein C-secretory phospholipase A2 inhibitor combination for sepsis treatment)
RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



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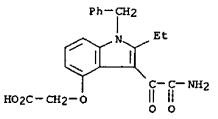

IT **172732-60-4 172732-60-4D**, prodrug derivs.
172732-61-5 172732-61-5D, prodrug derivs.
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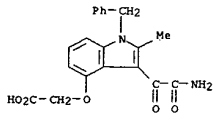
172732-63-7 172732-63-7D, prodrug derivs.
172732-64-8 172732-64-8D, prodrug derivs.
172732-65-9 172732-65-9D, prodrug derivs.
172732-66-0 172732-66-0D, prodrug derivs.
172732-67-1 172732-67-1D, prodrug derivs.
172732-69-3 172732-69-3D, prodrug derivs.
172732-70-6 172732-70-6D, prodrug derivs.

L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
172732-71-7 172732-71-7D, prodrug derivs.
172732-72-8 172732-72-8D, prodrug derivs.
172732-73-9 172732-73-9D, prodrug derivs.
172732-74-0 172732-74-0D, prodrug derivs.
172733-08-3D, prodrug derivs. **172733-42-5**
172733-42-5D, prodrug derivs. **249730-08-3**
249730-08-3D, prodrug derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(activated protein C-secretory phospholipase A2 inhibitor combination for sepsis treatment)

RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



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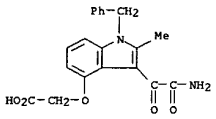
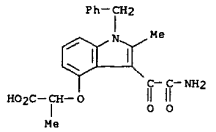
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

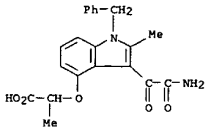


RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



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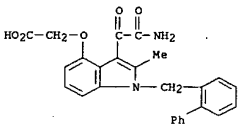
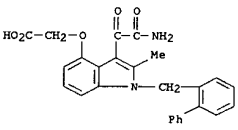


RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-63-7 CAPLUS
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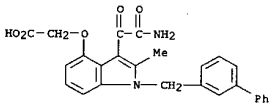

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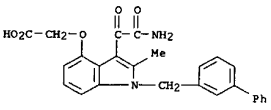

RN 172732-64-8 CAPLUS
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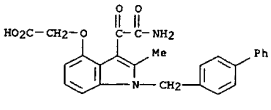
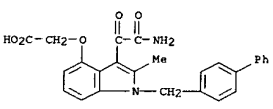
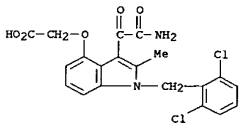


RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

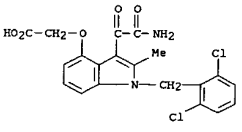
L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



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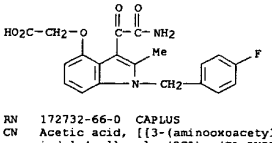
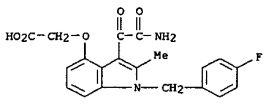


RN 172732-66-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-67-1 CAPLUS
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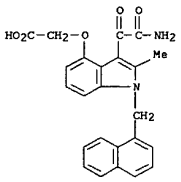

RN 172732-67-1 CAPLUS
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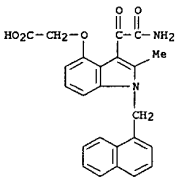
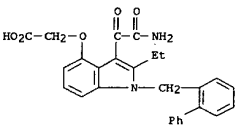


RN 172732-69-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-71-7 CAPLUS
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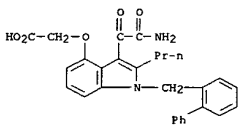
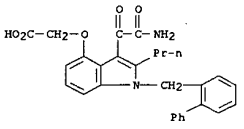


RN 172732-71-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-72-8 CAPLUS
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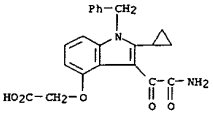
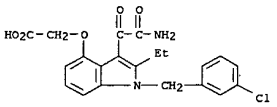
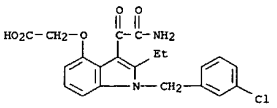


L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-69-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-70-6 CAPLUS
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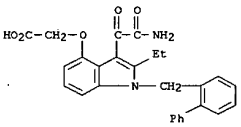
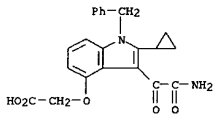


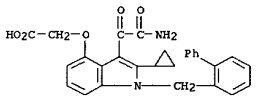
RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



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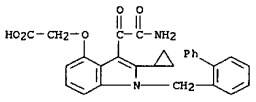

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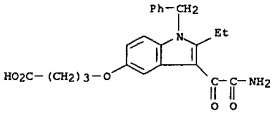

L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 172732-74-0 CAPLUS
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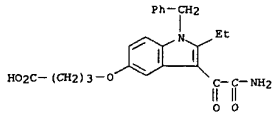
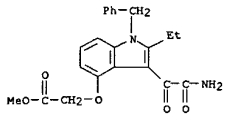
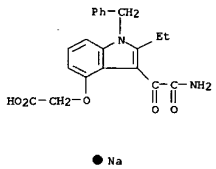


RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

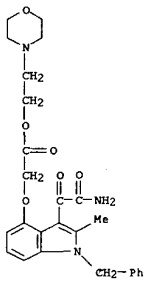


RN 172733-42-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)

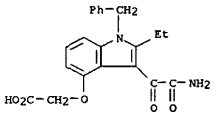


RN 172733-42-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)

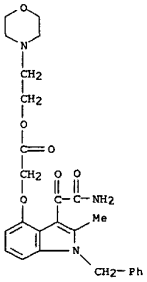
L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 249730-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

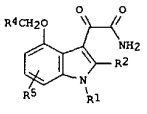


RN 249730-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:260237 CAPLUS
DOCUMENT NUMBER: 132:279109
TITLE: Process for preparing 4-substituted-1H-indole-3-glyoxamides
INVENTOR(S): Anderson, Benjamin Alan; Harn, Nancy Kay; Miller, Richard Duane; Plocharczyk, Edward Francis
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

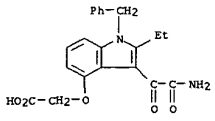
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021929	A1	20000420	WO 1999-US8325	19990415
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9935644	A1	20000501	AU 1999-35644	19990415
EP 1119549	A1	20010801	EP 1999-917552	19990415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
TW 472041	B	20020111	TW 1999-88106024	19990415
JP 2002527421	T2	20020827	JP 2000-575838	19990415
US 6380397	B1	20020430	US 2001-787587	20010319

PRIORITY APPLN. INFO.: US 1998-103604P P 19981009
WO 1999-US8325 W 19990415
OTHER SOURCE(S): CASREACT 132:279109; MARPAT 132:279109
GI

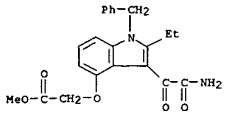


AB The title compds. [I; R1 = alkyl, (un)substituted CH2Ph, (CH2)2Ph, etc.; R2 = H, halo, alkyl, etc.; R4 = CO2H, SO3H, PO(OH)2, etc.; R5 = H, alkyl, alkoxy, etc.], useful for inhibiting **sPLA2** (no data), were prepd. E.g., a multi-step synthesis of I [R1 = CH2Ph; R2 = Et; R4 = COOMe; R5 = H], was given.
IT **172732-68-2P 172733-08-3P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for prepg. 4-substituted-1H-indole-3-glyoxamides)
RN 172732-68-2 CAPLUS

L15 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



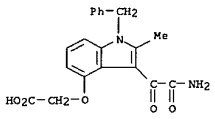
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:260062 CAPLUS
DOCUMENT NUMBER: 132:284251
TITLE: Remedies or preventives containing **sPLA2** inhibitors for ischemic reflow failure
INVENTOR(S): Todo, Satoru
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

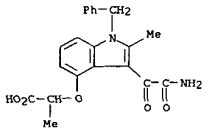
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021563	A1	20000420	WO 1999-JP5528	19991007
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2346334	AA	20000420	CA 1999-2346334	19991007
AU 9960047	A1	20000501	AU 1999-60047	19991007
EP 1157704	A1	20011128	EP 1999-970328	19991007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: JP 1998-292423 A 19981014
WO 1999-JP5528 W 19991007
OTHER SOURCE(S): MARPAT 132:284251
AB The invention relates to remedies or preventives for ischemic reflow failure which contain an **sPLA2** inhibitor, e.g. [[3-[2-Amino-1,2-dioxoethyl]-2-methyl-1-[phenylmethyl]-1H-indol-4-yl]oxy]acetic acid, as active ingredient. Capsules were formulated contg. **sPLA2** inhibitor 250, starch 200 and magnesium stearate 10 mg/capsule.
IT **172732-60-4 172732-61-5 172732-62-6**
172732-63-7 172732-64-8 172732-65-9
172732-66-0 172732-67-1 172732-68-2
172732-69-3 172732-70-6 172732-71-7
172732-72-8 172732-73-9 211925-45-0
263910-31-2 263910-32-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(remedies or preventives contg. **sPLA2** inhibitors for ischemic reflow failure)
RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

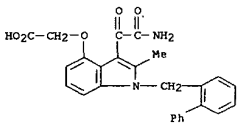
L15 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



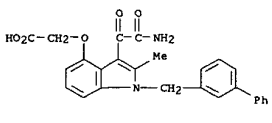
RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



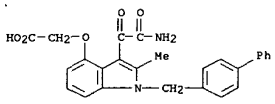
RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



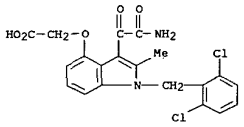
RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



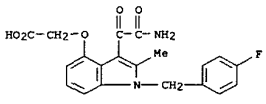
L15 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

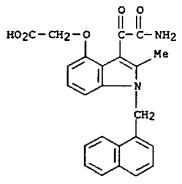


RN 172732-66-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

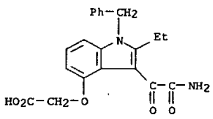


RN 172732-67-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

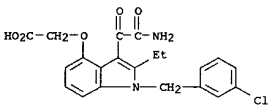
L15 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

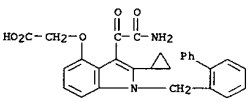


RN 172732-69-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

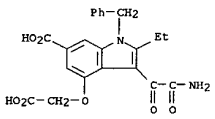


RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

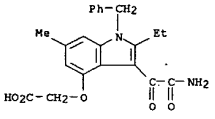
L15 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



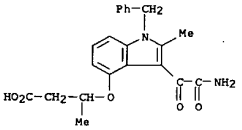
RN 211925-45-0 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 263910-31-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-6-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

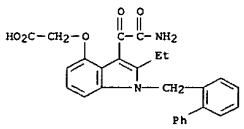


RN 263910-32-3 CAPLUS
CN Butanoic acid, 3-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

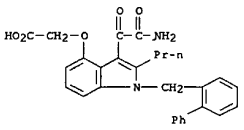


REFERENCE COUNT: 98 THERE ARE 98 CITED REFERENCES AVAILABLE FOR THIS

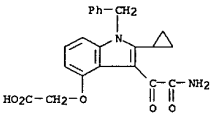
L15 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-71-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



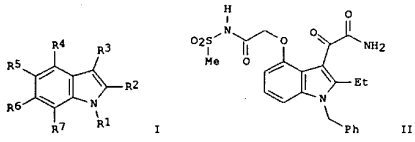
RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:116896 CAPLUS
DOCUMENT NUMBER: 132:151679
TITLE: Preparation of indole **sPLA2** inhibitors
INVENTOR(S): Mihelich, Edward David; Phillips, Michael Leroy; Warshawsky, Alan M.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007591	A1	20000217	WO 1999-US17460	19990802
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338727	AA	20000217	CA 1999-2338727	19990802
AU 9953314	A1	20000228	AU 1999-53314	19990802
EP 1100493	A1	20010523	EP 1999-938937	19990802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522386	T2	20020723	JP 2000-563276	19990802

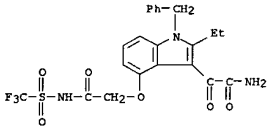
PRIORITY APPLN. INFO.: US 1998-95109P P 19980803
WO 1999-US17460 W 19990802
OTHER SOURCE(S): MARPAT 132:151679
GI



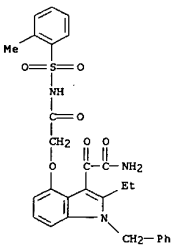
I II

AB The title compds. [I; R1 = alkyl, haloalkyl, alkenyl, etc.; R2 = H, a group contg. 1-4 non-hydrogen atoms; R3 = L3-Z (wherein L3 = CH2, O, S, NH, CO; Z = acetamide, thioacetamide, glyoxylamide, etc.); R4, R5 = H, non-interfering substituent, La-acylsulfonamide (La = a divalent linker

L15 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 258262-52-1 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-[[(2-methylphenyl)sulfonyl]amino]-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

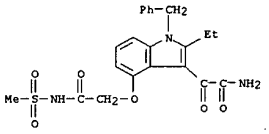


RN 258262-53-2 CAPLUS
CN 1H-Indole-3-acetamide, 4-[2-[[[4-(2-aminoethyl)phenyl]sulfonyl]amino]-2-oxoethoxy]-2-ethyl-.alpha.-oxo-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

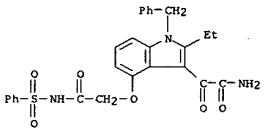
L15 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
having a linker length of 1-8; provided that at least one of R4 and R5 must be La-acylsulfonamide); R6, R7 = H, cycloalkyl, heterocyclyl, etc.], useful for inhibiting **sPLA2** mediated release of fatty acids for treatment of inflammatory diseases such as septic shock, were prepd. and formulated. Thus, reacting 1-benzyl-2-ethyl-4-carboxymethyloxy-indole-3-glyoxylamide (prepn. given) with methanesulfonamide in the presence of 4-dimethylaminopyridine and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in CH2Cl2 afforded 19% II which showed IC50 of 12 nM against human secreted PLA2.

IT **258262-49-6P 258262-50-9P 258262-51-0P**
258262-52-1P 258262-53-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indole **sPLA2** inhibitors)

RN 258262-49-6 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-[(methylsulfonyl)amino]-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

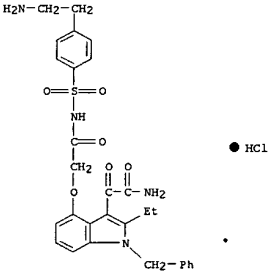


RN 258262-50-9 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-.alpha.-oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



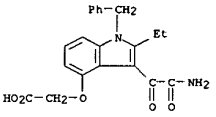
RN 258262-51-0 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-.alpha.-oxo-4-[2-oxo-2-[[(trifluoromethyl)sulfonyl]amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L15 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

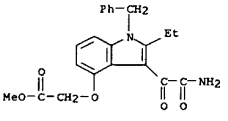


IT **172732-68-2P 172733-08-3P 258262-55-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indole **sPLA2** inhibitors)

RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

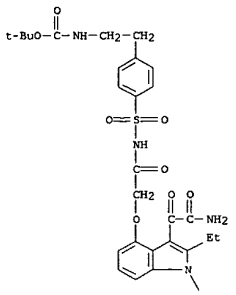


RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



L15 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 258262-55-4 CAPLUS
CN Carbamic acid, [2-[4-[[[[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl]amino]sulfonyl]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



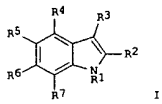
PAGE 2-A

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:116895 CAPLUS
DOCUMENT NUMBER: 132:151678
TITLE: Preparation of indolyloxyacetates as **sPLA2** inhibitors
INVENTOR(S): Bach, Nicholas James; Dillard, Robert Delane; Draheim, Susan Elizabeth; Mihelich, Edward David; Suarez, Tulio
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007590	A1	20000217	WO 1999-US17459	19990802
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338855	AA	20000217	CA 1999-2338855	19990802
EP 1100492	A1	20010523	EP 1999-938936	19990802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522385	T2	20020723	JP 2000-563275	19990802
US 6451839	B1	20020917	US 2001-762069	20010130

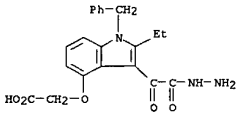
PRIORITY APPLN. INFO.: US 1998-95114P P 19980803
WO 1999-US17459 W 19990802
OTHER SOURCE(S): MARPAT 132:151678
GI



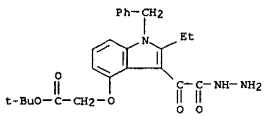
I

AB Title compds. [I; R1 = (substituted) alkyl, haloalkyl, alkenyl, alkynyl, carbocyclyl, heterocyclyl, etc.; R2 = H, group contg. 1-4 non-H atoms; R3 = L3Z; L3 = bond, CH2, O, S, NH, CO; Z = NHC(:X)Y; X = O, S; Y = NH2, alkyl, CF3, CONH2, CH2Z; Z = F, Cl, Br, iodo; R4, R5 = H, noninterfering substituent, etc.; R6, R7 = H, noninterfering substituent, (substituted) carbocyclyl, heterocyclyl], were prepd. Thus, N-tert-butoxycarbonyl-3-methoxy-2-methylaniline in THF at -40.degree. was treated with sec-BuLi

L15 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
followed by warming to 0.degree., cooling to -60.degree., and dropwise addn. of N-methoxy-N-methylpropanamide in THF to give 1-[2-(tert-butoxycarbonylamino)-6-methoxyphenyl]-2-butanone. This was stirred with CF3CO2H in CH2Cl2 to give 2-ethyl-4-methoxy-1H-indole, which was converted in several steps to give [[2-ethyl-1-(phenylmethyl)-3-ureido-1H-indol-4-yl]oxy]acetic acid. The latter inhibited human secreted phospholipase A2 with IC50 = 0.049 .mu.M.
IT **257939-92-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolyloxyacetates as **sPLA2** inhibitors)
RN 257939-92-7 CAPLUS
CN 1H-Indole-3-acetic acid, 4-(carboxymethoxy)-2-ethyl-.alpha.-oxo-1-(phenylmethyl)-, .alpha.-hydrazide (9CI) (CA INDEX NAME)



IT **257940-05-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of indolyloxyacetates as **sPLA2** inhibitors)
RN 257940-05-9 CAPLUS
CN 1H-Indole-3-acetic acid, 4-[2-(1,1-dimethylethoxy)-2-oxoethoxy]-2-ethyl-.alpha.-oxo-1-(phenylmethyl)-, hydrazide (9CI) (CA INDEX NAME)



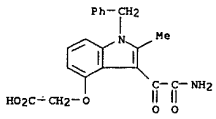
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:723018 CAPLUS
DOCUMENT NUMBER: 131:332096
TITLE: Secretory phospholipase A2 (**sPLA2**) inhibitors for treatment of inflammatory bowel disease
INVENTOR(S): Macias, William Louis
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

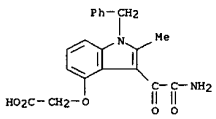
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9957100	A1	19991111	WO 1999-US8654	19990420
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2330856	AA	19991111	CA 1999-2330856	19990420
AU 9936562	A1	19991123	AU 1999-36562	19990420
BR 9910095	A	20001226	BR 1999-10095	19990420
EP 1084108	A1	20010321	EP 1999-918711	19990420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
US 6340699	B1	20020122	US 1999-673675	19990420
JP 2002513783	T2	20020514	JP 2000-547070	19990420
NO 2000005479	A	20001220	NO 2000-5479	20001031

PRIORITY APPLN. INFO.: US 1998-83874P P 19980501
WO 1999-US8654 W 19990420
OTHER SOURCE(S): MARPAT 131:332096
AB A method is disclosed for the treatment of inflammatory bowel disease by administering to a human in need thereof a therapeutically effective amt. of an **sPLA2** inhibitor, such as a 1H-indole-3-glyoxylamide **sPLA2** inhibitor.
IT **172732-60-4 172732-60-4D**, derivs. **172732-61-5 172732-61-5D**, derivs. **172732-62-6 172732-62-6D**, derivs. **172732-63-7 172732-63-7D**, derivs. **172732-64-8 172732-64-8D**, derivs. **172732-65-9 172732-65-9D**, derivs. **172732-66-0D**, derivs. **172732-67-1 172732-67-1D**, derivs. **172732-68-2 172732-68-2D**, derivs. **172732-69-3 172732-69-3D**, derivs. **172732-70-6 172732-70-6D**, derivs. **172732-71-7 172732-71-7D**, derivs. **172732-72-8 172732-72-8D**, derivs. **172732-73-9 172732-73-9D**, derivs. **172732-74-0 172732-74-0D**, derivs. **172733-08-3 172733-42-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(secretory phospholipase A2 inhibitors for treatment of inflammatory bowel disease)
RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-

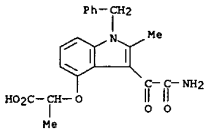
L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

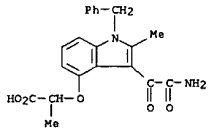


RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

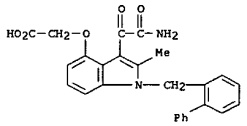


RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

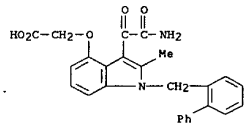
L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

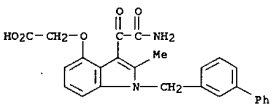


RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

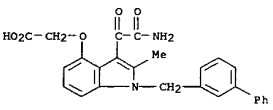


RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

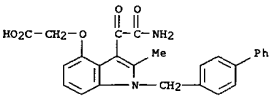
L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



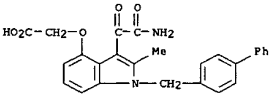
RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

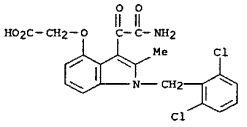


RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

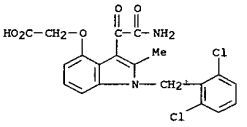


RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

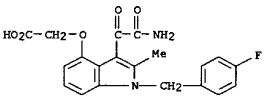
L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

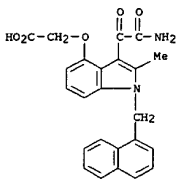


RN 172732-66-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

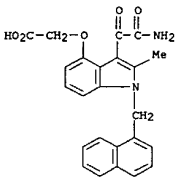


RN 172732-67-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-67-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



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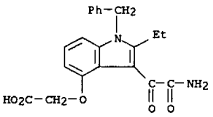
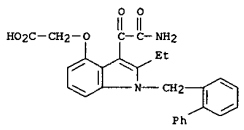
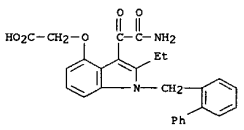


RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-71-7 CAPLUS
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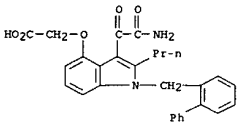
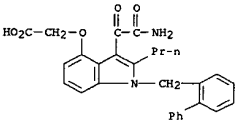
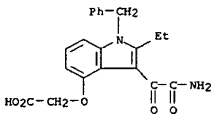


RN 172732-71-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-69-3 CAPLUS
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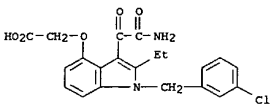
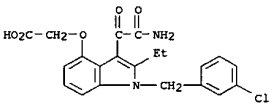


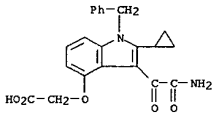
RN 172732-69-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



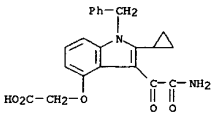
RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

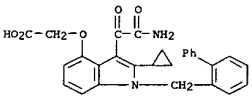
RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



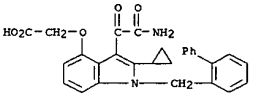
RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



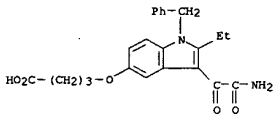
RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



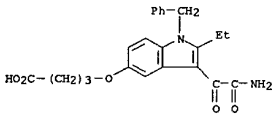
RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



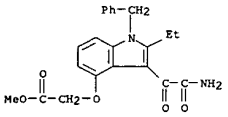
L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 172732-74-0 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-74-0 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-yl]oxy]- (9CI) (CA INDEX NAME)

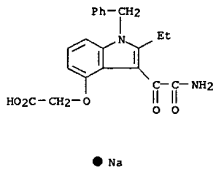


RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 172733-42-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)

L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:722903 CAPLUS
DOCUMENT NUMBER: 131:336938
TITLE: Preparation of [(3-(2-amino-1,2-dioxoethyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetic acid N-morpholino Et ester as **sPLA2** inhibitor ester
INVENTOR(S): Denney, Michael Lyle; Morin, John Michael, Jr.; Sall, Daniel Jon; Sawyer, Jason Scott
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

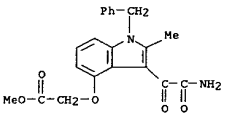
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9956752	A1	19991111	WO 1999-US8538	19990420
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2331036	AA	19991111	CA 1999-2331036	19990420
AU 9936525	A1	19991123	AU 1999-36525	19990420
EP 1073440	A1	20010207	EP 1999-918666	19990420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9910149	A	20011002	BR 1999-10149	19990420
JP 2002513761	T2	20020514	JP 2000-546777	19990420
US 6274578	B1	20010814	US 2000-673677	20001017
NO 2000005477	A	20001031	NO 2000-5477	20001031
PRIORITY APPLN. INFO.:			US 1998-83873P P	19980501
			WO 1999-US8538 W	19990420

AB Prepn. of [(3-(2-amino-1,2-dioxoethyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetic acid N-morpholino Et ester is disclosed, together with its use as a highly bioavailable indole **sPLA2** inhibitor compd.

IT **172732-80-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of [((aminodioxoethyl)methyl(phenylmethyl)indolyl)oxy]acetic acid N-morpholino Et ester as **sPLA2** inhibitor)

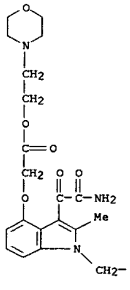
RN 172732-80-8 CAPLUS
CN Acetic acid, [(3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **249730-08-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of [((aminodioxoethyl)methyl(phenylmethyl)indolyl)oxy]acetic acid N-morpholino Et ester as **sPLA2** inhibitor)

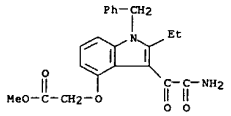
RN 249730-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)



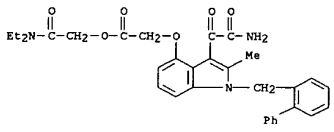
IT **172733-08-3 249730-09-4 249730-10-7**
249730-11-8 249730-12-9 249730-13-0
249730-14-1 249730-15-2 249730-16-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of [((aminodioxoethyl)methyl(phenylmethyl)indolyl)oxy]acetic acid N-morpholino Et ester as **sPLA2** inhibitor)

RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

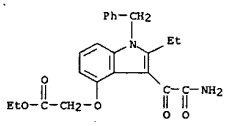
L15 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 249730-09-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, 2-(diethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)

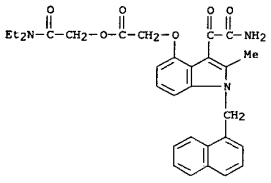


RN 249730-10-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



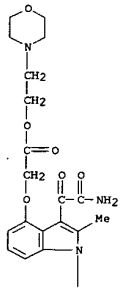
RN 249730-11-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



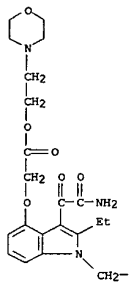
RN 249730-14-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

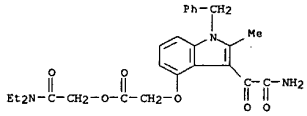


PAGE 2-A

L15 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

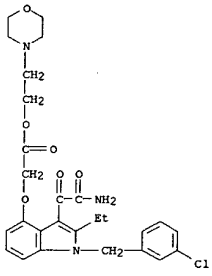


RN 249730-12-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, 2-(diethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)

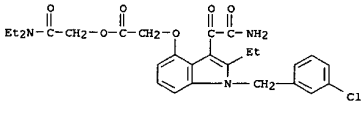


RN 249730-13-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]-, 2-(diethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 249730-15-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

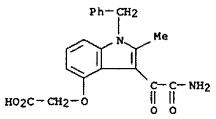


RN 249730-16-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]-, 2-(diethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)



IT **172732-60-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of [((aminodioxoethyl)methyl(phenylmethyl)indolyl)oxy)acetic acid N-morpholino Et ester as **sPLA2** inhibitor)
RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



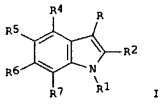
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:350593 CAPLUS
DOCUMENT NUMBER: 131:5185
TITLE: Preparation of 3-aminooxalyl-4-indolyloxyacetic acids and analogs as **sPLA2** inhibitors
INVENTOR(S): Watanabe, August Masaru
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9925339	A1	19990527	WO 1998-US24234	19981113
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2310249	AA	19990527	CA 1998-2310249	19981113
AU 9914058	A1	19990607	AU 1999-14058	19981113
EP 1039901	A1	20001004	EP 1998-957915	19981113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001522883	T2	20011120	JP 2000-520773	19981113
US 6436983	B1	20020820	US 2000-529247	20000410

PRIORITY APPLN. INFO.: US 1997-66036P P 19971114
WO 1998-US24234 W 19981113
OTHER SOURCE(S): MARPAT 131:5185
GI



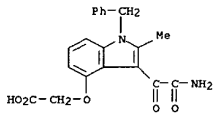
AB Title compds. (I; R = COCONH2) [II; R1 = (un)substituted CH2Ph, CH2C6H4Ph-4, CH2C6H4(CH2Ph)-4, etc.; R2 = halo, Me, Et, Pr, cyclopropyl; 1 of R4,R5 = ZR3 and the other = H or ZR3; R3 = CO2H, SO3H, P(O)(OH)2; R6,R7 = H, halo, alkyl, alkoxy, etc.; when R4 .noteq. H Z = CH2CH2, OCH2, OCHMe, etc.; when R5 .noteq. H Z = OZ1C6H4, NHZ1C6H4, C6H4C6H4Z1C6H4, etc.; Z1 = (un)substituted CH2] were prepd. as **sPLA2** inhibitors (no data).

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

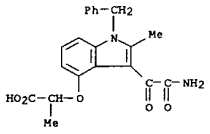
Thus, II (R1 = CH2Ph, R2 = Et, R4 = OCH2CO2H, R5-R7 = H) was prepd. starting from 2,3-Me(MeO)C6H3NHCO2CMe3 and EtCON(OMe)Me.

IT **172732-60-4P 172732-61-5P 172732-62-6P**
172732-63-7P 172732-64-8P 172732-65-9P
172732-66-0P 172732-67-1P 172732-68-2P
172732-69-3P 172732-70-6P 172732-71-7P
172732-72-8P 172732-73-9P 172733-08-3P
172733-42-5P 220862-20-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-aminooxalyl-4-indolyloxyacetic acids and analogs as **sPLA2** inhibitors)

RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

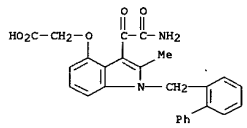


RN 172732-61-5 CAPLUS.
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

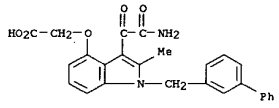


RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

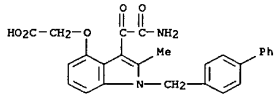
L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



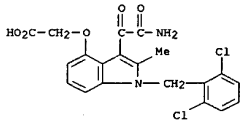
RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

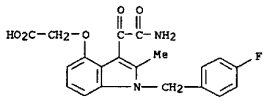


RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

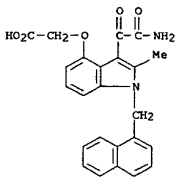


RN 172732-66-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

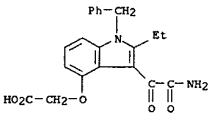
L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-67-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

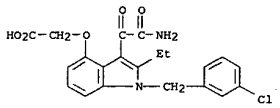


RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

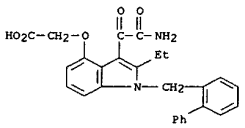


RN 172732-69-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

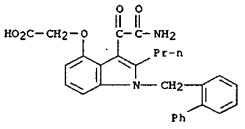
L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

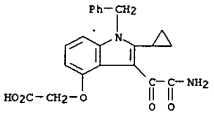


RN 172732-71-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

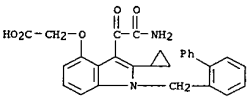


RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

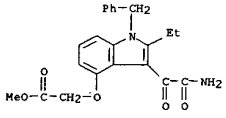
L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

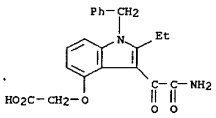


RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



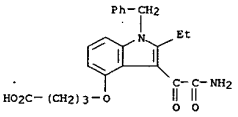
RN 172733-42-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



● Na

RN 220862-20-4 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:297307 CAPLUS
DOCUMENT NUMBER: 130:311805
TITLE: Preparation and formulation of an indolyloxyacetate **sPLA2** inhibitor prodrug
INVENTOR(S): Denney, Michael Lyle; Morin, John Michael, Jr.; Sall, Daniel Jon; Sawyer, Jason Scott
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921559	A1	19990506	WO 1998-US22679	19981026
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2308443	AA	19990506	CA 1998-2308443	19981026
AU 9912008	A1	19990517	AU 1999-12008	19981026
EP 1039911	A1	20001004	EP 1998-955125	19981026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001520998	T2	20011106	JP 2000-517717	19981026
US 6177426	B1	20010123	US 2000-509755	20000329

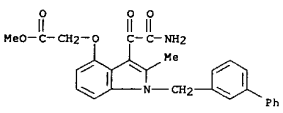
PRIORITY APPLN. INFO.: US 1997-63646P P 19971027
WO 1998-US22679 W 19981026

AB Title compd. 3-(2-amino-1,2-dioxoethyl)-1-(3-biphenylylmethyl)-2-methyl-4-indolyloxyacetic acid 2-morpholinoethyl ester (I) was prepd. as a highly bioavailable compd. for inhibiting **sPLA2** mediated release of, e.g., arachidonate. Data for bioavailability of I were given.

IT **214421-73-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of an indolyloxyacetate **sPLA2** inhibitor prodrug)

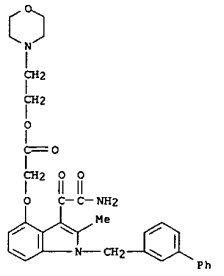
RN 214421-73-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



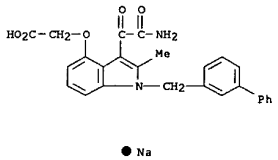
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **172732-87-5P 172732-91-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and formulation of an indolyloxyacetate **sPLA2** inhibitor prodrug)

RN 172732-87-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 172732-91-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:297296 CAPLUS
DOCUMENT NUMBER: 130:311697
TITLE: N,N-Diethylglycol amido ester prodrugs of indole **sPLA2** inhibitors
INVENTOR(S): Denney, Michael Lyle; Morin, John Michael, Jr.; Sall, Daniel Jon; Sawyer, Jason Scott
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

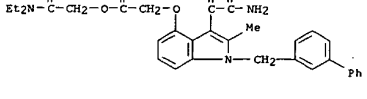
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921546	A1	19990506	WO 1998-US22690	19981026
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2309135	AA	19990506	CA 1998-2309135	19981026
AU 9912798	A1	19990517	AU 1999-12798	19981026
EP 1030661	A1	20000830	EP 1998-956223	19981026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001520991	T2	20011106	JP 2000-517704	19981026
US 6274616	B1	20010814	US 2000-509754	20000329

PRIORITY APPLN. INFO.: US 1997-63280P P 19971027
WO 1998-US22690 W 19981026

AB The compd. ((3-(2-amino-1,2-dioxoethyl)-1-((1,1'-biphenyl)-3-ylmethyl)-2-methyl-1H-indol-4-yl)oxy)acetic acid N,N-diethylglycolamido ester was prepd. and its use as a highly bioavailable indole compd. for inhibiting **sPLA2** mediated release of fatty acids for treatment of conditions such as septic shock examd.

IT **214421-74-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N,N-diethylglycol amido ester prodrugs of indole **sPLA2** inhibitors)

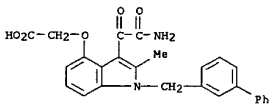
RN 214421-74-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, 2-(diethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)



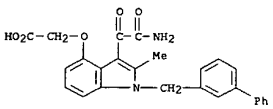
L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT **172732-63-7P 172732-87-5P 172732-91-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N,N-diethylglycol amido ester prodrugs of indole **sPLA2** inhibitors)

RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

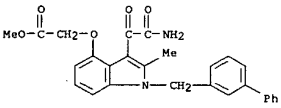


RN 172732-87-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 172732-91-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1999:297295 CAPLUS
DOCUMENT NUMBER:	130:311696
TITLE:	Preparation of isopropyl ester prodrugs of indole **sPLA2** inhibitors
INVENTOR(S):	Denney, Michael Lyle; Morin, John Michael, Jr.; Sall, Daniel Jon; Sawyer, Jason Scott
PATENT ASSIGNEE(S):	Eli Lilly and Company, USA
SOURCE:	PCT Int. Appl., 28 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

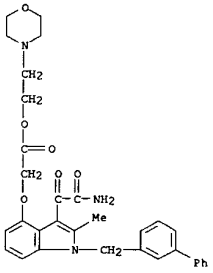
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921545	A1	19990506	WO 1998-US22678	19981026
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9912007	A1	19990517	AU 1999-12007	19981026
PRIORITY APPLN. INFO.:			US 1997-63284P P	19971027
			WO 1998-US22678 W	19981026

AB The compd., ((3-(2-amino-1,2-dioxoethyl)-1-((1,1'-biphenyl)-3-ylmethyl)-2-methyl-1H-indol-4-yl)oxy)acetic acid iso-Pr ester, is disclosed together with its use as a highly bioavailable indole compd. for inhibiting **sPLA2** mediated release of fatty acids for treatment of conditions such as septic shock.

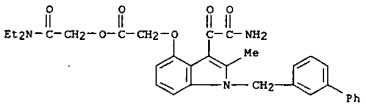
IT **214421-73-5 214421-74-6 223676-72-0**
223676-73-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of iso-Pr ester prodrugs of indole **sPLA2** inhibitors)

RN 214421-73-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

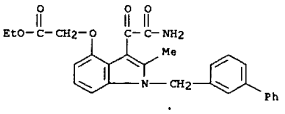
L15 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 214421-74-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, 2-(diethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)

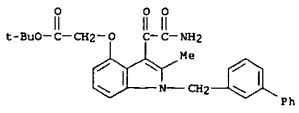


RN 223676-72-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

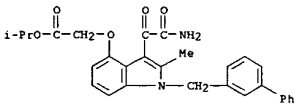


RN 223676-73-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

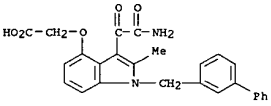
L15 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **214421-72-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of iso-Pr ester prodrugs of indole **#PLA2** inhibitors)
RN 214421-72-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

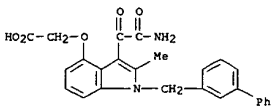


IT **172732-63-7P 172732-87-5P 172732-91-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of iso-Pr ester prodrugs of indole **#PLA2** inhibitors)
RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



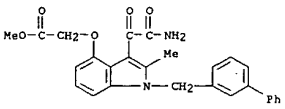
RN 172732-87-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)

L15 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



● Na

RN 172732-91-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



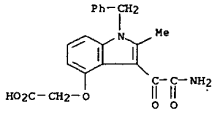
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:233807 CAPLUS
DOCUMENT NUMBER: 130:267344
TITLE: Compounds for treatment of cystic fibrosis
INVENTOR(S): Macias, William Louis
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 260 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916453	A1	19990408	WO 1998-US19906	19980923
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2304482	AA	19990408	CA 1998-2304482	19980923
AU 9896641	A1	19990423	AU 1998-96641	19980923
EP 1007056	A1	20000614	EP 1998-950654	19980923
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 2001517707	T2	20011009	JP 2000-513587	19980923

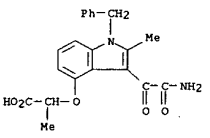
PRIORITY APPLN. INFO.: US 1997-60128P P 19970926
WO 1998-US19906 W 19980923

OTHER SOURCE(S): MARPAT 130:267344
AB Title compds., **#PLA2** inhibitors (no data), were selected from indoleglyoxylamides, -acetamides, -acetic acid hydrazides, etc. Prepn. of [[3-(2-amino-1,2-dioxoethyl)-2-ethyl-1-phenylmethyl-1H-indol-4-yl]oxy]acetic acid was described.
IT **172732-60-4P 172732-61-5P 172732-62-6P**
172732-63-7P 172732-64-8P 172732-65-9P
172732-66-0P 172732-67-1P 172732-68-2P
172732-69-3P 172732-70-6P 172732-71-7P
172732-72-8P 172732-73-9P 172732-74-0P
172733-08-3P 172733-42-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(compds. for treatment of cystic fibrosis)
RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

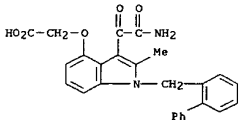


L15 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

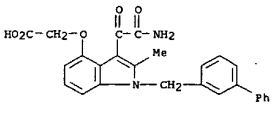
RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

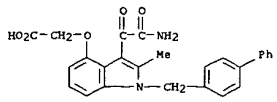


RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

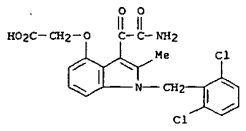


RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

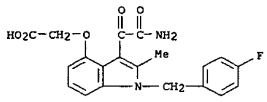
L15 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

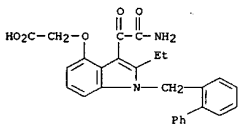


RN 172732-66-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

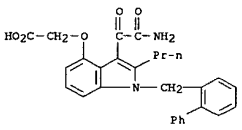


RN 172732-67-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

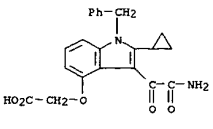
L15 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



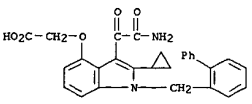
RN 172732-71-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

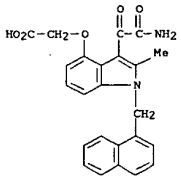


RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

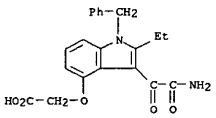


RN 172732-74-0 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-

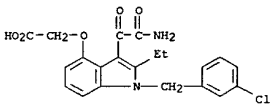
L15 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



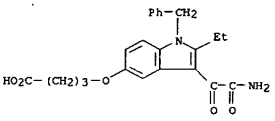
RN 172732-69-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



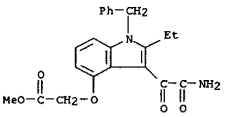
RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

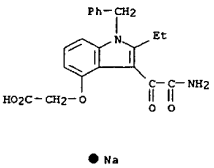
yl]oxy]- (9CI) (CA INDEX NAME)



RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 172733-42-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)



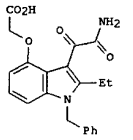
● Na

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:172589 CAPLUS
DOCUMENT NUMBER: 130:196575
TITLE: Method for treatment of non-rheumatoid arthritis by administration of an **sPLA2** inhibitor.
INVENTOR(S): Macias, William Louis
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 273 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9909978	A1	19990304	WO 1998-US17778	19980827
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2301586	AA	19990304	CA 1998-2301586	19980827
AU 9891231	A1	19990316	AU 1998-91231	19980827
EP 1011670	A1	20000628	EP 1998-943430	19980827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
JP 2001513555	T2	20010904	JP 2000-507368	19980827
ZA 9807867	A	20000228	ZA 1998-7867	19980828

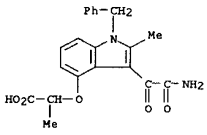
PRIORITY APPLN. INFO.: US 1997-57726P P 19970828
WO 1998-US17778 W 19980827
OTHER SOURCE(S): MARPAT 130:196575
GI



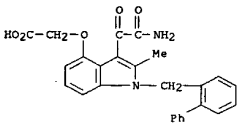
I

AB A method for treatment of non-rheumatoid arthritis by administration of of an **sPLA2** inhibitor is claimed (no data). Thus, preferred compd. (I) was prepd. in 6 steps via 2-ethyl-4-methoxy-1H-indole.
IT **172732-68-2P**

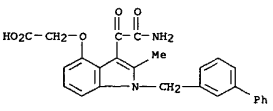
L15 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



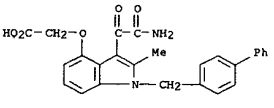
RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

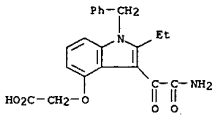


RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

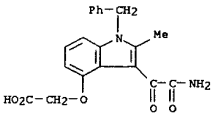


RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-

L15 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(method for treatment of non-rheumatoid arthritis by administration of an **sPLA2** inhibitor)
RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

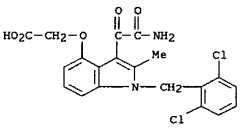


IT **172732-60-4 172732-61-5 172732-62-6 172732-63-7 172732-64-8 172732-65-9 172732-66-0 172732-67-1 172732-69-3 172732-70-6 172732-71-7 172732-72-8 172732-73-9 172733-08-3 172733-42-5 220862-20-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for treatment of non-rheumatoid arthritis by administration of an **sPLA2** inhibitor)
RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

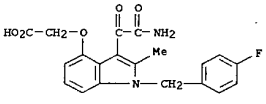


RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

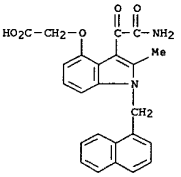
L15 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-66-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

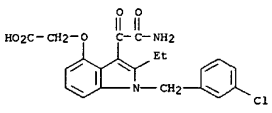


RN 172732-67-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

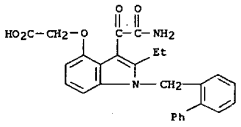


RN 172732-69-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

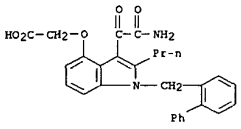
L15 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

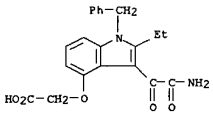


RN 172732-71-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



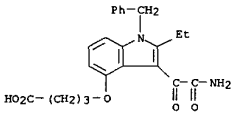
RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



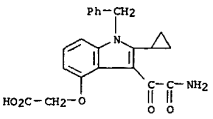
● Na

RN 220862-20-4 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

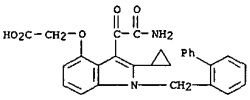


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

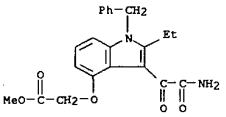
L15 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 172733-42-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)

L15 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS

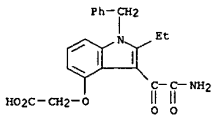
ACCESSION NUMBER: 1999:166097 CAPLUS
DOCUMENT NUMBER: 130:332298
TITLE: Pharmacology of LY315920/S-5920, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetate, a potent and selective secretory phospholipase A2 inhibitor: a new class of anti-inflammatory drugs, SPI
AUTHOR(S): Snyder, David W.; Bach, Nicholas J.; Dillard, Robert D.; Draheim, Susan E.; Carlson, Donald G.; Fox, Niles; Roehm, Neal W.; Armstrong, Christopher T.; Chang, Chan H.; Hartley, Lawrence W.; Johnson, Lea M.; Roman, Carlos R.; Smith, Amy C.; Song, Min; Fleisch, Jerome H.
CORPORATE SOURCE: Lilly Research Laboratories, Lilly Corporate Center, Eli Lilly and Company, Indianapolis, IN, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1999), 288(3), 1117-1124
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB LY315920 is a potent, selective inhibitor of recombinant human, group IIA, nonpancreatic secretory PLA2 (**sPLA2**). In a chromogenic isolated enzyme assay, LY315920 inhibited **sPLA2** activity with an IC50 of 9 .+-. 1 nM or 7.3 .times. 10-6 mole fraction, which approached the stoichiometric limit of this assay. The true potency of LY315920 was defined using a deoxycholate/phosphatidylcholine assay with a mole fraction of 1.5 .times. 10-6. LY315920 was 40-fold less active against human, group IB, pancreatic **sPLA2** and was inactive against cytosolic PLA2 and the constitutive and inducible forms of cyclooxygenase. Human **sPLA2**-induced release of thromboxane A2 (TXA2) from isolated guinea pig lung bronchoalveolar lavage cells was inhibited by LY315920 with an IC50 of 0.79 .mu.M. The release of TXA2 from these cells by N-formyl-methionyl-leucyl-phenylalanine or arachidonic acid was not inhibited. The i.v. administration of LY315920, 5 min before harvesting the bronchoalveolar lavage cells, resulted in the inhibition of **sPLA2**-induced prodn. of TXA2 with an ED50 of 16.1 mg/kg. Challenge of guinea pig lung pleural strips with **sPLA2** produced contractile responses that were suppressed in a concn.-dependent manner by LY315920 with an apparent KB of 83 .+-. 14 nM. Contractile responses induced by arachidonic acid were not altered. I.v. or oral administration of LY315920 to transgenic mice expressing the human **sPLA2** protein inhibited serum **sPLA2** activity in a dose-related manner over a 4-h time course. LY315920 is a potent and selective **sPLA2** inhibitor and represents a new class of anti-inflammatory agent designated SPI. This agent is currently undergoing clin. evaluation and should help to define the role of **sPLA2** in various inflammatory disease states.

IT **172732-68-2**, Ly315920
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of LY315920/S-5920, a potent and selective secretory phospholipase A2 inhibitor, in relation to SPI anti-inflammatory drugs)

RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:31976 CAPLUS
DOCUMENT NUMBER: 130:81400
TITLE: Process for preparing 4-substituted-1H-indole-3-glyoxamides
INVENTOR(S): Khau, Vien Van; Martinelli, Michael John; Pawlak, Joseph Matthew
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 887342	A2	19981230	EP 1998-304994	19980625
EP 887342	A3	19990107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TW 455581	B	20010921	TW 1998-87109902	19980619
WO 9900360	A1	19990107	WO 1998-US12173	19980622
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9879613	A1	19990119	AU 1998-79613	19980622
AU 735516	B2	20010712		
BR 9810481	A	20000912	BR 1998-10481	19980622
JP 2002506460	T2	20020226	JP 1999-505568	19980622
NZ 501780	A	20020828	NZ 1997-501780	19980622
ZA 9805561	A	20000110	ZA 1998-5561	19980625
US 5986106	A	19991116	US 1998-105381	19980626
MX 9911973	A	20000430	MX 1999-11973	19991217
NO 9906432	A	20000209	NO 1999-6432	19991223
CN 1343662	A	20020410	CN 2001-132979	20010907

PRIORITY APPLN. INFO.:	US	1997-50877P	P 19970626
	US	1997-50891P	P 19970626
	WO	1998-US12173	W 19980622

OTHER SOURCE(S): MARPAT 130:81400
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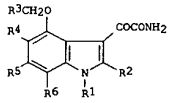

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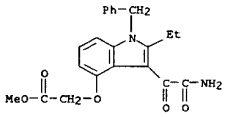
L15 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB An 8-step process for prepg. 1H-indole-3-glyoxamides I [R1 = alkyl, aralkyl; R2 = H, halogen, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkylthio, aryl, aryloxy, heterocyclic; R3 = CO2H, SO3H, P(O)(OH)2; R4-R6 = H, alkyl, alkoxy, haloalkoxy, haloalkyl, Br, Cl, F, I, aryl], useful for inhibiting **sPLA2**, from R2COCH2CO2R7 [R7 = alkyl, aryl, heterocyclic] is claimed. Thus, EtCOCH2CO2Me was treated with 1,3-cyclohexanedione to give 2-(2-oxobutyl)-1,3-cyclohexanedione which was cyclized to tetrahydroindole with PhCH2NH2. The tetrahydroindole was dehydrogenated over Pd-C, treated with BrCH2CO2Me, treated with oxalyl chloride and NH3, and subjected to ester hydrolysis to give I [R1 = CH2Ph, R2 = Et, R3 = CO2H, R4-R6 = H].

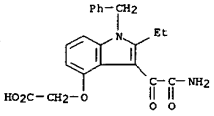
IT **172733-08-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4-substituted-1H-indole-3-glyoxamides with **sPLA2** -inhibiting activity)

RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



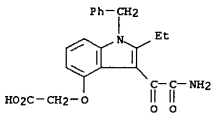
IT **172732-68-2P 172733-42-5P 218934-51-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 4-substituted-1H-indole-3-glyoxamides with **sPLA2** -inhibiting activity)

RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



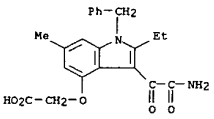
RN 172733-42-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)

L15 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



● Na

RN 218934-51-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-6-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)

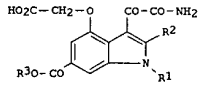


● Na

L15 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:604907 CAPLUS
DOCUMENT NUMBER: 129:189241
TITLE: Preparation and formulation of indoledicarboxylic acid derivatives as **sPLA2** inhibitors
INVENTOR(S): Ohtani, Mitsuaki; Hagishita, Sanji
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837069	A1	19980827	WO 1998-JP679	19980219
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9862292	A1	19980909	AU 1998-62292	19980219
EP 987250	A1	20000322	EP 1998-904379	19980219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: JP 1997-35984 19970220
WO 1998-JP679 19980219
OTHER SOURCE(S): MARPAT 129:189241
GI

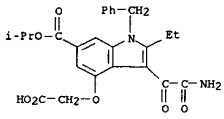


I

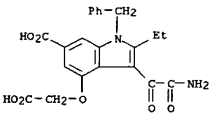
AB The title compds. I [R1 = (un)substituted alkyl, etc.; R2 = H, (un)substituted alkyl, etc.; R3 = H, alkyl, et.] are prepd. In an in vitro test for **sPLA2** inhibition, the title compd. I [R1 = benzyl; R2 = ethyl; R3 = methyl] showed IC50 of 1.7 nM.

IT **172732-68-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(prepn. of indoledicarboxylic acid derivs. as **sPLA2** inhibitors)
RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L15 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

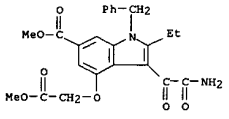


RN 211925-47-2 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)-, disodium salt (9CI) (CA INDEX NAME)



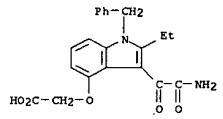
●2 Na

IT **211925-55-2P 211925-56-3P 211925-60-9P**
211925-61-0P 211925-62-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indoledicarboxylic acid derivs. as **sPLA2** inhibitors)
RN 211925-55-2 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-2-ethyl-4-(2-methoxy-2-oxoethoxy)-1-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

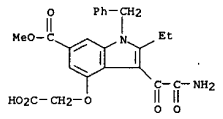


RN 211925-56-3 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-2-ethyl-4-[2-(1-methylethoxy)-2-oxoethoxy]-1-(phenylmethyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)

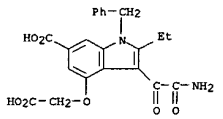
L15 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **211925-44-9P 211925-45-0P 211925-46-1P**
211925-47-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indoledicarboxylic acid derivs. as **sPLA2** inhibitors)
RN 211925-44-9 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)-, 6-methyl ester (9CI) (CA INDEX NAME)

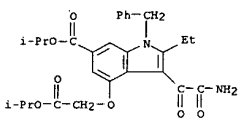


RN 211925-45-0 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

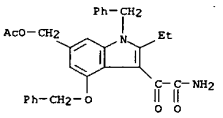


RN 211925-46-1 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)-, 6-(1-methylethyl) ester (9CI) (CA INDEX NAME)

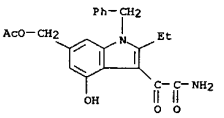
L15 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



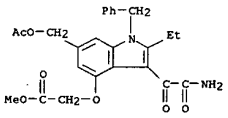
RN 211925-60-9 CAPLUS
CN 1H-Indole-3-acetamide, 6-[(acetyloxy)methyl]-2-ethyl-.alpha.-oxo-4-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



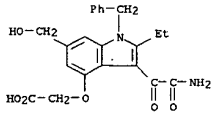
RN 211925-61-0 CAPLUS
CN 1H-Indole-3-acetamide, 6-[(acetyloxy)methyl]-2-ethyl-4-hydroxy-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 211925-62-1 CAPLUS
CN Acetic acid, [[6-[(acetyloxy)methyl]-3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



L15 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
IT **211925-63-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of indoledicarboxylic acid derivs. as **sPLA2** inhibitors)
RN 211925-63-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-6-(hydroxymethyl)-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

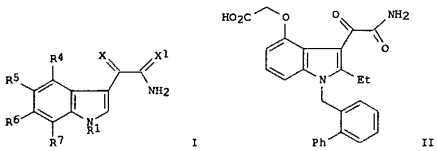
L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:994542 CAPLUS
DOCUMENT NUMBER: 124:117083
TITLE: Preparation of indole-3-glyoxylamides as **sPLA2** inhibitors.
INVENTOR(S): Bach, Nicholas James; Dillard, Robert Delane; Draheim, Susan Elizabeth
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Eur. Pat. Appl., 78 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 675110	A1	19951004	EP 1995-302166	19950331
EP 675110	B1	20020710		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2146097	AA	19951002	CA 1995-2146097	19950331
FI 9501553	A	19951002	FI 1995-1553	19950331
NO 9501252	A	19951002	NO 1995-1252	19950331
AU 9516217	A1	19951012	AU 1995-16217	19950331
AU 688458	B2	19980312		
JP 07285933	A2	19951031	JP 1995-76117	19950331
JP 3109974	B2	20001120		
CN 1114310	A	19960103	CN 1995-103320	19950331
CN 1067054	B	20010613		
BR 9501404	A	19960305	BR 1995-1404	19950331
HU 72048	A2	19960328	HU 1995-957	19950331
ZA 9502693	A	19960930	ZA 1995-2693	19950331
RU 2128169	C1	19990327	RU 1995-104885	19950331
TW 383302	B	20000301	TW 1995-84103168	19950331
IL 113210	A1	20010128	IL 1995-113210	19950331
PL 180523	B1	20010228	PL 1995-307951	19950331
EP 1081135	A2	20010307	EP 2000-203897	19950331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT				
EP 1197484	A2	20020417	EP 2001-130290	19950331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT				
AT 220394	E	20020715	AT 1995-302166	19950331
ES 2179088	T3	20030116	ES 1995-302166	19950331
US 5654326	A	19970805	US 1995-469954	19950606
US 5733923	A	19980331	US 1997-825453	19970328
US 5919810	A	19990706	US 1997-856271	19970514
US 5919943	A	19990706	US 1997-991149	19971216
US 6175021	B1	20010116	US 1999-258680	19990226
US 6433001	B1	20020813	US 2000-714364	20001116

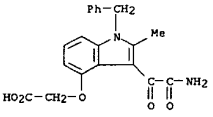
PRIORITY APPLN. INFO.:
US 1994-221916 A 19940401
EP 1995-302166 A3 19950331
US 1995-469954 A3 19950606
US 1997-825453 A1 19970328
US 1997-856271 A1 19970514
US 1999-258680 A1 19990226

OTHER SOURCE(S): MARPAT 124:117083

L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
GI

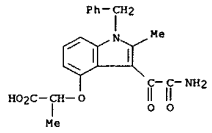


AB Title compds. [I; X, X1 = O, S; R1 = (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, heterocyclyl, optionally connected to N by a linking group; R2 = H, halo, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkylthio, non-interfering substituent; R4, R5 = H, non-interfering substituent, linker-acidic group; R6, R7 = H, non-interfering substituent, (substituted) carbocyclyl, heterocyclyl; with provisos], were prepd. Thus, 2-ethyl-4-methoxy-1H-indole was N-alkylated with NaH/2-(bromomethyl)biphenyl (37%) and the product was O-demethylated with BBr3 to give 69% 1-(1,1'-biphenyl-2-ylmethyl)-2-ethyl-4-hydroxy-1H-indole. This was O-alkylated with NaH/BrCH2CO2Me to give 59% 4-indolyloxyacetate ester, which was 3-acylated with (COCl)2 followed by amidation with NH3 and ester hydrolysis to give title compd. (II). II inhibited human secreted PLA2 with IC50 = 4.33 nM.
IT **172732-60-4P 172732-61-5P 172732-62-6P**
172732-63-7P 172732-64-8P 172732-65-9P
172732-66-0P 172732-67-1P 172732-68-2P
172732-69-3P 172732-70-6P 172732-71-7P
172732-72-8P 172732-73-9P 172732-74-0P
172732-75-1P 172733-42-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indole-3-glyoxylamides as **sPLA2** inhibitors)
RN 172732-60-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

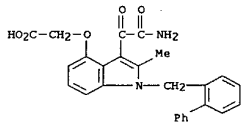


RN 172732-61-5 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

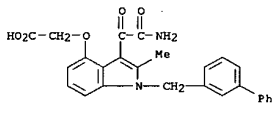
L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



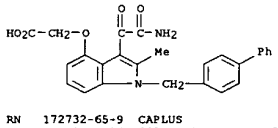
RN 172732-62-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-63-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

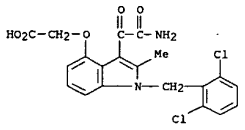


RN 172732-64-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

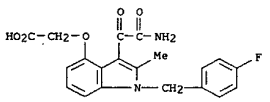


RN 172732-65-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-

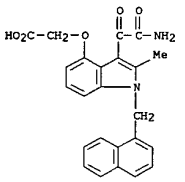
L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-66-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

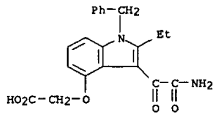


RN 172732-67-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

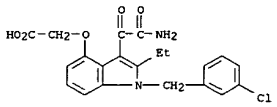


RN 172732-68-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

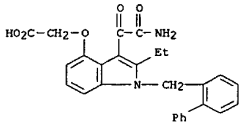
L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 172732-69-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

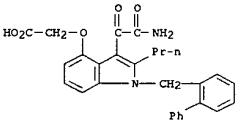


RN 172732-70-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

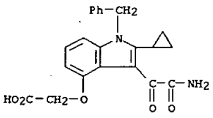


RN 172732-71-7 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

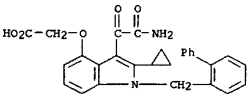
L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



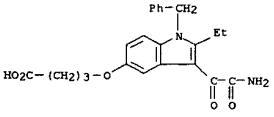
RN 172732-72-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 172732-73-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

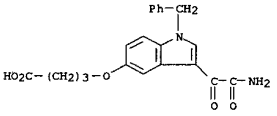


RN 172732-74-0 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-yl]oxy]- (9CI) (CA INDEX NAME)

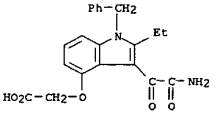


RN 172732-75-1 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-1-(phenylmethyl)-1H-indol-5-yl]oxy]-

L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
(9CI) (CA INDEX NAME)



RN 172733-42-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)



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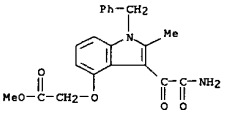
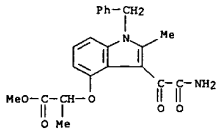
IT **172732-80-8P 172732-82-0P 172732-86-4P**
172732-87-5P 172732-91-1P 172732-94-4P
172732-98-8P 172733-01-6P 172733-05-0P
172733-08-3P 172733-11-8P 172733-15-2P
172733-20-9P 172733-25-4P 172733-29-8P
172733-31-2P 172733-32-3P 172733-33-4P
172733-35-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indole-3-glyoxylamides as **sPLA2** inhibitors)

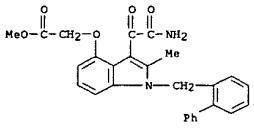
RN 172732-80-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



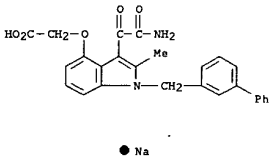
L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 172732-82-0 CAPLUS
CN Propanoic acid, 2-[[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 172732-86-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

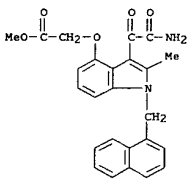


RN 172732-87-5 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)

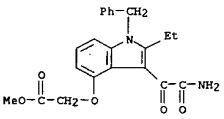


RN 172732-91-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-3-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

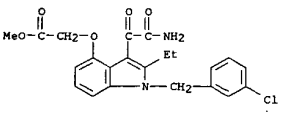
L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Acetic acid, [[3-(aminooxoacetyl)-2-methyl-1-(1-naphthalenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 172733-08-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

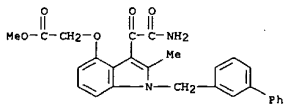


RN 172733-11-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(3-chlorophenyl)methyl]-2-ethyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

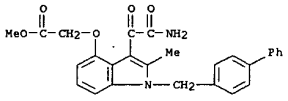


RN 172733-15-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-ethyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

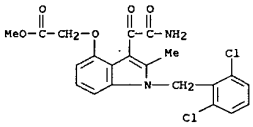
L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



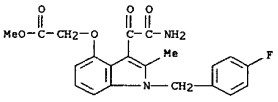
RN 172732-94-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-methyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 172732-98-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(2,6-dichlorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

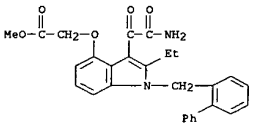


RN 172733-01-6 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-[(4-fluorophenyl)methyl]-2-methyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

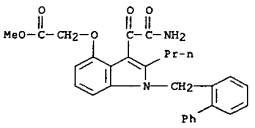


RN 172733-05-0 CAPLUS

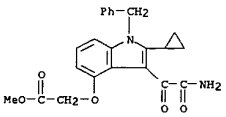
L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



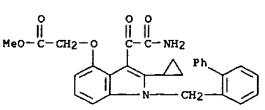
RN 172733-20-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-propyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 172733-25-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-cyclopropyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

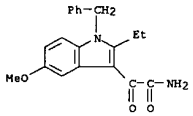


RN 172733-29-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-1-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopropyl-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

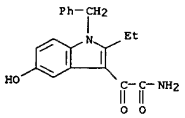


RN 172733-31-2 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-5-methoxy-.alpha.-oxo-1-(phenylmethyl)-

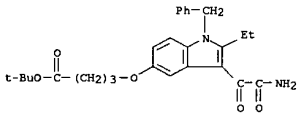
L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
(9CI) (CA INDEX NAME)



RN 172733-32-3 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-5-hydroxy-.alpha.-oxo-1-(phenylmethyl)-
(9CI) (CA INDEX NAME)

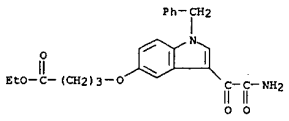


RN 172733-33-4 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-yl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 172733-35-6 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-1-(phenylmethyl)-1H-indol-5-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



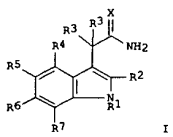
L15 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:621499 CAPLUS
DOCUMENT NUMBER: 123:32954
TITLE: Preparation of 1H-indole-3-acetamides as **sPLA2** inhibitors.
INVENTOR(S): Bach, Nicholas James; Dillard, Robert Delane; Draheim, Susan Elizabeth; Hermann, Robert Bell; Schevitz, Richard Walter
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Eur. Pat. Appl., 123 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 620215	A1	19941019	EP 1994-302666	19940414
EP 620215	B1	19990818		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 70836	A2	19951128	HU 1994-1060	19940413
CA 2121323	AA	19941017	CA 1994-2121323	19940414
BR 9401482	A	19941018	BR 1994-1482	19940414
AT 183503	E	19990915	AT 1994-302666	19940414
ES 2138648	T3	20000116	ES 1994-302666	19940414
CZ 289750	B6	20020313	CZ 1994-893	19940414
FI 9401767	A	19941017	FI 1994-1767	19940415
NO 9401361	A	19941017	NO 1994-1361	19940415
AU 9459492	A1	19941020	AU 1994-59492	19940415
AU 676884	B2	19970327		
JP 07025850	A2	19950127	JP 1994-77650	19940415
CN 1098715	A	19950215	CN 1994-104434	19940415
CN 1068588	B	20010718		
ZA 9402615	A	19951016	ZA 1994-2615	19940415
RU 2162463	C2	20010127	RU 1994-12930	19940415
PL 181319	B1	20010731	PL 1994-303028	19940415
US 5684034	A	19971104	US 1995-435256	19950505
US 6252084	B1	20010626	US 1997-962603	19971031

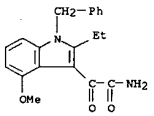
PRIORITY APPLN. INFO.: US 1993-48629 A 19930416
US 1994-208721 A 19940315
US 1995-435256 A1 19950505

OTHER SOURCE(S): MARPAT 123:32954
GI

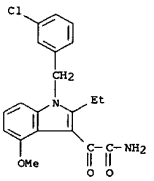


I

L15 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
AB Title compds. [I; R1 = (cyclo)alkyl, alkenyl, aryl, alkylamino, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R3 = H, halo, Me; R4-R7 = H, (cyclo)alkyl, aryl(alkyl), alkoxy, etc.; X = O or S] were prepd. Thus, 1-(2-tert-butoxycarbonylamino-5-methoxyphenyl)-2-butanone (prepn. from 4-methoxy-2-methylaniline given) was cyclized and the product alkylated by BrCH2CO3Me to give, in 4 addnl. steps, I (R1 = CH2Ph, R2 = Et, R3 = R4 = R6 = R7 = H, R5 = OR, X = O)(II;R = H) which was condensed with Br(CH2)3P(O)(OMe)2 to give, after sapon., II [R = (CH2)3P(O)(OH)2]. The latter had IC50 of 0.02.mu.M against human **sPLA2** in vitro.
IT **164082-81-9P 164082-87-5P 164082-89-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 1H-indole-3-acetamides as **sPLA2** inhibitors.)
RN 164082-81-9 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-4-methoxy-.alpha.-oxo-1-(phenylmethyl)-
(9CI) (CA INDEX NAME)

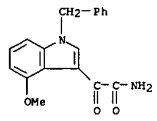


RN 164082-87-5 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(3-chlorophenyl)methyl]-2-ethyl-4-methoxy-.alpha.-oxo- (9CI) (CA INDEX NAME)



RN 164082-89-7 CAPLUS
CN 1H-Indole-3-acetamide, 4-methoxy-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L15 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    172.94     550.57

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -24.09     -25.39

FILE 'REGISTRY' ENTERED AT 16:47:09 ON 23 MAY 2003
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   22 MAY 2003  HIGHEST RN 519137-84-9
DICTIONARY FILE UPDATES:  22 MAY 2003  HIGHEST RN 519137-84-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10069824.str

L16     STRUCTURE UPLOADED

=> d
L16 HAS NO ANSWERS
L16             STR
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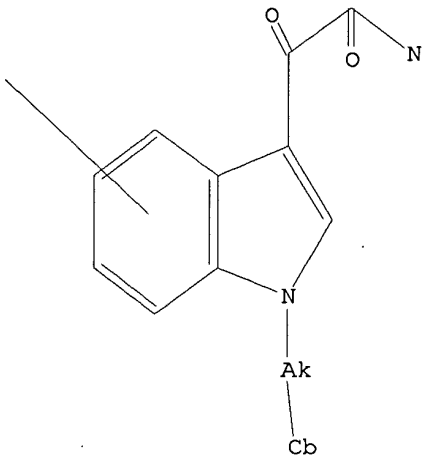

Structure attributes must be viewed using STN Express query preparation.

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=> d his

     (FILE 'HOME' ENTERED AT 16:40:09 ON 23 MAY 2003)

     FILE 'REGISTRY' ENTERED AT 16:41:16 ON 23 MAY 2003
L1             STRUCTURE UPLOADED
L2           0 S L1
L3           2 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 16:41:56 ON 23 MAY 2003
L4           2 S L3

     FILE 'REGISTRY' ENTERED AT 16:42:20 ON 23 MAY 2003
L5             STRUCTURE UPLOADED
L6          50 S L5
L7        1927 S L5 FULL
L8             STRUCTURE UPLOADED
L9         570 S L8 FULL SUB=L7

     FILE 'CAPLUS' ENTERED AT 16:44:16 ON 23 MAY 2003
L10        221 S L9

     FILE 'REGISTRY' ENTERED AT 16:44:42 ON 23 MAY 2003
L11            STRUCTURE UPLOADED
L12        484 S L11 FULL SUB=L9

     FILE 'CAPLUS' ENTERED AT 16:45:03 ON 23 MAY 2003
L13        193 S L12
L14          5 S L13 AND SPLA
L15         37 S L13 AND SPLA2

     FILE 'REGISTRY' ENTERED AT 16:47:09 ON 23 MAY 2003
L16            STRUCTURE UPLOADED
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=> s l16 subset=l7 full
FULL SUBSET SEARCH INITIATED 16:47:57 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -   1927 TO ITERATE

100.0% PROCESSED    1927 ITERATIONS                          60 ANSWERS
SEARCH TIME: 00.00.01

L17          60 SEA SUB=L7 SSS FUL L16

=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                35.70     586.27

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00     -25.39

FILE 'CAPLUS' ENTERED AT 16:48:03 ON 23 MAY 2003
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FILE COVERS 1907 - 23 May 2003  VOL 138 ISS 22
FILE LAST UPDATED: 22 May 2003  (20030522/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

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L18          17 L17

=> d ibib abs hitstr 1-17
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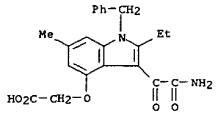
L18 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:736140 CAPLUS
DOCUMENT NUMBER: 137:242179
TITLE: Remedies for arteriosclerosis
INVENTOR(S): Saiga, Akihiko; Ono, Takashi; Yamada, Katsutoshi; Hanasaki, Kohji
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074342	A1	20020926	WO 2002-JP2585	20020319

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-78569 A 20010319
JP 2001-401289 A 20011228
OTHER SOURCE(S): MARPAT 137:242179
AB Novel remedies and preventives for arteriosclerosis which are characterized by treating or preventing arteriosclerosis with the use of V type and/or X type sPLA2 inhibitors.
IT **263910-31-2**
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(remedies for arteriosclerosis)
RN 263910-31-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-6-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:90043 CAPLUS
DOCUMENT NUMBER: 136:151168
TITLE: Preparation of 2-(1H-indol-3-yl)-2-oxo-acetic acid amides with antitumor activity
INVENTOR(S): Menta, Ernesto; Pescalli, Nicoletta
PATENT ASSIGNEE(S): Novuspharma S.p.A., Italy
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008225	A1	20020131	WO 2001-EP8075	20010712

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

IT 2000MI1697 A1 20020125 IT 2000-MI1697 20000725
PRIORITY APPLN. INFO.: IT 2000-MI1697 A 20000725
OTHER SOURCE(S): MARPAT 136:151168
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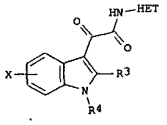
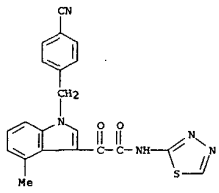


I

AB The title compds. [I; HET = (un)substituted 4-7 membered (non)arom. heterocyclyl; R3 = H, alkyl, aralkyl, (un)substituted Ph; R4 = alkyl, cycloalkyl, (hetero)aralkyl; X = H, alkyl, OH, etc.] having antitumor activity in particular against solid tumors, specifically colon and lung tumors (no data), were prepd. Thus, reacting 2-[1-(4-fluorobenzyl)-1H-indol-3-yl]-2-oxo-acetyl chloride with 2-amino-1,3,4-thiadiazole in the presence of K2CO3 in 1,2-dimethoxyethane afforded I [HET = 1,3,4-thiadiazol-2-yl; R3 = H; R4 = 4-FC6H4CH2; X = H].
IT **393795-61-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(1H-indol-3-yl)-2-oxo-acetamides with antitumor activity)

L18 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 393795-61-4 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-cyanophenyl)methyl]-4-methyl-.alpha.-oxo-N-1,3,4-thiadiazol-2-yl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:10441 CAPLUS
DOCUMENT NUMBER: 136:69735
TITLE: Preparation of heterocyclic compounds as X-type sPLA2 inhibitors
INVENTOR(S): Ogawa, Tomoyuki; Seno, Kaoru; Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000621	A1	20020103	WO 2001-JP5479	20010627

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-195430 A 20000629
OTHER SOURCE(S): MARPAT 136:69735
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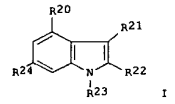

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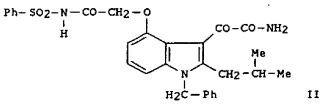
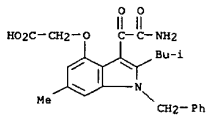


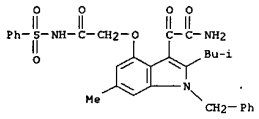
II

AB The title compds., e.g. I [R20 is OCH2COOH or the like; R21 is COCONH2 or the like; R22 is C4-6 alkyl; R23 is CH2R18 (wherein R18 is aryl or the like); and R24 is hydrogen or C1-6 alkyl], are prepd. The title compd. II in vitro showed IC50 of 0.008 .mu.M against X-type sPLA2. Formulations are given.
IT **383860-22-8P 383860-24-0P 383860-33-1P**
383860-44-4P

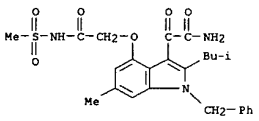
L18 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as X-type sPLA2 inhibitors)
RN 383860-22-8 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-6-methyl-2-(2-methylpropyl)-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 383860-24-0 CAPLUS
CN 1H-Indole-3-acetamide, 6-methyl-2-(2-methylpropyl)-.alpha.-oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

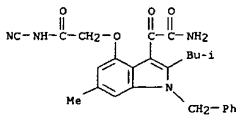


RN 383860-33-1 CAPLUS
CN 1H-Indole-3-acetamide, 6-methyl-2-(2-methylpropyl)-4-[2-[(methylsulfonyl)amino]-2-oxoethoxy]-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 383860-44-4 CAPLUS
CN 1H-Indole-3-acetamide, 4-[2-(cyanoamino)-2-oxoethoxy]-6-methyl-2-(2-methylpropyl)-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L18 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:489393 CAPLUS
DOCUMENT NUMBER: 135:92542
TITLE: Preparation of N-(oxofuranyl or oxothienyl)-2-(1H-indol-3-yl)-2-oxoacetamides with antitumor activity
INVENTOR(S): Menta, Ernesto; Pescalli, Nicoletta
PATENT ASSIGNEE(S): Novuspharma S.P.A., Italy
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047916	A1	20010705	WO 2000-EP13068	20001221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000016553	A	20020917	BR 2000-16553	20001221
EP 1244652	A1	20021002	EP 2000-985225	20001221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2002002976	A	20020620	NO 2002-2976	20020620

PRIORITY APPLN. INFO.: IT 1999-MI2693 A 19991223
WO 2000-EP13068 W 20001221
OTHER SOURCE(S): MARPAT 135:92542
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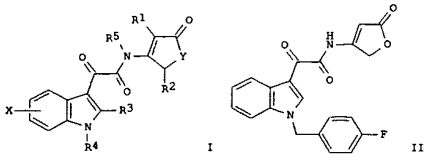
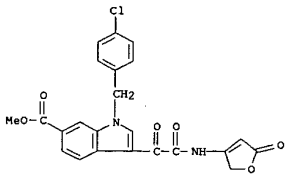


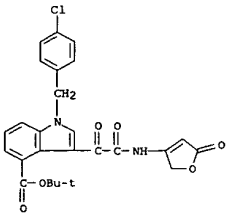
AB Title compds. (I) [wherein R1, R2, and R5 = independently H or alkyl; R3 = H (ar)alkyl, or (un)substituted Ph; R4 = H, (cyclo)alkyl, or (hetero)aralkyl; X = independently 1-4 groups selected from H, (halo)alkyl, hydroxyalkyl, aminoalkyl, alkoxyalkyl, acyloxyalkyl, OH, (halo)alkoxy, PhO, aralkoxy, acyloxy, halo, NO2, CN, CF3, CO2H, alkoxycarbonyl, (un)substituted carbamoyl, SH, alkylthio, alkylsulfinyl, alkylsulfonyl, (un)substituted amino, aminosulfonyl, or phosphonyl, etc.,

L18 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
Y = O or S; or isomers, enantiomers, or mixts. thereof; or pharmaceutically acceptable salts thereof] were prepd. as antitumor agents, which are esp. effective against solid colon and lung tumors. For example, II was formed by addn. of oxalyl chloride in Et2O to 1-(4-fluorobenzyl)-1H-indole in Et2O, followed by amidation with 4-amino-5H-furan-2-one in THF. II showed cytotoxic activity against human HT 29 colon adenocarcinoma, PC 3 prostate carcinoma, H 460M lung carcinoma, and MKN45 gastric carcinoma with IC50 values of 0.0004 .mu.g/mL, 0.035 .mu.g/mL, 0.012 .mu.g/mL, and 0.088 .mu.g/mL, resp.
IT **348112-07-2P 348112-44-7P 348112-47-0P 348112-48-1P 348112-53-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 2-(1H-indol-3-yl)-2-oxoacetamide antitumor agents by reaction of indoles with oxalyl chloride followed by amidation with aminothiophenones or aminofuranones)
RN 348112-07-2 CAPLUS
CN 1H-Indole-6-carboxylic acid, 1-[(4-chlorophenyl)methyl]-3-[[(2,5-dihydro-5-oxo-3-furanyl)amino]oxoacetyl]-, methyl ester (9CI) (CA INDEX NAME)



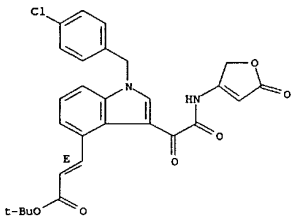
RN 348112-44-7 CAPLUS
CN 1H-Indole-4-carboxylic acid, 1-[(4-chlorophenyl)methyl]-3-[[(2,5-dihydro-5-oxo-3-furanyl)amino]oxoacetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L18 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



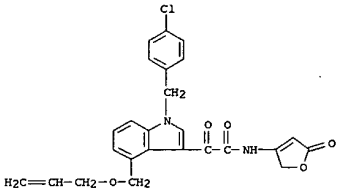
RN 348112-47-0 CAPLUS
CN 2-Propenoic acid, 3-[1-[(4-chlorophenyl)methyl]-3-[[(2,5-dihydro-5-oxo-3-furanyl)amino]oxoacetyl]-1H-indol-4-yl]-, 1,1-dimethylethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

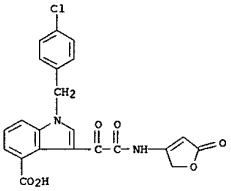


RN 348112-48-1 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-chlorophenyl)methyl]-N-(2,5-dihydro-5-oxo-3-furanyl)-.alpha.-oxo-4-[(2-propenyloxy)methyl]- (9CI) (CA INDEX NAME)

L18 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



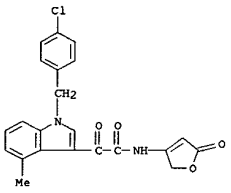
RN 348112-53-8 CAPLUS
CN 1H-Indole-4-carboxylic acid, 1-[(4-chlorophenyl)methyl]-3-[[(2,5-dihydro-5-oxo-3-furanyl)amino]oxoacetyl]- (9CI) (CA INDEX NAME)



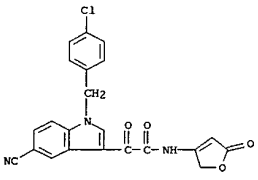
IT **348111-82-0P 348111-83-1P 348111-87-5P**
348111-88-6P 348111-90-0P 348112-05-0P
348112-31-2P 348112-46-9P 348112-54-9P
348112-55-0P 348112-56-1P 348112-57-2P
348112-59-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(1H-indol-3-yl)-2-oxoacetamide antitumor agents by reaction of indoles with oxalyl chloride followed by amidation with aminothiophenones or aminofuranones)

RN 348111-82-0 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-chlorophenyl)methyl]-N-(2,5-dihydro-5-oxo-3-furanyl)-4-methyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

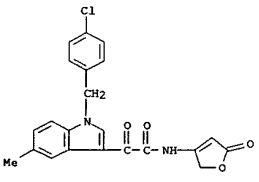
L18 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 348111-83-1 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-chlorophenyl)methyl]-5-cyano-N-(2,5-dihydro-5-oxo-3-furanyl)-.alpha.-oxo- (9CI) (CA INDEX NAME)

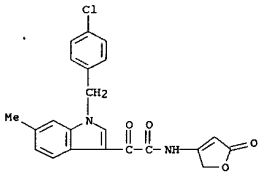


RN 348111-87-5 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-chlorophenyl)methyl]-N-(2,5-dihydro-5-oxo-3-furanyl)-5-methyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

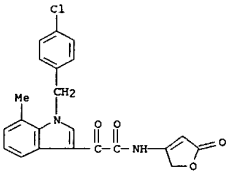


RN 348111-88-6 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-chlorophenyl)methyl]-N-(2,5-dihydro-5-oxo-3-furanyl)-6-methyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

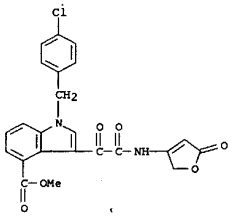
L18 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



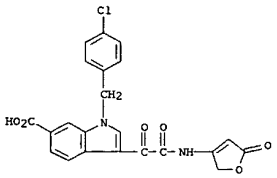
RN 348111-90-0 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-chlorophenyl)methyl]-N-(2,5-dihydro-5-oxo-3-furanyl)-7-methyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



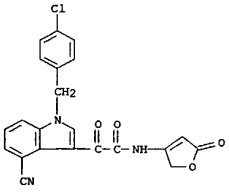
RN 348112-05-0 CAPLUS
CN 1H-Indole-4-carboxylic acid, 1-[(4-chlorophenyl)methyl]-3-[[(2,5-dihydro-5-oxo-3-furanyl)amino]oxoacetyl]-, methyl ester (9CI) (CA INDEX NAME)



L18 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 348112-31-2 CAPLUS
CN 1H-Indole-6-carboxylic acid, 1-[(4-chlorophenyl)methyl]-3-[[(2,5-dihydro-5-oxo-3-furanyl)amino]oxoacetyl]- (9CI) (CA INDEX NAME)



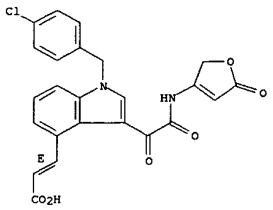
RN 348112-46-9 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-chlorophenyl)methyl]-4-cyano-N-(2,5-dihydro-5-oxo-3-furanyl)-.alpha.-oxo- (9CI) (CA INDEX NAME)



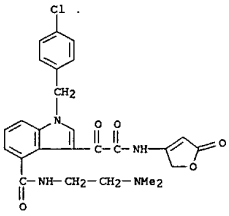
RN 348112-54-9 CAPLUS
CN 2-Propenoic acid, 3-[1-[(4-chlorophenyl)methyl]-3-[[(2,5-dihydro-5-oxo-3-furanyl)amino]oxoacetyl]-1H-indol-4-yl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L18 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

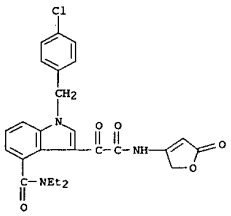


RN 348112-55-0 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-chlorophenyl)methyl]-N-(2,5-dihydro-5-oxo-3-furanyl)-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-.alpha.-oxo- (9CI) (CA INDEX NAME)

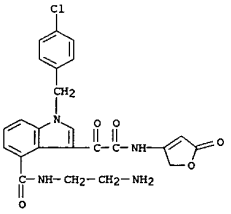


RN 348112-56-1 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-chlorophenyl)methyl]-4-[(diethylamino)carbonyl]-N-(2,5-dihydro-5-oxo-3-furanyl)-.alpha.-oxo- (9CI) (CA INDEX NAME)

L18 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

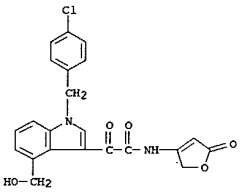


RN 348112-57-2 CAPLUS
CN 1H-Indole-3-acetamide, 4-[[(2-aminoethyl)amino]carbonyl]-1-[(4-chlorophenyl)methyl]-N-(2,5-dihydro-5-oxo-3-furanyl)-.alpha.-oxo- (9CI) (CA INDEX NAME)

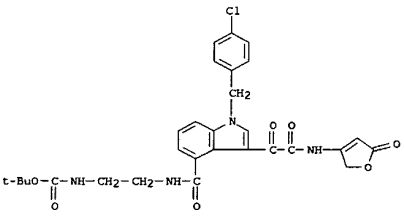


RN 348112-59-4 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-chlorophenyl)methyl]-N-(2,5-dihydro-5-oxo-3-furanyl)-4-(hydroxymethyl)-.alpha.-oxo- (9CI) (CA INDEX NAME)

L18 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **348112-58-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of 2-(1H-indol-3-yl)-2-oxoacetamide antitumor agents by reaction of indoles with oxalyl chloride followed by amidation with aminothiophenones or aminofuranones)
RN 348112-58-3 CAPLUS
CN Carbamic acid, [2-[[[1-[(4-chlorophenyl)methyl]-3-[[(2,5-dihydro-5-oxo-3-furanyl)amino]oxoacetyl]-1H-indol-4-yl]carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



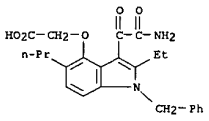
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:227212 CAPLUS
DOCUMENT NUMBER: 135:40417
TITLE: A molecular modeling and 3D QSAR study of a large series of indole inhibitors of human non-pancreatic secretory phospholipase A2
AUTHOR(S): Bernard, Philippe; Pintore, Marco; Berthon, Jean-Yves; Chretien, Jacques R.
CORPORATE SOURCE: Laboratory of Chemometrics and BioInformatics, University of Orleans, Orleans, 45067, Fr.
SOURCE: European Journal of Medicinal Chemistry (2001), 36(1), 1-19
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Automated docking allowing protein-based alignment was performed for a series of 188 indole inhibitors of the human non-pancreatic secretory phospholipase A2 (hnps-PLA2). All the substituted indoles were docked to the crystal structure of hnps-PLA2 and a three-dimensional QSAR model was then established using the CoMFA method. The set of 188 compds. was divided into two subsets, the first one constituting the training set (126 compds.), while the second constituted the test set (62 compds.). The established CoMFA model derived from the training set was then applied to the test set. A good correlation between predicted and exptl. activity data allows to validate the 3D QSAR model. A second and global 3D QSAR including all the compds. was established, allowing the creation of the hnps-PLA2 pharmacophore.

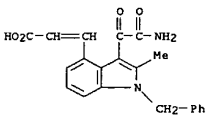
IT **185298-72-0 185299-07-4 185299-08-5 263910-31-2 344741-25-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(a mol. modeling and 3D QSAR study of a large series of indole inhibitors of human non-pancreatic secretory phospholipase A2)

RN 185298-72-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-5-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

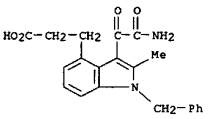


RN 185299-07-4 CAPLUS
CN 2-Propenoic acid, 3-[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]- (9CI) (CA INDEX NAME)

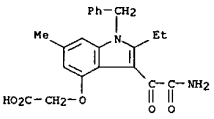
L18 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 185299-08-5 CAPLUS
CN 1H-Indole-4-propanoic acid, 3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-(9CI) (CA INDEX NAME)

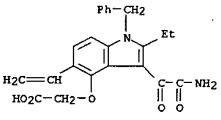


RN 263910-31-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-6-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)



RN 344741-25-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-5-ethenyl-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L18 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:441704 CAPLUS
DOCUMENT NUMBER: 133:79346
TITLE: Preparation of indoles as secretory phospholipase A2 inhibitors as anti-inflammatory agents
INVENTOR(S): Bach, Nicholas James; Harper, Richard Waltz; Kinnick, Michael Dean; Lin, Ho-Shen; Morin, John Michael, Jr.; Richett, Michael Enrico
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037358	A1	20000629	WO 1999-US30405	19991220
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2356159	AA	20000629	CA 1999-2356159	19991220
EP 1144305	A1	20011017	EP 1999-967465	19991220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002532571	T2	20021002	JP 2000-589439	19991220
US 6391908	B1	20020521	US 2001-856942	20010530

PRIORITY APPLN. INFO.: US 1998-113303P P 19981222
WO 1999-US30405 W 19991220

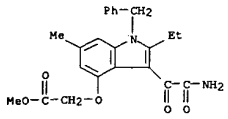
OTHER SOURCE(S): MARPAT 133:79346

AB Indole derivs. are disclosed together with the use of such compds. for inhibiting human nonpancreatic secretory phospholipase A2 (sPLA2)-mediated release of fatty acids for treatment of inflammatory diseases such as septic shock. Thus, 2-[[3-[[2-(Aminooxo)-1-(N-hydroxyimino)]ethyl]-2-ethyl-(phenylmethyl)-1H-indol-4-yl]oxy]acetic acid (I) was prepd. by the hydrolysis of the corresponding ester with LiOH soln. in THF. Thus, tablets contained I 250, microcryst. cellulose 400, fumed siO2 10 and stearic acid 5 mg/tablet.

IT **278601-79-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of indoles as secretory phospholipase A2 inhibitors as anti-inflammatory agents)

RN 278601-79-9 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-6-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

L18 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



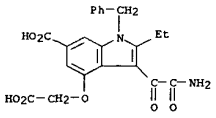
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:260062 CAPLUS
DOCUMENT NUMBER: 132:284251
TITLE: Remedies or preventives containing sPLA2 inhibitors for ischemic reflow failure
INVENTOR(S): Todo, Satoru
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

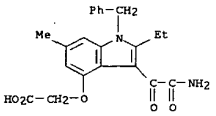
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021563	A1	20000420	WO 1999-JP5528	19991007
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2346334	AA	20000420	CA 1999-2346334	19991007
AU 9960047	A1	20000501	AU 1999-60047	19991007
EP 1157704	A1	20011128	EP 1999-970328	19991007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: JP 1998-292423 A 19981014
WO 1999-JP5528 W 19991007
OTHER SOURCE(S): MARPAT 132:284251
AB The invention relates to remedies or preventives for ischemic reflow failure which contain an sPLA2 inhibitor, e.g. [[3-[2-Amino-1,2-dioxoethyl]-2-methyl-1-[phenylmethyl]-1H-indol-4-yl]oxy]acetic acid, as active ingredient. Capsules were formulated contg. sPLA2 inhibitor 250, starch 200 and magnesium stearate 10 mg/capsule.
IT **211925-45-0 263910-31-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(remedies or preventives contg. sPLA2 inhibitors for ischemic reflow failure)
RN 211925-45-0 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L18 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 263910-31-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-6-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

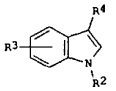


REFERENCE COUNT: 98 THERE ARE 98 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:659229 CAPLUS
DOCUMENT NUMBER: 131:271807
TITLE: Preparation of indolylglyoxylamides as antitumor agents
INVENTOR(S): Nickel, Bernd; Szelenyi, Istvan; Schmidt, Jurgen; Emig, Peter; Reichert, Dietmar; Gunther, Eckhard; Brune, Kay
PATENT ASSIGNEE(S): Asta Medica A.-G., Germany
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

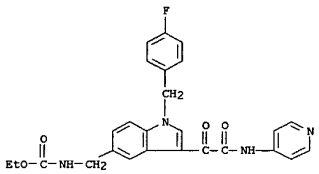
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951224	A1	19991014	WO 1999-EP1918	19990322
W: AU, BG, BR, BY, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, UZ, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19814838	A1	19991014	DE 1998-19814838	19980402
DE 19814838	C2	20010118		
CA 2326833	AA	19991014	CA 1999-2326833	19990322
AU 9929349	A1	19991025	AU 1999-29349	19990322
BR 9909902	A	20001226	BR 1999-9902	19990322
EP 1071420	A1	20010131	EP 1999-910372	19990322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 200000581	A	20020215	EE 2000-581	19990322
JP 2002510622	T2	20020409	JP 2000-541995	19990322
US 6232327	B1	20010515	US 1999-285058	19990402
NO 2000004916	A	20001201	NO 2000-4916	20000929
BG 104849	A	20010531	BG 2000-104849	20001012
ZA 2000006150	A	20010111	ZA 2000-6150	20001031
US 2003023093	A1	20030130	US 2001-810604	20010319

PRIORITY APPLN. INFO.: DE 1998-19814838 A 19980402
WO 1999-EP1918 W 19990322
OTHER SOURCE(S): MARPAT 131:271807
GI

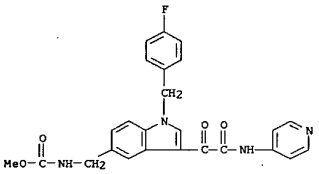


AB Title compds. [I; R2 = H or (un)substituted alkyl; R3 = H or 1 or 2 of halo, alkyl, alkoxy, etc.; R4 = C(:X)C(:X)NRR1; R = H, (un)substituted alkyl, CO2CH2Ph, etc.; R1 = (un)substituted Ph, -pyridyl, -pyrimidyl, etc.; RR1 = (CH2CH2)2NR7; R7 = alkyl, Ph, CHPh2, etc.; X = O or S] were

L18 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
prepd. Thus, indole was N-alkylated by 4-FC6H4CH2Cl and the product acylated by (COCl)2 to give, after 4-aminopyridine amidation, I (R2 = CH2C6H4F-4, R3 = H, R4 = COCONHR1, R1 = 4-pyridyl). Data for biol. activity of I were given.
IT **204206-03-1P 245661-38-5P 245661-39-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolylglyoxylamides as antitumor agents)
RN 204206-03-1 CAPLUS
CN Carbamic acid, [[1-[(4-fluorophenyl)methyl]-3-[oxo(4-pyridinylamino)acetyl]-1H-indol-5-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

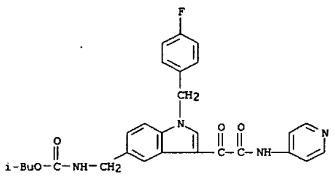


RN 245661-38-5 CAPLUS
CN Carbamic acid, [[1-[(4-fluorophenyl)methyl]-3-[oxo(4-pyridinylamino)acetyl]-1H-indol-5-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 245661-39-6 CAPLUS
CN Carbamic acid, [[1-[(4-fluorophenyl)methyl]-3-[oxo(4-pyridinylamino)acetyl]-1H-indol-5-yl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

L18 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



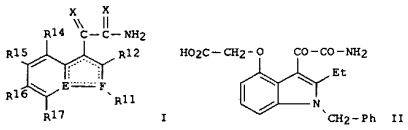
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:325791 CAPLUS
DOCUMENT NUMBER: 130:338017
TITLE: Method for the treatment of disorders associated with apoptosis using N-heterocyclic glyoxylamide compounds
INVENTOR(S): Yagami, Tatsuro; Takasu, Nobuo
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924033	A1	19990520	WO 1997-JP4104	19971112
W: JP, US				
CA 2308269	AA	19990520	CA 1998-2308269	19981110
WO 9924026	A2	19990520	WO 1998-JP5042	19981110
WO 9924026	A3	19990715		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9897630	A1	19990531	AU 1998-97630	19981110
EP 1037630	A2	20000927	EP 1998-951749	19981110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

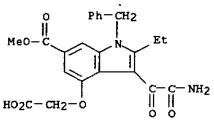
PRIORITY APPLN. INFO.: WO 1997-JP4104 A 19971112
WO 1998-JP5042 W 19981110

OTHER SOURCE(S): MARPAT 130:338017
GI

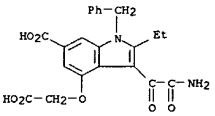


AB A method is disclosed for the treatment of disorders assocd. with apoptosis using N-heterocyclic glyoxylamide compds. I [E, F = C, N; the dotted line indicates the presence or absence of a double bond; R11 = alkyl, etc.; R12 = H, halo, etc.; R14 = H, etc.; R15 = H, etc.; R16 = H, carboxyl or ester thereof; R17 = H, alkyl, etc.; X = O, S]. Indole deriv. II (prepn. given) in vitro suppressed neuronal death depending on its concn.

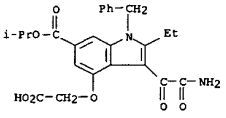
L18 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
IT **211925-44-9P 211925-45-0P 211925-46-1P**
224581-09-3P 224581-10-6P 224581-11-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(method for treatment of disorders assocd. with apoptosis using N-heterocyclic glyoxylamide compds.)
RN 211925-44-9 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)-, 6-methyl ester (9CI) (CA INDEX NAME)



RN 211925-45-0 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

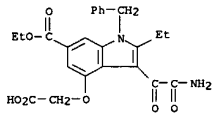


RN 211925-46-1 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)-, 6-(1-methylethyl) ester (9CI) (CA INDEX NAME)

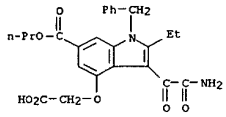


RN 224581-09-3 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)-, 6-ethyl ester (9CI) (CA INDEX NAME)

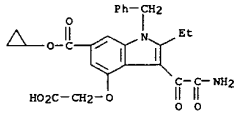
L18 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 224581-10-6 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)-, 6-propyl ester (9CI) (CA INDEX NAME)



RN 224581-11-7 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)-, 6-cyclopropyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:31976 CAPLUS
DOCUMENT NUMBER: 130:81400
TITLE: Process for preparing 4-substituted-1H-indole-3-glyoxamides
INVENTOR(S): Khau, Vien Van; Martinelli, Michael John; Pawlak, Joseph Matthew
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 887342	A2	19981230	EP 1998-304994	19980625
EP 887342	A3	19990107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TW 455581	B	20010921	TW 1998-87109902	19980619
WO 9900360	A1	19990107	WO 1998-US12173	19980622
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9879613	A1	19990119	AU 1998-79613	19980622
AU 735516	B2	20010712		
BR 9810481	A	20000912	BR 1998-10481	19980622
JP 2002506460	T2	20020226	JP 1999-505568	19980622
NZ 501780	A	20020828	NZ 1997-501780	19980622
ZA 9805561	A	20000110	ZA 1998-5561	19980625
US 5986106	A	19991116	US 1998-105381	19980626
MX 9911973	A	20000430	MX 1999-11973	19991217
NO 9906432	A	20000209	NO 1999-6432	19991223
CN 1343662	A	20020410	CN 2001-132979	20010907

PRIORITY APPLN. INFO.: US 1997-50877P P 19970626
US 1997-50891P P 19970626
WO 1998-US12173 W 19980622
OTHER SOURCE(S): MARPAT 130:81400
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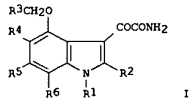
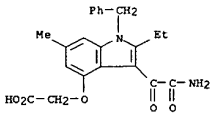


I

L18 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB An 8-step process for prepg. 1H-indole-3-glyoxamides I [R1 = alkyl, aralkyl; R2 = H, halogen, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkylthio, aryl, aryloxy, heterocyclic; R3 = CO2H, SO3H, P(O)(OH)2; R4-R6 = H, alkyl, alkoxy, haloalkoxy, haloalkyl, Br, Cl, F, I, aryl], useful for inhibiting sPLA2, from R2COCH2CO2R7 [R7 = alkyl, aryl, heterocyclic] is claimed. Thus, EtCOCH2CO2Me was treated with 1,3-cyclohexanedione to give 2-(2-oxobutyl)-1,3-cyclohexanedione which was cyclized to tetrahydroindole with PhCH2NH2. The tetrahydroindole was dehydrogenated over Pd-C, treated with BrCH2CO2Me, treated with oxalyl chloride and NH3, and subjected to ester hydrolysis to give I [R1 = CH2Ph, R2 = Et, R3 = CO2H, R4-R6 = H].
IT **218934-51-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 4-substituted-1H-indole-3-glyoxamides with sPLA2-inhibiting activity)
RN 218934-51-1 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-6-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)

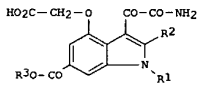


● Na

L18 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:604907 CAPLUS
DOCUMENT NUMBER: 129:189241
TITLE: Preparation and formulation of indoledicarboxylic acid derivatives as sPLA2 inhibitors
INVENTOR(S): Ohtani, Mitsuaki; Hagishita, Sanji
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837069	A1	19980827	WO 1998-JP679	19980219
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9862292	A1	19980909	AU 1998-62292	19980219
EP 987250	A1	20000322	EP 1998-904379	19980219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

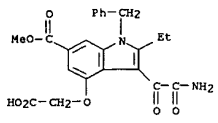
PRIORITY APPLN. INFO.: JP 1997-35984 19970220
WO 1998-JP679 19980219
OTHER SOURCE(S): MARPAT 129:189241
GI



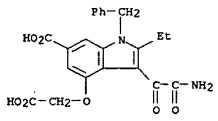
I

AB The title compds. I [R1 = (un)substituted alkyl, etc.; R2 = H, (un)substituted alkyl, etc.; R3 = H, alkyl, et.] are prepd. In an in vitro test for sPLA2 inhibition, the title compd. I [R1 = benzyl; R2 = ethyl; R3 = methyl] showed IC50 of 1.7 nM.
IT **211925-44-9P 211925-45-0P 211925-46-1P**
211925-47-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indoledicarboxylic acid derivs. as sPLA2 inhibitors)
RN 211925-44-9 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)-, 6-methyl ester (9CI) (CA INDEX NAME)

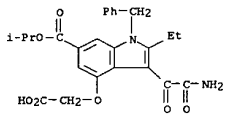
L18 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 211925-45-0 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

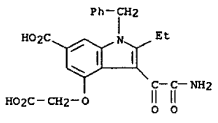


RN 211925-46-1 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)-, 6-(1-methylethyl) ester (9CI) (CA INDEX NAME)



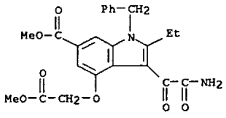
RN 211925-47-2 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-4-(carboxymethoxy)-2-ethyl-1-(phenylmethyl)-, disodium salt (9CI) (CA INDEX NAME)

L18 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

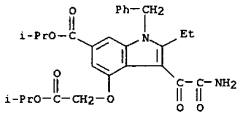


●2 Na

IT **211925-55-2P 211925-56-3P 211925-60-9P**
211925-61-0P 211925-62-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indoledicarboxylic acid derivs. as sPLA2 inhibitors)
RN 211925-55-2 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-2-ethyl-4-(2-methoxy-2-oxoethoxy)-1-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

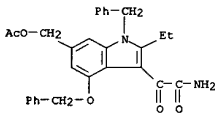


RN 211925-56-3 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-(aminooxoacetyl)-2-ethyl-4-[2-(1-methylethoxy)-2-oxoethoxy]-1-(phenylmethyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)

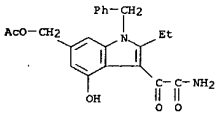


RN 211925-60-9 CAPLUS
CN 1H-Indole-3-acetamide, 6-[(acetyloxy)methyl]-2-ethyl-.alpha.-oxo-4-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

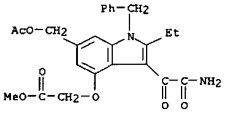
L18 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 211925-61-0 CAPLUS
CN 1H-Indole-3-acetamide, 6-[(acetyloxy)methyl]-2-ethyl-4-hydroxy-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

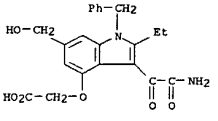


RN 211925-62-1 CAPLUS
CN Acetic acid, [[6-[(acetyloxy)methyl]-3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



IT **211925-63-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of indoledicarboxylic acid derivs. as sPLA2 inhibitors)
RN 211925-63-2 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-6-(hydroxymethyl)-1-(phenylmethyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

L18 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



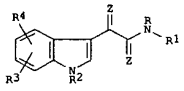
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:175908 CAPLUS
DOCUMENT NUMBER: 128:217285
TITLE: Preparation of new, N-substituted indole-3-glyoxylamides as antiasthmatics, antiallergic agents and immunosuppressants/immunomodulators
INVENTOR(S): Lebaut, Guillaume; Menciu, Cecilia; Kutscher, Bernhard; Emig, Peter; Szelenyi, Stefan; Brune, Kay
PATENT ASSIGNEE(S): Asta Medica Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9809946	A1	19980312	WO 1997-EP4474	19970816
W: AU, BR, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RU, SG, SK, TR, UA				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19636150	A1	19980312	DE 1996-19636150	19960906
AU 9740158	A1	19980326	AU 1997-40158	19970816
AU 726521	B2	20001109		
EP 931063	A1	19990728	EP 1997-937586	19970816
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1227542	A	19990901	CN 1997-197128	19970816
BR 9712808	A	19991123	BR 1997-12808	19970816
JP 2000505098	T2	20000425	JP 1998-512167	19970816
JP 3296437	B2	20020702		
NZ 334476	A	20000526	NZ 1997-334476	19970816
ZA 9707475	A	19980219	ZA 1997-7475	19970820
CA 2215013	AA	19980306	CA 1997-2215013	19970904
CA 2215013	C	20020305		
US 6008231	A	19991228	US 1997-925326	19970908
NO 9901071	A	19990304	NO 1999-1071	19990304
US 6344467	B1	20020205	US 1999-409263	19990930
US 2002161025	A1	20021031	US 2002-58836	20020130
NO 2003000481	A	19990304	NO 2003-481	20030130

PRIORITY APPLN. INFO.: DE 1996-19636150 A 19960906
WO 1997-EP4474 W 19970816
US 1997-925326 A3 19970908
US 1999-409263 A3 19990930

OTHER SOURCE(S): MARPAT 128:217285
GI



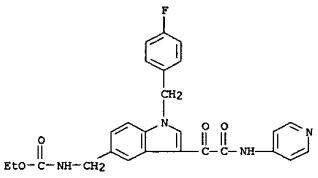
I

L18 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB The title compds. [I; R = H, (un)substituted C1-6 alkyl; R1 = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; RR1 = atoms to close (N-substituted) piperazine ring; R2 = H, (un)substituted C1-6 alkyl, (un)substituted benzoyl; R3, R4 = H, OH, C1-6 alkyl, C3-7 cycloalkyl, halo, NO2, amino, benzyloxy, etc.; Z = O, S] and their acid salts were prepd., e.g., by N-alkylation of indoles with R2-bearing reactants followed by acylation with a dicarbonyl halide and amidation of the remaining acid halide function. For example, a title compd. I (R = R3 = R4 = H, R1 = 4-pyridyl, R2 = 4-FC6H4CH2, Z = O) (prepn. by benzylation of indole with 4-FC6H4CH2Cl, acylation of the intermediate with (COCl)2 and amidation of the acyl chloride with 4-aminopyridine given) at 10 mg/kg i.p. in guinea pigs gave 55.4% inhibition of allergen-induced late-phase eosinophilia, vs. 47.0 for cyclosporin A.

IT **204206-03-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-substituted indoleglyoxylamides as antiasthmatics, antiallergic agents and immunosuppressants/immunomodulators)

RN 204206-03-1 CAPLUS
CN Carbamic acid, [[1-[(4-fluorophenyl)methyl]-3-[oxo(4-pyridinylamino)acetyl]-1H-indol-5-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



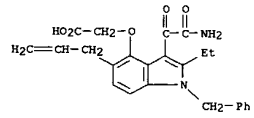
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:713060 CAPLUS
DOCUMENT NUMBER: 126:69724
TITLE: Indole Inhibitors of Human Nonpancreatic Secretory Phospholipase A2. 3. Indole-3-glyoxamides
AUTHOR(S): Draheim, Susan E.; Bach, Nicholas J.; Dillard, Robert D.; Berry, Dennis R.; Carlson, Donald G.; Chirgadze, Nickolay Y.; Clawson, David K.; Hartley, Lawrence W.; Johnson, Lea M.; et al.
CORPORATE SOURCE: Lilly Corporate Center, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of Medicinal Chemistry (1996), 39(26), 5159-5175
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The preceding papers of this series detail the development of functionalized indole-3-acetamides as inhibitors of hnps-PLA2. We describe here the extension of the structure-activity relationship to include a series of indole-3-glyoxamide derivs. Functionalized indole-3-glyoxamides with an acidic substituent appended to the 4- or 5-position of the indole ring were prepd. and tested as inhibitors of hnps-PLA2. It was found that the indole-3-glyoxamides with a 4-oxyacetic acid substituent had optimal inhibitory activity. These inhibitors exhibited an improvement in potency over the best of the indole-3-acetamides, and LY315920 (6m) was selected for evaluation clin. as an hnps-PLA2 inhibitor.

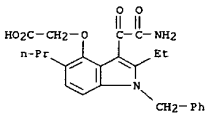
IT **185298-67-3P 185298-72-0P 185299-07-4P 185299-08-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and SAR of indoleglyoxamides as inhibitors of human nonpancreatic secretory phospholipase A2)

RN 185298-67-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-5-(2-propenyl)-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

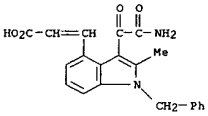


RN 185298-72-0 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-5-propyl-1H-indol-4-yl]oxy]- (9CI) (CA INDEX NAME)

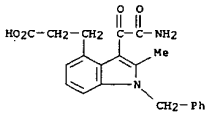
L18 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 185299-07-4 CAPLUS
CN 2-Propenoic acid, 3-[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]- (9CI) (CA INDEX NAME)



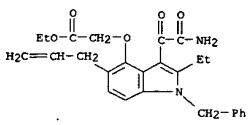
RN 185299-08-5 CAPLUS
CN 1H-Indole-4-propanoic acid, 3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT **185298-18-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and SAR of indoleglyoxamides as inhibitors of human nonpancreatic secretory phospholipase A2)

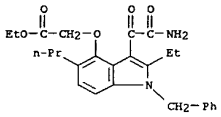
RN 185298-18-4 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-5-(2-propenyl)-1H-indol-4-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

L18 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

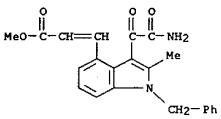


IT **185298-59-3P 185299-05-2P 185299-06-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and SAR of indoleglyoxamides as inhibitors of human nonpancreatic secretory phospholipase A2)

RN 185298-59-3 CAPLUS
CN Acetic acid, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-5-propyl-1H-indol-4-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

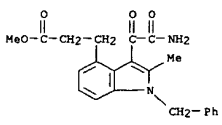


RN 185299-05-2 CAPLUS
CN 2-Propenoic acid, 3-[3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 185299-06-3 CAPLUS
CN 1H-Indole-4-propanoic acid, 3-(aminooxoacetyl)-2-methyl-1-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

L18 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



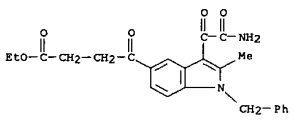
L18 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:713059 CAPLUS
DOCUMENT NUMBER: 126:84068
TITLE: Indole Inhibitors of Human Nonpancreatic Secretory Phospholipase A2. 2. Indole-3-acetamides with Additional Functionality
AUTHOR(S): Dillard, Robert D.; Bach, Nicholas J.; Draheim, Susan E.; Berry, Dennis R.; Carlson, Donald G.; Chirgadze, Nickolay Y.; Clawson, David K.; Hartley, Lawrence W.; Johnson, Lea M.; et al.
CORPORATE SOURCE: Lilly Corporate Center, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of Medicinal Chemistry (1996), 39(26), 5137-5158
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB As reported in our previous paper, a series of indole-3-acetamides which possessed potency and selectivity as inhibitors of human nonpancreatic secretory phospholipase A2(hnps-PLA2) was developed. The design of these compds. was based on information derived from x-ray crystal structures detd. for complexes between the enzyme and its inhibitors. We describe here the further implementation of this structure-based design strategy and continued SAR development to produce indole-3-acetamides with addnl. functionalities which provide increased interaction with important residues within the enzyme active site. These efforts led to inhibitors with substantially enhanced potency and selectivity.

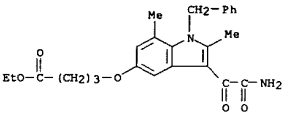
IT **185501-28-4P 185501-68-2P 185501-73-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and SAR of indoleacetamides as inhibitors of human nonpancreatic secretory phospholipase A2)

RN 185501-28-4 CAPLUS
CN 1H-Indole-5-butanoic acid, 3-(aminooxoacetyl)-2-methyl-.gamma.-oxo-1-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

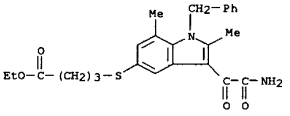


RN 185501-68-2 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2,7-dimethyl-1-(phenylmethyl)-1H-indol-5-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

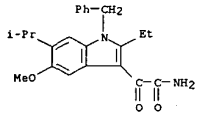
L18 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



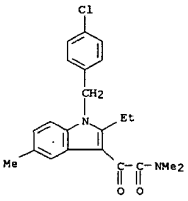
RN 185501-73-9 CAPLUS
CN Butanoic acid, 4-[[3-(aminooxoacetyl)-2,7-dimethyl-1-(phenylmethyl)-1H-indol-5-yl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



L18 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:713058 CAPLUS
DOCUMENT NUMBER: 126:42246
TITLE: Indole Inhibitors of Human Nonpancreatic Secretory Phospholipase A2. 1. Indole-3-acetamides
AUTHOR(S): Dillard, Robert D.; Bach, Nicholas J.; Draheim, Susan E.; Berry, Dennis R.; Carlson, Donald G.; Chirgadze, Nickolay Y.; Clawson, David K.; Hartley, Lawrence W.; Johnson, Lea M.; et al.
CORPORATE SOURCE: Lilly Corporate Center, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of Medicinal Chemistry (1996), 39(26), 5119-5136
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Phospholipases (PLAs) produce rate-limiting precursors in the biosynthesis of various types of biol. active lipids involved in inflammatory processes. Increased levels of human nonpancreatic secretory phospholipase A2 (hnps-PLA2) have been detected in several pathol. conditions. An inhibitor of this enzyme could have therapeutic utility. A broad screening program was carried out to identify chem. structures which could inhibit hnps-PLA2. One of the lead compds. generated by the screening program was 5-methoxy-2-methyl-1-(phenylmethyl)-1H-indole-3-acetic acid. We describe the syntheses, structure-activity relationships, and pharmacol. activities of a series of indole-3-acetamides and related compds. derived from this lead. This SAR was undertaken with the aid of X-ray crystal structures of complexes between the inhibitors and hnps-PLA2 which were of great value in directing the SAR.
IT **185064-25-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of and human nonpancreatic secretory phospholipase A2 inhibition by indole-3-acetamides)
RN 185064-25-9 CAPLUS
CN 1H-Indole-3-acetamide, 2-ethyl-5-methoxy-6-(1-methylethyl)-.alpha.-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1969:19862 CAPLUS
DOCUMENT NUMBER: 70:19862
TITLE: Some analogs of 1-p-chlorobenzyl-5-methylindole-3-acetic acid
AUTHOR(S): Walton, Edward; Jenkins, Susan R.; Nutt, Ruth F.; Holly, Frederick W.
CORPORATE SOURCE: Div. of Merck Sharp and Dohme Res. Lab., Merck and Co., Inc., Rahway, NJ, USA
SOURCE: Journal of Medicinal Chemistry (1968), 11, 1252-5
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The prepns. of 21 I derivs. (R = CH2CO2H, COCO2K, COCONMe2, Me, or H; R1 = Et, CH2CH2CO2H, Ac, or COCH2Cl; R2 = p-chlorobenzyl, benzyl, or H; R3 = H or Me; and R4 = H, Me, or MeO) are described. The activities of the compds. in the inhibitions of .alpha.-glycerophosphate dehydrogenase and lactic acid dehydrogenase and against Clostridium feseri, KB cell culture, and Sarcoma 180 were detd.
IT **19270-31-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 19270-31-6 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-chlorophenyl)methyl]-2-ethyl-N,N,5-trimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

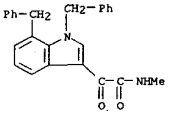


L18 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1958:72551 CAPLUS
DOCUMENT NUMBER: 52:72551
ORIGINAL REFERENCE NO.: 52:12923e-i,12924a-b
TITLE: Reduction of 3-indolylcarbonyl compounds
INVENTOR(S): Speeter, Merrill E.
PATENT ASSIGNEE(S): Upjohn Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

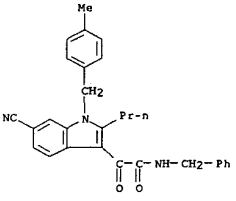
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2825734		19580304	US	
DE 1117124			DE	

AB The reduction of various indole compds. contg. a carbonyl group in the 3-position by LiAlH4 to yield substituted 3-(2-amino-1-hydroxyethyl)indoles is covered. Thus, to 25 g. indole in 500 ml. anhyd. ether was added 25 ml. (COCl)2. After standing overnight the solid was collected and dried to yield 42 g. 3-indoleglyoxylyl chloride (I), m. 129.degree.. Gaseous MeNH2 was bubbled into 20 g. I in 200 ml. dry C6H6, after 2 hrs. the ppt. collected, and washed with H2O to give 19.6 g. N-methyl-3-indoleglyoxamide (II), m. 128-9.degree. (iso-PrOH). To a soln. of NaOEt in 400 ml. EtOH prepd. from 2.76 g. Na in a pressure flask was added 20.2 g. II and 14.2 g. MeI. The flask was sealed, heated at 65-75.degree. 18 hrs., and the product isolated to give 18 g. 1,N-dimethyl-3-indoleglyoxamide (III), m. 206-7.degree.. III (5 g.) in 400 ml. tetrahydrofuran (IV) was added to 5 g. LiAlH4 in 100 ml. IV, the mixt. refluxed 3 hrs., cooled in an ice bath, and the excess hydride destroyed by the addn. of 500 ml. ether and 50 ml. 10% NaOH. After sepn. of the org. layer and concn. under reduced pressure, an oil was obtained which gave 3.5 g. 1-methyl-3-(2-methylamino-1-hydroxyethyl)indole, m. 138-40.degree. (EtOAc). In addn., the following compds. are described: 1-ethyl-I, m. 125-5.7.degree.; 1-ethyl-3-(2-methylamino-1-hydroxyethyl)indole; N-benzyl-N-methyl-3-indoleglyoxamide, m. 172.5-3.5.degree. (iso-PrOH), 87%; 1,N-dimethyl-N-benzyl-3-indoleglyoxamide, m. 112-13.degree. (iso-PrOH); 1-methyl-3-[2-(benzylmethylamino)-1-hydroxyethyl]-indole; 3-indoleglyoxylic acid morpholide (V), m. 182-4.degree. (alc.); 1-methyl-V, m. 177-8.5.degree. (iso-PrOH); 1-methyl-3-(2-morpholino-1-hydroxyethyl)indole, m. 99-100.degree. (EtOAc); N-benzyl-3-indoleglyoxamide (VI), m. 172-3.5.degree.; 1-methyl-VI, m. 141.5-3.degree. (iso-PrOH); 1-methyl-3-(2-benzylamino-1-hydroxyethyl)indole, m. 111-13.degree. (EtOAc); N,N-dimethyl-3-indoleglyoxamide (VII), m. 159-60.degree. (EtOAc-methylcyclohexane); 1-ethyl-VII, m. 141-3.degree. (aq. alc.); 1-methyl-VII, m. 107.5-8.5.degree.; 1-ethyl-3-(2-dimethylamino-1-hydroxyethyl)indole (VIII), m. 82-3.degree. (EtOAc); VIII pyruvate, m. 116.degree.; VIII MeBr salt, m. 178-85.degree. (decompn.); VIII trichloroacetate, m. 92-5.5.degree.; VIII HCl salt, m. 108-11.degree.; 1-methyl-3-(2-dimethylamino-1-hydroxyethyl)indole, m. 94-5.degree.; 3-indoleglyoxamide, (IX), 92%; 1-methyl-IX, m. 185-7.degree. (iso-PrOH); 1-methyl-3-(2-amino-1-hydroxyethyl)indole, light pink oil; N,N-dibenzyl-3-indoleglyoxamide (X), m. 166.5-7.5.degree.; 1-methyl-X, m. 109-11.degree. (iso-PrOH); 1-methyl-3-(2-dibenzylamino-1-hydroxyethyl)indole, m. 120.5-22.degree.; N-phenyl-3-indoleglyoxamide (XI), m. 242-3.degree. (iso-PrOH), 100%; 1-methyl-XI, m. 150-2.degree. (EtOH); 1-methyl-3-(2-anilino-1-hydroxyethyl)indole; 1-ethyl-III, m. 125-5.7.degree.; 1-isopropyl-VII, m. 113-14.5.degree.; 1-butyl-VII, m. 57.5-9.degree.; 1-hexyl-VII, m. 58.5-61.degree.; 1-hexadecyl-VII, m. 61.5-3.5.degree.; 1-hexadecyl-VIII, m. 48-9.5.degree.;

L18 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
1-methyl-N-isopropyl-3-indoleglyoxamide, m. 103-4.5.degree. (75% EtOH), 83%; 1-methyl-3-(2-isopropylamino-1-hydroxyethyl)indole, m. 114.5-15.5.degree. (EtOAc), 35.7%; 1-methyl-2-phenyl-3-indoleglyoxylic acid piperidide, m. 159-60.degree.; 1-methyl-2-phenyl-3-(2-piperidino-1-hydroxyethyl)indole, m. 154.5-6.degree. (EtOAc).
IT **114998-70-8**, Indole-3-glyoxylamide, 1,7-dibenzyl-N-methyl- **115099-46-2**, Indole-3-glyoxylamide, N-benzyl-6-cyano-1-p-methylbenzyl-2-propyl- **123885-10-9**, Indole-6-carboxylic acid, 2-ethyl-1-phenethyl-3-propyloxamoyl-
(prepn. of)
RN 114998-70-8 CAPLUS
CN Indole-3-glyoxylamide, 1,7-dibenzyl-N-methyl- (6CI) (CA INDEX NAME)



RN 115099-46-2 CAPLUS
CN Indole-3-glyoxylamide, N-benzyl-6-cyano-1-p-methylbenzyl-2-propyl- (6CI) (CA INDEX NAME)



RN 123885-10-9 CAPLUS
CN Indole-6-carboxylic acid, 2-ethyl-1-phenethyl-3-propyloxamoyl- (6CI) (CA INDEX NAME)

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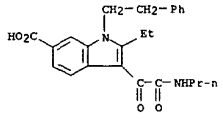

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	77.53	663.80

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.07	-36.46

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